```
Connecting via Winsock to STN


Welcome to STN International!  Enter x:X

LOGINID:ssspta1626amd

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2


* * * * * * * * * *  Welcome to STN International  * * * * * * * * * *

 NEWS  1            Web Page URLs for STN Seminar Schedule - N. America
 NEWS  2  Apr 08    "Ask CAS" for self-help around the clock
 NEWS  3  Jun 03    New e-mail delivery for search results now available
 NEWS  4  Aug 08    PHARMAMarketLetter(PHARMAML) - new on STN
 NEWS  5  Aug 19    Aquatic Toxicity Information Retrieval (AQUIRE)
                    now available on STN
 NEWS  6  Aug 26    Sequence searching in REGISTRY enhanced
 NEWS  7  Sep 03    JAPIO has been reloaded and enhanced
 NEWS  8  Sep 16    Experimental properties added to the REGISTRY file
 NEWS  9  Sep 16    CA Section Thesaurus available in CAPLUS and CA
 NEWS 10  Oct 01    CASREACT Enriched with Reactions from 1907 to 1985
 NEWS 11  Oct 24    BEILSTEIN adds new search fields
 NEWS 12  Oct 24    Nutraceuticals International (NUTRACEUT) now available on
STN
 NEWS 13  Nov 18    DKILIT has been renamed APOLLIT
 NEWS 14  Nov 25    More calculated properties added to REGISTRY
 NEWS 15  Dec 04    CSA files on STN
 NEWS 16  Dec 17    PCTFULL now covers WP/PCT Applications from 1978 to date
 NEWS 17  Dec 17    TOXCENTER enhanced with additional content
 NEWS 18  Dec 17    Adis Clinical Trials Insight now available on STN
 NEWS 19  Jan 29    Simultaneous left and right truncation added to COMPENDEX,
                    ENERGY, INSPEC
 NEWS 20  Feb 13    CANCERLIT is no longer being updated
 NEWS 21  Feb 24    METADEX enhancements
 NEWS 22  Feb 24    PCTGEN now available on STN
 NEWS 23  Feb 24    TEMA now available on STN
 NEWS 24  Feb 26    NTIS now allows simultaneous left and right truncation
 NEWS 25  Feb 26    PCTFULL now contains images
 NEWS 26  Mar 04    SDI PACKAGE for monthly delivery of multifile SDI results
 NEWS 27  Mar 19    APOLLIT offering free connect time in April 2003
 NEWS 28  Mar 20    EVENTLINE will be removed from STN
 NEWS 29  Mar 24    PATDPAFULL now available on STN
 NEWS 30  Mar 24    Additional information for trade-named substances without
                    structures available in REGISTRY
 NEWS 31  Mar 24    Indexing from 1957 to 1966 added to records in CA/CAPLUS
 NEWS 32  Apr 11    Display formats in DGENE enhanced
 NEWS 33  Apr 14    MEDLINE Reload

 NEWS EXPRESS  April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT
               MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
               AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003
 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS INTER    General Internet Information
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NEWS LOGIN     Welcome Banner and News Items
NEWS PHONE     Direct Dial and Telecommunication Network Access to STN
NEWS WWW       CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that
specific topic.

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  * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * *



FILE 'HOME' ENTERED AT 08:16:36 ON 16 APR 2003

=> fil reg
COST IN U.S. DOLLARS                                  SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       0.21       0.21

FILE 'REGISTRY' ENTERED AT 08:16:42 ON 16 APR 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   15 APR 2003  HIGHEST RN 503084-53-5
DICTIONARY FILE UPDATES:  15 APR 2003  HIGHEST RN 503084-53-5

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available.  See HELP
PROPERTIES for more information.  See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 09990389.str

L1      STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1              STR
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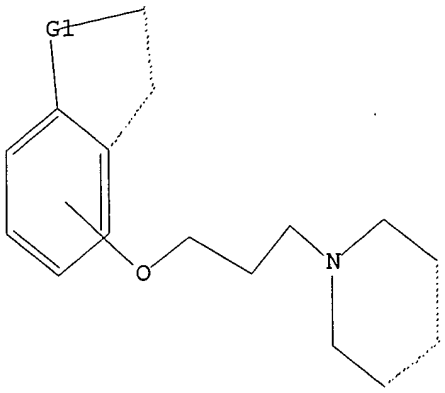


G1 O,S

Structure attributes must be viewed using STN Express query preparation.

=> s l1 ful
FULL SEARCH INITIATED 08:16:58 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 14011 TO ITERATE

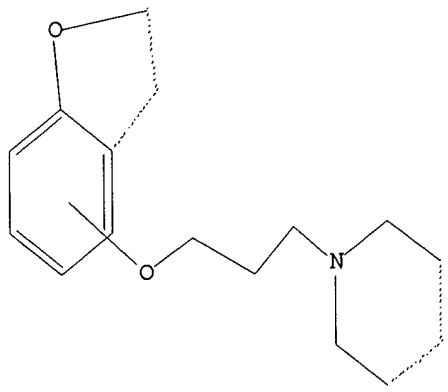
100.0% PROCESSED 14011 ITERATIONS 720 ANSWERS
SEARCH TIME: 00.00.01

L2 720 SEA SSS FUL L1

=>
Uploading 09990389.str

L3 STRUCTURE UPLOADED

=> d
L3 HAS NO ANSWERS
L3 STR



G1 O,S

Structure attributes must be viewed using STN Express query preparation.

=> s l3 ful
FULL SEARCH INITIATED 08:17:31 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 9037 TO ITERATE

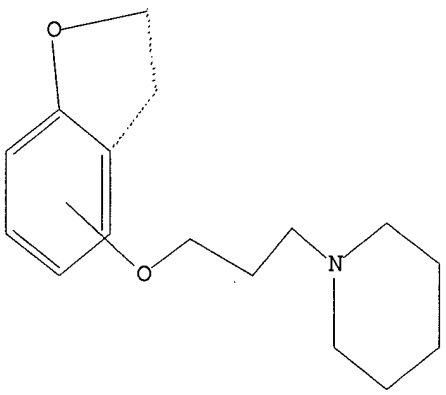
100.0% PROCESSED 9037 ITERATIONS 679 ANSWERS
SEARCH TIME: 00.00.01

L4 679 SEA SSS FUL L3

=>
Uploading 09990389.str

L5 STRUCTURE UPLOADED

=> d
L5 HAS NO ANSWERS
L5 STR



G1 O,S

Structure attributes must be viewed using STN Express query preparation.

=> s l5 ful
FULL SEARCH INITIATED 08:18:08 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 9037 TO ITERATE

100.0% PROCESSED 9037 ITERATIONS 649 ANSWERS
SEARCH TIME: 00.00.01

L6 649 SEA SSS FUL L5

=> d his

(FILE 'HOME' ENTERED AT 08:16:36 ON 16 APR 2003)

FILE 'REGISTRY' ENTERED AT 08:16:42 ON 16 APR 2003
L1 STRUCTURE UPLOADED

```
L2            720 S L1 FUL
L3                STRUCTURE UPLOADED
L4            679 S L3 FUL
L5                STRUCTURE UPLOADED
L6            649 S L5 FUL

=> s l2 and caplus/lc
      27443481 CAPLUS/LC
L7          702 L2 AND CAPLUS/LC

=> s l2 not l7
L8            18 L2 NOT L7

=> d 1-18
```

=>

---Logging off of STN---

=>
Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	249.59	728.71

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-35.15	-35.15

STN INTERNATIONAL LOGOFF AT 08:22:33 ON 16 APR 2003

```
=> fil caplus
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                       478.91     479.12

FILE 'CAPLUS' ENTERED AT 08:18:37 ON 16 APR 2003
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FILE COVERS 1907 - 16 Apr 2003  VOL 138 ISS 16
FILE LAST UPDATED: 15 Apr 2003  (20030415/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.


=> d his

     (FILE 'HOME' ENTERED AT 08:16:36 ON 16 APR 2003)

     FILE 'REGISTRY' ENTERED AT 08:16:42 ON 16 APR 2003
L1             STRUCTURE UPLOADED
L2          720 S L1 FUL
L3             STRUCTURE UPLOADED
L4          679 S L3 FUL
L5             STRUCTURE UPLOADED
L6          649 S L5 FUL
L7          702 S L2 AND CAPLUS/LC
L8           18 S L2 NOT L7

     FILE 'CAPLUS' ENTERED AT 08:18:37 ON 16 APR 2003

=> s l2
L9          55 L2

=> s l9 not wo200071517/pn
             1 WO200071517/PN
                 (WO2000071517/PN)
L10         54 L9 NOT WO200071517/PN

=> d l10 1-54 ibib abs hitstr
```

(b) ← 11/23/2000 ← (a) 11/23/2001

L10 ANSWER 1 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:408670 CAPLUS
DOCUMENT NUMBER: 137:6183
TITLE: Preparation of 3-[2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo[b]furan-4-yloxy]-1-(1-piperidinyl)-2-propanol compounds having high affinity for 5-HT1A receptor and medicinal use thereof
INVENTOR(S): Nishiyama, Akira; Bougauchi, Masahiro; Minoguchi, Masanori; Morio, Yasunori; Horikawa, Takashi
PATENT ASSIGNEE(S): Mitsubishi Pharma Corporation, Japan
SOURCE: PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

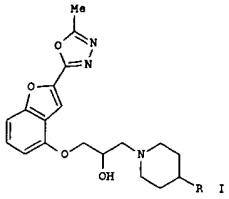
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002042297	A1	20020530	WO 2001-JP10301	20011127

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2002024105	A5	20020603	AU 2002-24105	20011127
PRIORITY APPLN. INFO.:			JP 2000-359744 A	20001127
			WO 2001-JP10301 W	20011127
OTHER SOURCE(S):		MARPAT 137:6183		

GI

L10 ANSWER 1 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)



AB The title compds. (I; wherein R = 4-chloro-2-methylphenyl, 2,6-dimethoxyphenyl, 3-fluoro-4-methylphenyl, 2,4,6-trimethoxyphenyl, 4-chloro-2,6-dimethoxyphenyl, 1-methoxynaphthalen-2-yl, indolin-1-yl, indol-1-yl, etc.), optically active isomers thereof, pharmaceutically acceptable salts of these, and hydrates of these are prepd. These compds. have a high affinity for and are antagonistic to 5-HT1A receptors, and function to selectively inhibit serotonin (5-HT) re-incorporation. They are hence useful for the prevention or treatment of central nervous system diseases such as schizophrenia, anxiety, obsessive-compulsive disorders, panic disorder, social anxiety disorder, seasonal emotional disorder, anorexia, binge eating, enuresis nocturnal (bed-wetting), child hyperactivity, posttraumatic stress disorder (PTSD), senile dementia, migraine headache, stroke, Alzheimer's disease, cognition disorders, hypertension, stomach disorder, feeding disorder, body temp. regulation disorder, sexual disorder, pain, cardiovascular disorders, and drug abuse and e.g. as antidepressants rapidly showing its antidepressant effect. Thus, (S)-2-(4-glycidyloxybenzo[b]furan-2-yl)-5-methyl-1,3,4-oxadiazole and 4-(indolin-1-yl)pipeidine were heated in methanol with stirring to give (S)-1-(4-(indolin-1-yl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo[b]furan-4-yl)oxy)-2-propanol 3/2 terephthalate (II). II showed the binding affinity for 5-HT1A receptor and that for 5-HT transporter with Ki of 1.4 and 2.9 nM, resp.

IT **432043-09-9P**, (S)-1-(4-(4-Chloro-2-methylphenyl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo[b]furan-4-yl)oxy)-2-propanol hydrochloride **432043-11-3P** **432043-12-4P**, (S)-1-(4-(3-Fluoro-4-methylphenyl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo[b]furan-4-yl)oxy)-2-propanol hydrochloride **432043-14-6P** **432043-16-8P** **432043-17-9P**, (S)-1-(4-(3-Chloro-4-ethoxyphenyl)piperidino)-3-((2-(5-methyl-1,3,4-

L10 ANSWER 1 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

oxadiazol-2-yl)benzo[b]furan-4-yl)oxy)-2-propanol hydrochloride **432043-18-0P**, (S)-1-(4-(3-Chloro-4-isopropoxyphenyl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo[b]furan-4-yl)oxy)-2-propanol hydrochloride **432043-19-1P**, (S)-1-(4-(4-Methoxy-2-methylphenyl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo[b]furan-4-yl)oxy)-2-propanol hydrochloride **432043-20-4P**, (S)-1-(4-(5-Chloro-4-methoxy-2-methylphenyl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo[b]furan-4-yl)oxy)-2-propanol hydrochloride **432043-21-5P**, (S)-1-(4-(2,4-Dimethoxyphenyl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo[b]furan-4-yl)oxy)-2-propanol hydrochloride **432043-22-6P**, (S)-1-(4-(4-Chloro-2-fluoro-3-methylphenyl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo[b]furan-4-yl)oxy)-2-propanol hydrochloride **432043-23-7P**, (S)-1-(4-(4-Fluoro-2-methylphenyl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo[b]furan-4-yl)oxy)-2-propanol hydrochloride **432043-24-8P**, (S)-1-(4-(3-Chloro-4-methoxy-5-methylphenyl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo[b]furan-4-yl)oxy)-2-propanol hydrochloride **432043-25-9P**, (S)-1-(4-(1-Methoxynaphthalen-2-yl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo[b]furan-4-yl)oxy)-2-propanol hydrochloride **432043-26-0P**, (S)-1-(4-(2-Methoxy-3,4-dimethylphenyl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo[b]furan-4-yl)oxy)-2-propanol hydrochloride **432043-27-1P**, (S)-1-(4-(2,4,6-Trimethylphenyl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo[b]furan-4-yl)oxy)-2-propanol hydrochloride **432043-28-2P**, (S)-1-(4-(3-Methylthiophenyl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo[b]furan-4-yl)oxy)-2-propanol hydrochloride **432043-29-3P**, (S)-1-(4-(4-Methylthiophenyl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo[b]furan-4-yl)oxy)-2-propanol hydrochloride **432043-31-7P** **432043-33-9P** **432043-34-0P**, (S)-1-(4-(4-Chloro-3-ethylphenyl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo[b]furan-4-yl)oxy)-2-propanol hydrochloride **432043-35-1P**, (S)-1-(4-(4-Chloro-3-isopropylphenyl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo[b]furan-4-yl)oxy)-2-propanol hydrochloride **432043-36-2P**, 1-(4-(4-Chloro-2-methylphenyl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo[b]furan-4-yl)oxy)-2-propanol **432043-37-3P**, 1-(4-(2,6-Dimethoxyphenyl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo[b]furan-4-yl)oxy)-2-propanol **432043-38-4P**, 1-(4-(3-Fluoro-4-methylphenyl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo[b]furan-4-yl)oxy)-2-propanol **432043-39-5P**, 1-(4-(2,4,6-Trimethoxyphenyl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo[b]furan-4-yl)oxy)-2-propanol **432043-40-8P**, 1-(4-(4-Chloro-2,6-dimethoxyphenyl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo[b]furan-4-yl)oxy)-2-propanol **432043-41-9P**, 1-(4-(3-Chloro-4-ethoxyphenyl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo[b]furan-4-yl)oxy)-2-propanol **432043-42-0P**, 1-(4-(3-Chloro-4-isopropoxyphenyl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo[b]furan-4-yl)oxy)-2-propanol

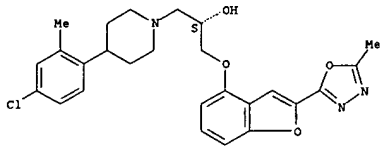
L10 ANSWER 1 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

432043-43-1P, 1-(4-(4-Methoxy-2-methylphenyl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo[b]furan-4-yl)oxy)-2-propanol **432043-44-2P**, 1-(4-(5-Chloro-4-methoxy-2-methylphenyl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo[b]furan-4-yl)oxy)-2-propanol **432043-45-3P**, 1-(4-(2,4-Dimethoxyphenyl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo[b]furan-4-yl)oxy)-2-propanol **432043-46-4P**, 1-(4-(4-Chloro-2-fluoro-3-methylphenyl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo[b]furan-4-yl)oxy)-2-propanol **432043-47-5P**, 1-(4-(4-Fluoro-2-methylphenyl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo[b]furan-4-yl)oxy)-2-propanol **432043-48-6P**, 1-(4-(3-Chloro-4-methoxy-5-methylphenyl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo[b]furan-4-yl)oxy)-2-propanol **432043-49-7P**, 1-(4-(1-Methoxynaphthalen-2-yl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo[b]furan-4-yl)oxy)-2-propanol **432043-50-0P**, 1-(4-(2-Methoxy-3,4-dimethylphenyl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo[b]furan-4-yl)oxy)-2-propanol **432043-51-1P**, 1-(4-(2,4,6-Trimethylphenyl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo[b]furan-4-yl)oxy)-2-propanol **432043-52-2P**, 1-(4-(3-Methylthiophenyl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo[b]furan-4-yl)oxy)-2-propanol **432043-53-3P**, 1-(4-(4-Methylthiophenyl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo[b]furan-4-yl)oxy)-2-propanol **432043-54-4P**, 1-(4-(Indolin-1-yl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo[b]furan-4-yl)oxy)-2-propanol **432043-55-5P**, 1-(4-(Indol-1-yl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo[b]furan-4-yl)oxy)-2-propanol **432043-56-6P**, 1-(4-(4-Chloro-3-ethylphenyl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo[b]furan-4-yl)oxy)-2-propanol **432043-57-7P**, 1-(4-(4-Chloro-3-isopropylphenyl)piperidino)-3-((2-(5-methyl-1,3,4-oxadiazol-2-yl)benzo[b]furan-4-yl)oxy)-2-propanol hydrochloride
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of [(methyloxadiazolyl)benzofuranyloxy]piperidinylpropanol derivs. having high affinity for 5-HT1A receptor as central nervous system agents)

RN 432043-09-9 CAPLUS
CN 1-Piperidineethanol, 4-(4-chloro-2-methylphenyl)-.alpha.-[[[2-(5-methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]-, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 1 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)



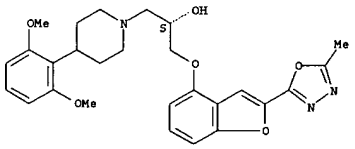
● HCl

RN 432043-11-3 CAPLUS
CN 1,4-Benzenedicarboxylic acid, compd. with (.alpha.S)-4-(2,6-dimethoxyphenyl)-.alpha.-[[[2-(5-methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]-1-piperidineethanol (1:2) (9CI) (CA INDEX NAME)

CM 1

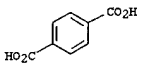
CRN 432043-10-2
CMF C27 H31 N3 O6

Absolute stereochemistry.

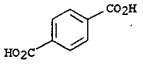


CM 2

CRN 100-21-0
CMF C8 H6 O4



L10 ANSWER 1 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

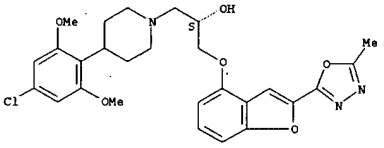


RN 432043-16-8 CAPLUS
CN 1,4-Benzenedicarboxylic acid, compd. with (.alpha.S)-4-(4-chloro-2,6-dimethoxyphenyl)-.alpha.-[[[2-(5-methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]-1-piperidineethanol (1:2) (9CI) (CA INDEX NAME)

CM 1

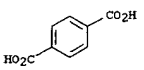
CRN 432043-15-7
CMF C27 H30 Cl N3 O6

Absolute stereochemistry.



CM 2

CRN 100-21-0
CMF C8 H6 O4



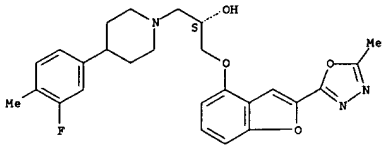
RN 432043-17-9 CAPLUS
CN 1-Piperidineethanol,
4-(3-chloro-4-ethoxyphenyl)-.alpha.-[[[2-(5-methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]-, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 1 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 432043-12-4 CAPLUS
CN 1-Piperidineethanol,
4-(3-fluoro-4-methylphenyl)-.alpha.-[[[2-(5-methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]-, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



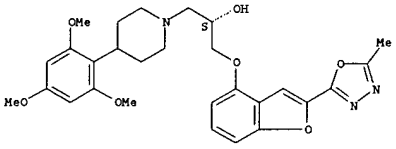
● HCl

RN 432043-14-6 CAPLUS
CN 1,4-Benzenedicarboxylic acid, compd. with (.alpha.S)-.alpha.-[[[2-(5-methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]-4-(2,4,6-trimethoxyphenyl)-1-piperidineethanol (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 432043-13-5
CMF C28 H33 N3 O7

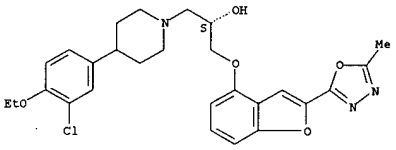
Absolute stereochemistry.



CM 2

CRN 100-21-0
CMF C8 H6 O4

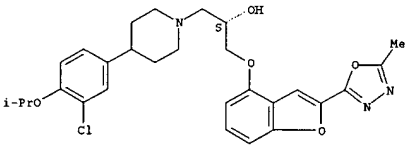
L10 ANSWER 1 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)



● HCl

RN 432043-18-0 CAPLUS
CN 1-Piperidineethanol,
4-[3-chloro-4-(1-methylethoxy)phenyl]-.alpha.-[[[2-(5-methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]-, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

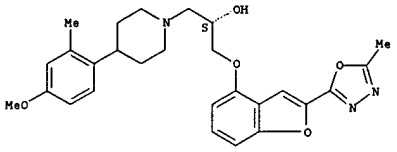
Absolute stereochemistry.



● HCl

RN 432043-19-1 CAPLUS
CN 1-Piperidineethanol,
4-(4-methoxy-2-methylphenyl)-.alpha.-[[[2-(5-methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]-, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

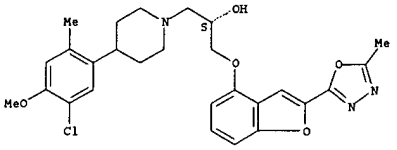
Absolute stereochemistry.



● HCl

RN 432043-20-4 CAPLUS
CN 1-Piperidineethanol,
4-(5-chloro-4-methoxy-2-methylphenyl)-.alpha.-[[[2-(5-methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]-, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

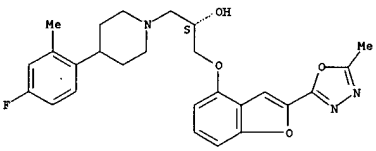
Absolute stereochemistry.



● HCl

RN 432043-21-5 CAPLUS
CN 1-Piperidineethanol,
4-(2,4-dimethoxyphenyl)-.alpha.-[[[2-(5-methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]-, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

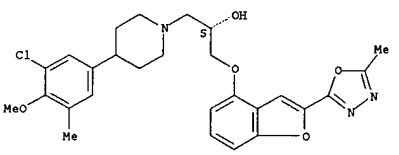
Absolute stereochemistry.



● HCl

RN 432043-24-8 CAPLUS
CN 1-Piperidineethanol,
4-(3-chloro-4-methoxy-5-methylphenyl)-.alpha.-[[[2-(5-methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]-, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

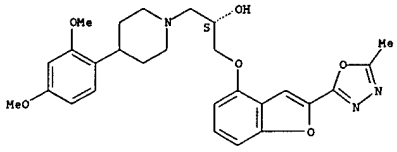
Absolute stereochemistry.



● HCl

RN 432043-25-9 CAPLUS
CN 1-Piperidineethanol,
4-(1-methoxy-2-naphthalenyl)-.alpha.-[[[2-(5-methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]-, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

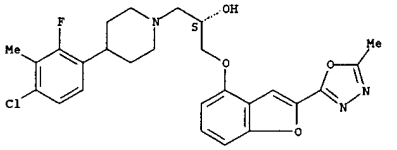
Absolute stereochemistry.



● HCl

RN 432043-22-6 CAPLUS
CN 1-Piperidineethanol,
4-(4-chloro-2-fluoro-3-methylphenyl)-.alpha.-[[[2-(5-methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]-, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

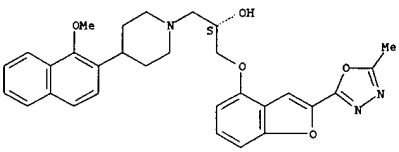
Absolute stereochemistry.



● HCl

RN 432043-23-7 CAPLUS
CN 1-Piperidineethanol,
4-(4-fluoro-2-methylphenyl)-.alpha.-[[[2-(5-methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]-, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

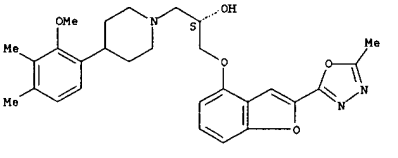
Absolute stereochemistry.



● HCl

RN 432043-26-0 CAPLUS
CN 1-Piperidineethanol, 4-(2-methoxy-3,4-dimethylphenyl)-.alpha.-[[[2-(5-methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]-, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

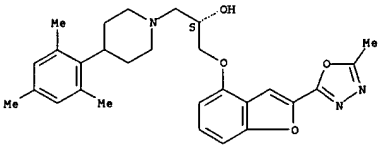
Absolute stereochemistry.



● HCl

RN 432043-27-1 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[[2-(5-methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]-4-(2,4,6-trimethylphenyl)-, monohydrochloride,
(.alpha.S)- (9CI) (CA INDEX NAME)

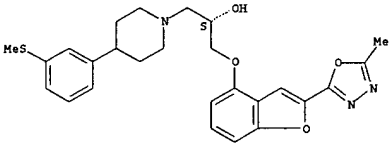
Absolute stereochemistry.



● HCl

RN 432043-28-2 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[[2-(5-methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]-4-[3-(methylthio)phenyl]-, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

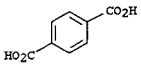
Absolute stereochemistry.



● HCl

RN 432043-29-3 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[[2-(5-methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]-4-[4-(methylthio)phenyl]-, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

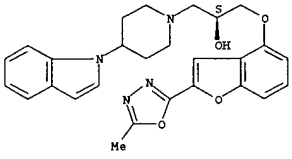


RN 432043-33-9 CAPLUS
CN 1,4-Benzenedicarboxylic acid, compd. with (.alpha.S)-4-(1H-indol-1-yl)-.alpha.-[[[2-(5-methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]-1-piperidineethanol (1:1) (9CI) (CA INDEX NAME)

CM 1

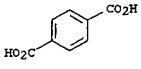
CRN 432043-32-8
CMF C27 H28 N4 O4

Absolute stereochemistry.



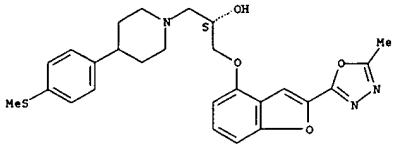
CM 2

CRN 100-21-0
CMF C8 H6 O4



RN 432043-34-0 CAPLUS
CN 1-Piperidineethanol, 4-(4-chloro-3-ethylphenyl)-.alpha.-[[[2-(5-methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]-, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



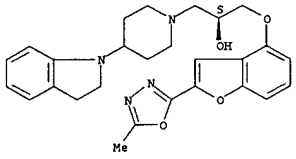
● HCl

RN 432043-31-7 CAPLUS
CN 1,4-Benzenedicarboxylic acid, compd. with (.alpha.S)-4-(2,3-dihydro-1H-indol-1-yl)-.alpha.-[[[2-(5-methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]-1-piperidineethanol (3:2) (9CI) (CA INDEX NAME)

CM 1

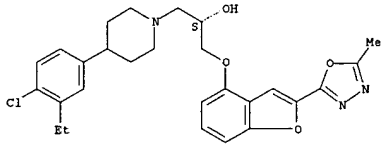
CRN 432043-30-6
CMF C27 H30 N4 O4

Absolute stereochemistry.



CM 2

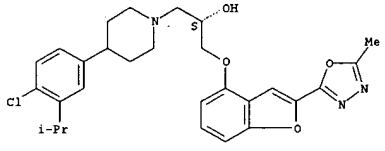
CRN 100-21-0
CMF C8 H6 O4



● HCl

RN 432043-35-1 CAPLUS
CN 1-Piperidineethanol, 4-[4-chloro-3-(1-methylethyl)phenyl]-.alpha.-[[[2-(5-methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]-, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

RN 432043-36-2 CAPLUS
CN 1-Piperidineethanol, 4-(4-chloro-2-methylphenyl)-.alpha.-[[[2-(5-methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]- (9CI) (CA INDEX NAME)

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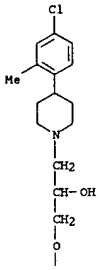

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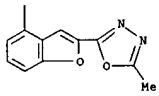
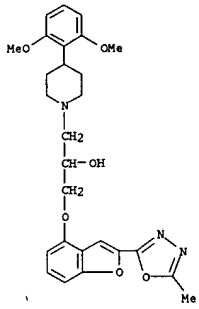


RN 432043-37-3 CAPLUS
CN 1-Piperidineethanol, 4-(2,6-dimethoxyphenyl)-.alpha.-[[[2-(5-methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 1 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 432043-38-4 CAPLUS
CN 1-Piperidineethanol, 4-(3-fluoro-4-methylphenyl)-.alpha.-[[[2-(5-methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]- (9CI) (CA INDEX NAME)

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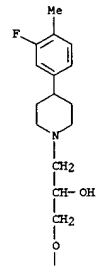

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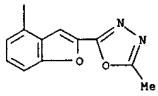

RN 432043-39-5 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[[2-(5-methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]-4-(2,4,6-trimethoxyphenyl)- (9CI) (CA INDEX NAME)

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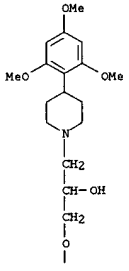

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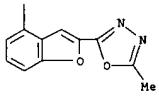

RN 432043-40-8 CAPLUS
CN 1-Piperidineethanol, 4-(4-chloro-2,6-dimethoxyphenyl)-.alpha.-[[[2-(5-methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 1 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

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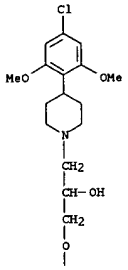

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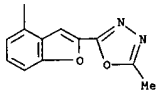

RN 432043-41-9 CAPLUS
CN 1-Piperidineethanol,
4-(3-chloro-4-ethoxyphenyl)-.alpha.-[[[2-(5-methyl-
1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]- (9CI) (CA INDEX
NAME)

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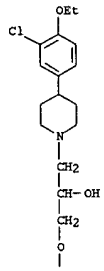

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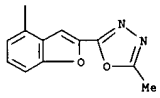

RN 432043-42-0 CAPLUS
CN 1-Piperidineethanol,
4-[3-chloro-4-(1-methylethoxy)phenyl]-.alpha.-[[[2-(5-
methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]- (9CI) (CA
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NAME)

L10 ANSWER 1 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

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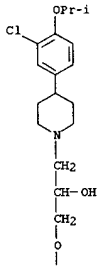

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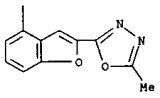

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CN 1-Piperidineethanol,
4-(4-methoxy-2-methylphenyl)-.alpha.-[[[2-(5-methyl-
1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]- (9CI) (CA INDEX
NAME)

L10 ANSWER 1 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

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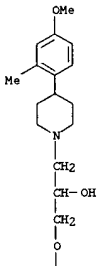

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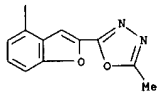

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CN 1-Piperidineethanol,
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methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]- (9CI) (CA
INDEX
NAME)

L10 ANSWER 1 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

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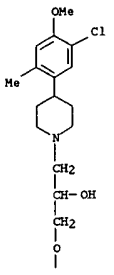

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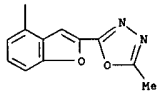

RN 432043-45-3 CAPLUS
CN 1-Piperidineethanol,
4-(2,4-dimethoxyphenyl)-.alpha.-[[[2-(5-methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 1 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

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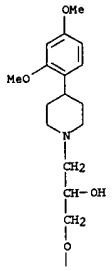

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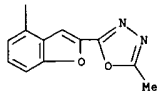

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CN 1-Piperidineethanol,
4-(4-chloro-2-fluoro-3-methylphenyl)-.alpha.-[[[2-(5-methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 1 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

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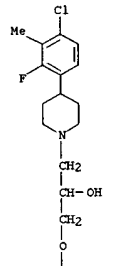

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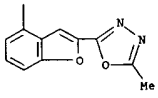

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CN 1-Piperidineethanol,
4-(4-fluoro-2-methylphenyl)-.alpha.-[[[2-(5-methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 1 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

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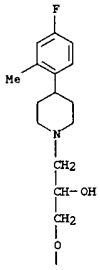

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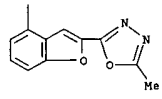

RN 432043-48-6 CAPLUS
CN 1-Piperidineethanol,
4-(3-chloro-4-methoxy-5-methylphenyl)-.alpha.-[[[2-(5-methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 1 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

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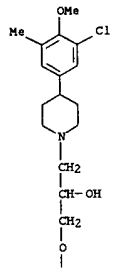

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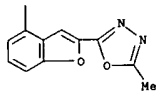

RN 432043-49-7 CAPLUS
CN 1-Piperidineethanol, 4-(1-methoxy-2-naphthalenyl)-.alpha.-[[[2-(5-methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 1 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

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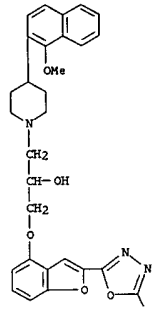

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RN 432043-50-0 CAPLUS
CN 1-Piperidineethanol, 4-(2-methoxy-3,4-dimethylphenyl)-.alpha.-[[[2-(5-methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 1 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

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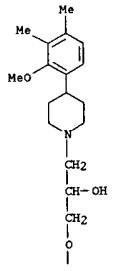

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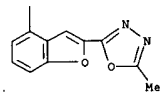

RN 432043-51-1 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[[2-(5-methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]-4-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)

L10 ANSWER 1 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

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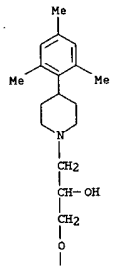

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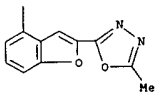
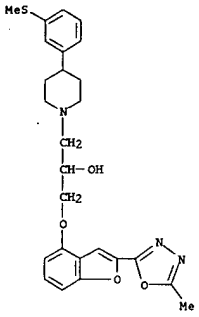


RN 432043-52-2 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[[2-(5-methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]-4-[3-(methylthio)phenyl]- (9CI) (CA INDEX NAME)



RN 432043-53-3 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[[2-(5-methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]-4-[4-(methylthio)phenyl]- (9CI) (CA INDEX NAME)

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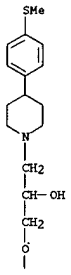

1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]- (9CI) (CA INDEX NAME)

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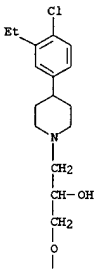

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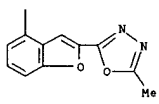

RN 432043-57-7 CAPLUS
CN 1-Piperidineethanol, 4-[4-chloro-3-(1-methylethyl)phenyl]-.alpha.-[[[2-(5-methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

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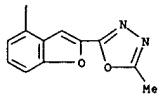
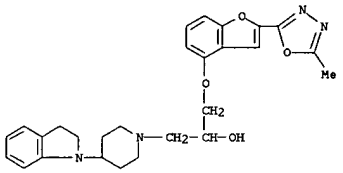
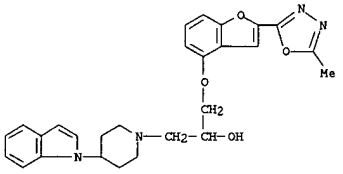


RN 432043-54-4 CAPLUS
CN 1-Piperidineethanol, 4-(2,3-dihydro-1H-indol-1-yl)-.alpha.-[[[2-(5-methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]- (9CI) (CA INDEX NAME)



RN 432043-55-5 CAPLUS
CN 1-Piperidineethanol, 4-(1H-indol-1-yl)-.alpha.-[[[2-(5-methyl-1,3,4-oxadiazol-2-yl)-4-benzofuranyl]oxy]methyl]- (9CI) (CA INDEX NAME)



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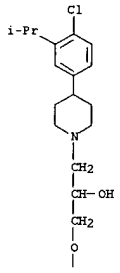

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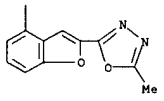

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REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:332196 CAPLUS
DOCUMENT NUMBER: 136:355241
TITLE: Preparation of benzoxazinones as antidepressants and anxiolytics
INVENTOR(S): Johnson, Christopher Norbert; Rami, Harshad Kantilal; Stemp, Geoffrey; Thewlis, Kevin; Thompson, Mervyn; Vong, Antonio Kuok Keong
PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK
SOURCE: PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002034754	A2	20020502	WO 2001-EP12344	20011022
WO 2002034754	A3	20020711		

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

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PRIORITY APPLN. INFO.:			GB 2000-26224	A 20001026
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			WO 2001-EP12344	W 20011022

OTHER SOURCE(S): MARPAT 136:355241
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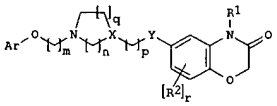
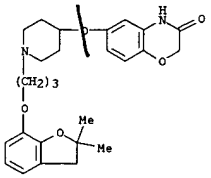


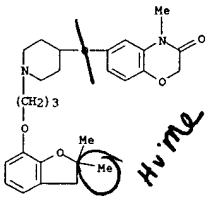
I

L10 ANSWER 2 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
AB The title compds. [I; Ar = (un)substituted Ph, naphthyl, a monocyclic or a bicyclic heteroarom. group; when Ar = Ph or a monocyclic heteroarom. group, substituents positioned ortho to one another may be linked to form a 5-6 membered ring; R1 = H, alkyl, alkenyl, alkynyl, arylalkyl; R2 = halo, alkyl, CN, CF3, alkanoyl, alkoxy, OH; X = CH, N; Y = a single bond, O, CO; p = 0-2; r = 0-3; m = 2-4; n, q = 1-2], useful as medicaments for various CNS disorders, including depression and/or anxiety, were prepd. Thus, reacting 6-(4-piperidinyloxy)-4H-benzo[1,4]oxazin-3-one.HCl with 4-1H-indolyloxyacetaldehyde in the presence of NaBH(OAc)3 in 1,2-dichloroethane afforded 63% I [Ar = 4-indolyl; R1 = H; X = CH; Y = O; p = 0; q = 1; n, m = 2; r = 0]. All compds. I tested according to the radioligand binding assay were found to have pKi values > 6.0 at 5-HT1A receptors.
IT **420784-68-5P 420784-94-7P 420784-95-8P**
420784-97-0P 420784-99-2P 420785-11-1P
420785-50-8P 420785-55-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzoxazinones as antidepressants and anxiolytics)
RN 420784-68-5 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[[1-[3-[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]propyl]-4-piperidinyl]oxy]- (9CI) (CA INDEX NAME)

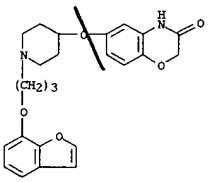


RN 420784-94-7 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[[1-[3-[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]propyl]-4-piperidinyl]oxy]-4-methyl- (9CI) (CA INDEX NAME)

L10 ANSWER 2 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 420784-95-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[[1-[3-(7-benzofuranyloxy)propyl]-4-piperidinyl]oxy]- (9CI) (CA INDEX NAME)



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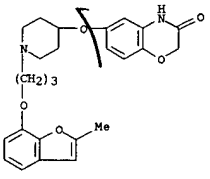
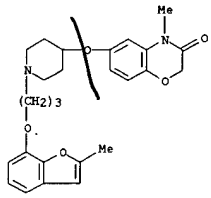
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[[1-[3-[(2-methyl-7-benzofuranyl)oxy]propyl]-4-piperidinyl]oxy]- (9CI) (CA INDEX NAME)



RN 420784-99-2 CAPLUS

L10 ANSWER 2 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-methyl-6-[[1-[3-[(2-methyl-7-benzofuranyl)oxy]propyl]-4-piperidinyl]oxy]- (9CI) (CA INDEX NAME)



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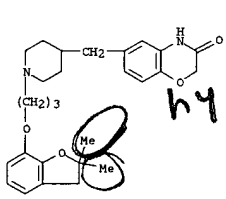
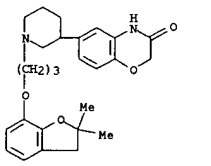
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[[1-[3-[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]propyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

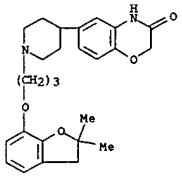


RN 420785-50-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[1-[3-[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]propyl]-3-piperidinyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 2 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 420785-55-3 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[1-[3-[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 3 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:545699 CAPLUS
DOCUMENT NUMBER: 135:137339
TITLE: Synthesis of chimeric molecules consisting of psoralen and retinoid for treating cell hyperproliferation pathologies and in particular psoriasis
INVENTOR(S): Giraud, Michel; Andriamialisoa, Zo; Santus, Rene; Sa E
Melo, Teresa
PATENT ASSIGNEE(S): Centre National de la Recherche Scientifique (CNRS),
Fr.; Instituto Superior Tecnico
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001053301	A1	20010726	WO 2001-FR153	20010118
W: JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
FR 2803849	A1	20010720	FR 2000-655	20000119
FR 2803849	B1	20020419		

PRIORITY APPLN. INFO.: FR 2000-655 A 20000119
OTHER SOURCE(S): MARPAT 135:137339
GI

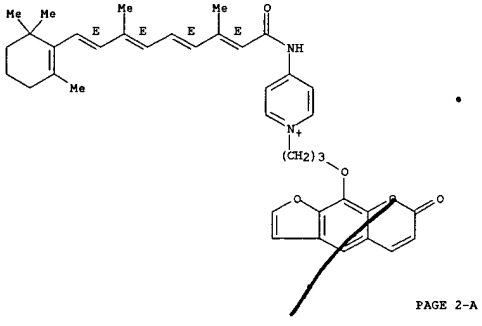
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Chimeric mols. consisting of a retinoid mol. covalently bound via a linking arm to a psoralen mol. or its deriv. such as I [R1 = H, Br, Cl, Br, I, amino, SH, CN, CO2H, CO2-alkyl, alkyloxy, alkylamino, dialkylamino, alkylthio, aryloxy, arylamino, arylthio; L = O-alkyl-(pyridinium)m, S-alkyl-(pyridinium)m, O-CO-alkyl-(pyridinium)m; m = 0, 1; R4, R5 = H, alkyl; n = 1-10; -CR4=CR5- double bond could be Z or E; R6 = (un)substituted cycloalkyl, cycloalkenyl, etc.], were prepd. for treating cell hyperproliferation pathologies, and in particular psoriasis. Thus, 13E-retinoic acid was treated with 4-aminopyridine to give amide II (R = 4-pyridyl) which on reaction with 8-bromo-propyloxy-psoralene afford chimeric mol. II (R = RA). The prepd. chimeric mols. were tested for cytotoxicity and photocytotoxicity against keratinocytes NCTC 2544.
IT **351429-62-4P 351429-63-5P**
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or

L10 ANSWER 3 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);
PREP (Preparation); USES (Uses)
(prepn. and cytotoxicity of chimeric mols. consisting of psoralen and retinoid)
RN 351429-62-4 CAPLUS
CN Pyridinium,
4-[[(2E,4E,6E,8E)-3,7-dimethyl-1-oxo-9-(2,6,6-trimethyl-1-cyclohexen-1-yl)-2,4,6,8-nonatetraenyl]amino]-1-[3-[(7-oxo-7H-furo[3,2-g][1]benzopyran-9-yl)oxy]propyl]-, bromide (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A



PAGE 2-A

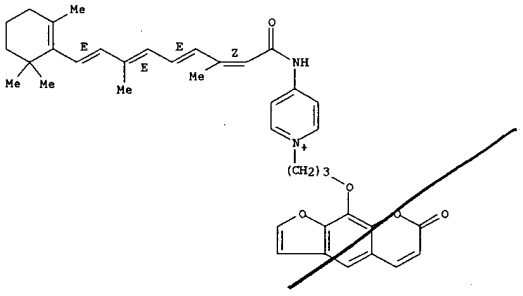
● Br⁻

RN 351429-63-5 CAPLUS
CN Pyridinium,
4-[[(22,4E,6E,8E)-3,7-dimethyl-1-oxo-9-(2,6,6-trimethyl-1-cyclohexen-1-yl)-2,4,6,8-nonatetraenyl]amino]-1-[3-[(7-oxo-7H-furo[3,2-g][1]benzopyran-9-yl)oxy]propyl]-, bromide (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L10 ANSWER 3 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



PAGE 2-A

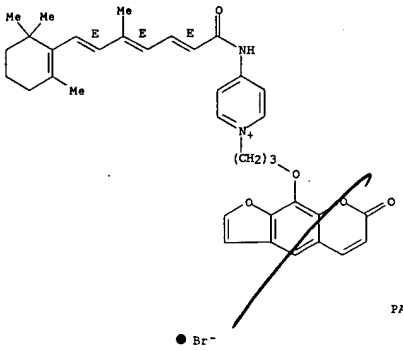
● Br⁻

IT **351429-64-6P 351429-65-7P 351429-66-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and cytotoxicity of chimeric mols. consisting of psoralen and retinoid)
RN 351429-64-6 CAPLUS
CN Pyridinium,
4-[[(2E,4E,6E)-5-methyl-1-oxo-7-(2,6,6-trimethyl-1-cyclohexen-1-yl)-2,4,6-heptatrienyl]amino]-1-[3-[(7-oxo-7H-furo[3,2-g][1]benzopyran-9-yl)oxy]propyl]-, bromide (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L10 ANSWER 3 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

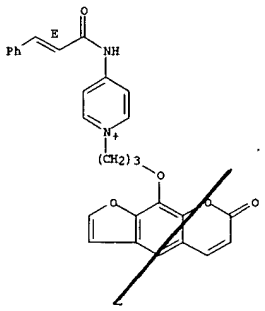
PAGE 1-A



PAGE 2-A

RN 351429-65-7 CAPLUS
CN Pyridinium,
1-[3-[(7-oxo-7H-furo[3,2-g][1]benzopyran-9-yl)oxy]propyl]-4-
[[(2E)-1-oxo-3-phenyl-2-propenyl]amino]- (9CI) (CA INDEX NAME)

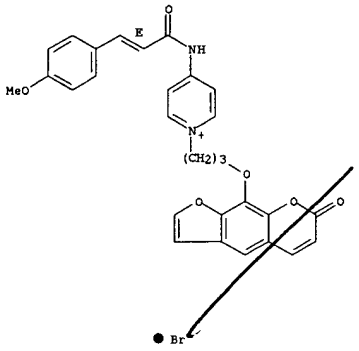
Double bond geometry as shown.



L10 ANSWER 3 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
RN 351429-66-8 CAPLUS
CN Pyridinium,
4-[[(2E)-3-(4-methoxyphenyl)-1-oxo-2-propenyl]amino]-1-[3-[(7-
oxo-7H-furo[3,2-g][1]benzopyran-9-yl)oxy]propyl]-, bromide (9CI) (CA
INDEX NAME)

Double bond geometry as shown.

PAGE 1-A

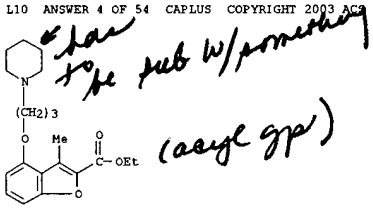


PAGE 2-A

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L10 ANSWER 4 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:518607 CAPLUS
DOCUMENT NUMBER: 135:326946
TITLE: Design and synthesis of novel benzofurans as a new
class of antifungal agents targeting fungal
N-myristoyltransferase. Part 1
AUTHOR(S): Masubuchi, M.; Kawasaki, K.; Ebiike, H.; Ikeda, Y.;
Tsujii, S.; Sogabe, S.; Fujii, T.; Sakata, K.;
Shiratori, Y.; Aoki, Y.; Ohtsuka, T.; Shimma, N.
CORPORATE SOURCE: Nippon Roche Research Center, Kamakura, Kanagawa, 247-8530, Japan
SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(14), 1833-1837
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Potent and selective Candida albicans N-myristoyltransferase (CaNmt) inhibitors have been identified through optimization of a lead compd. 1
discovered by random screening. The inhibitor design is based on the crystal structure of the CaNmt complex with compd. (S)-3 and structure-activity relationships (SARs) have been clarified. Modification
of the C-4 side chain of 1 has led to the discovery of a potent and selective CaNmt inhibitor 11 (RO-09-4609), which exhibits antifungal activity against C. albicans in vitro.
IT **369635-05-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(design and synthesis of novel benzofurans as a new class of antifungal
agents targeting fungal N-myristoyltransferase)
RN 369635-05-2 CAPLUS
CN 2-Benzofurancarboxylic acid, 3-methyl-4-[3-(1-piperidinyl)propoxy]-, ethyl
ester (9CI) (CA INDEX NAME)

L10 ANSWER 4 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)



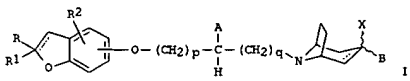
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L10 ANSWER 5 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:472711 CAPLUS
DOCUMENT NUMBER: 135:76778
TITLE: Benzofuran derivatives with activity as serotonin reuptake inhibitors and 5-HT1A antagonists, and their use as antidepressants.
INVENTOR(S): He, John Xiaoqiang; Honigschmidt, Nicholas Allan; Kohn, Todd Jonathan; Rocco, Vincent Patrick; Spinazze, Patrick Gianpietro; Takeuchi, Kumiko
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

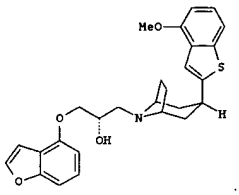
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001046186	A1	20010628	WO 2000-US32425	20001206
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1248786	A1	20021016	EP 2000-983784	20001206
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.: US 1999-172742P P 19991220
WO 2000-US32425 W 20001206
OTHER SOURCE(S): MARPAT 135:76778
GI

L10 ANSWER 5 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)



I



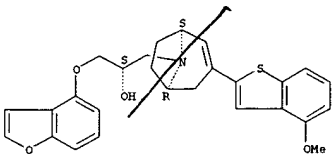
II

AB The invention provides compds. of formula I [A = H, OH, alkoxy; B = (un)substituted benzothienyl, benzofuranyl, indolyl, benzothiazolyl, benzimidazolyl, benzoxazolyl, quinolinyl, phthalazinyl, naphthalenyl, or benzo[h]quinolinyl; X = H, OH, alkoxy, or is absent; R, R1 = H, F, alkyl, CONH2 or (di)alkyl derivs., cyano, or R1 is absent; R2 = H, F, Cl, Br, iodo, OH, alkyl, or alkoxy; p = 0-4; q = 0-3] and their pharmaceutically acceptable salts. The compds. are potent serotonin reuptake inhibitors and antagonists of 5-HT1A receptors (no data). As such, they are expected to be useful for treating depression, anxiety, and alleviating the symptoms caused by withdrawal or partial withdrawal from the use of tobacco or of nicotine. Three synthetic examples and several precursor preprns. are given. For instance, title compd. II (as the oxalate) was prepd. in 84% yield by reaction of endo-3-(4-methoxybenzo[b]thiophen-2-yl)-8-azabicyclo[3.2.1]octane (prepn. given) with (2S)-4-(glycidyloxy)benzofuran in refluxing MeOH.

IT **345995-17-7P 345995-18-8P 345995-19-9P 345995-20-2P 345995-21-3P 345995-22-4P 345995-23-5P 345995-24-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of benzofuran derivs. as serotonin reuptake inhibitors and 5-HT1A antagonists for use as antidepressants)

RN 345995-17-7 CAPLUS
CN 8-Azabicyclo[3.2.1]oct-2-ene-8-ethanol, .alpha.-[(4-benzofuranyloxy)methyl]-3-(4-methoxybenzo[b]thien-2-yl)-, (.alpha.S,1S,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

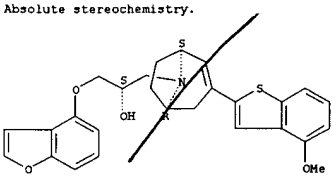


RN 345995-18-8 CAPLUS
CN 8-Azabicyclo[3.2.1]oct-2-ene-8-ethanol, .alpha.-[(4-benzofuranyloxy)methyl]-3-(4-methoxybenzo[b]thien-2-yl)-, (.alpha.S,1S,5R)-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 345995-17-7
CMF C27 H27 N O4 S

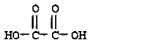
Absolute stereochemistry.



CM 2

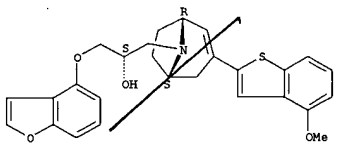
CRN 144-62-7
CMF C2 H2 O4

L10 ANSWER 5 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 345995-19-9 CAPLUS
CN 8-Azabicyclo[3.2.1]oct-2-ene-8-ethanol, .alpha.-[(4-benzofuranyloxy)methyl]-3-(4-methoxybenzo[b]thien-2-yl)-, (.alpha.S,1R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

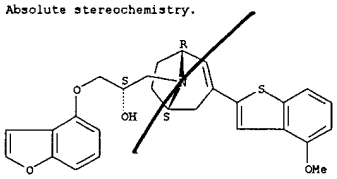


RN 345995-20-2 CAPLUS
CN 8-Azabicyclo[3.2.1]oct-2-ene-8-ethanol, .alpha.-[(4-benzofuranyloxy)methyl]-3-(4-methoxybenzo[b]thien-2-yl)-, (.alpha.S,1R,5S)-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 345995-19-9
CMF C27 H27 N O4 S

Absolute stereochemistry.



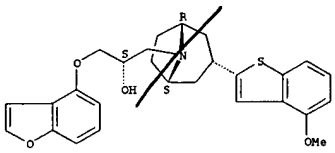
CM 2

CRN 144-62-7
CMF C2 H2 O4

L10 ANSWER 5 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 345995-21-3 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-8-ethanol,
.alpha.-[(4-benzofuranyloxy)methyl]-3-
(4-methoxybenzo[b]thien-2-yl)-, (.alpha.S,3-endo)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

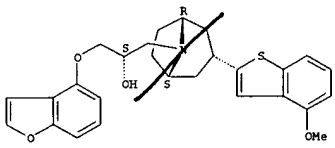


RN 345995-22-4 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-8-ethanol,
.alpha.-[(4-benzofuranyloxy)methyl]-3-
(4-methoxybenzo[b]thien-2-yl)-, (.alpha.S,3-endo)-, ethanedioate (1:1)
(salt) (9CI) (CA INDEX NAME)

CM 1

CRN 345995-21-3
CMF C27 H29 N O4 S

Absolute stereochemistry. Rotation (+).



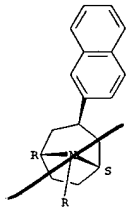
CM 2

CRN 144-62-7
CMF C2 H2 O4

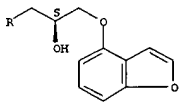
L10 ANSWER 5 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
CMF C28 H29 N O3

Absolute stereochemistry. Rotation (-).

PAGE 1-A



PAGE 2-A



CM 2

CRN 144-62-7
CMF C2 H2 O4

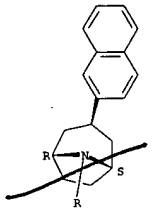
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 5 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

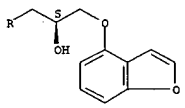
RN 345995-23-5 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-8-ethanol,
.alpha.-[(4-benzofuranyloxy)methyl]-3-
(2-naphthalenyl)-, (.alpha.S,3-exo)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

PAGE 1-A



PAGE 2-A



RN 345995-24-6 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-8-ethanol,
.alpha.-[(4-benzofuranyloxy)methyl]-3-
(2-naphthalenyl)-, (.alpha.S,3-exo)-, ethanedioate (1:1) (salt) (9CI) (CA
INDEX NAME)

CM 1

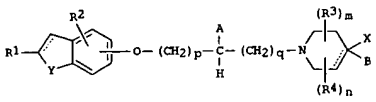
CRN 345995-23-5

L10 ANSWER 6 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:472704 CAPLUS
DOCUMENT NUMBER: 135:76799
TITLE: Piperidine derivatives with activity as serotonin reuptake inhibitors and 5-HT1A antagonists, and their use as antidepressants.
INVENTOR(S): He, John Xiaoqiang; Honigschmidt, Nicholas Allan; Kohn, Todd Jonathan; Rocco, Vincent Patrick; Spinazze, Patrick Gianpietro; Takeuchi, Kumiko
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

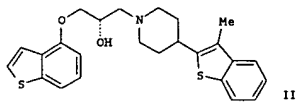
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001046179	A1	20010628	WO 2000-US32426	20001206
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1250336	A1	20021023	EP 2000-986241	20001206
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.: US 1999-172723P P 19991220
WO 2000-US32426 W 20001206
OTHER SOURCE(S): MARPAT 135:76799
GI

L10 ANSWER 6 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)



I



II

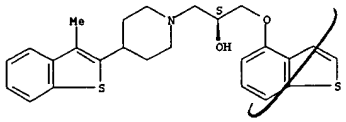
AB The invention provides compds. of formula I [A = H, OH, alkoxy; B = (un)substituted benzothienyl, benzofuranyl, indolyl, benzothiazolyl, benzimidazolyl, benzoxazolyl, quinolinyl, phthalazinyl, naphthalenyl, or benzo[h]quinolinyl; X = H, OH, alkoxy, or is absent; Y = S, CH2; R1 = H, F, alkyl, CONH2 or (di)alkyl derivs., or cyano; R2 = H, F, Cl, Br, iodo, OH, alkyl, or alkoxy; R3, R4 = H, alkyl; m, n = 0-2; p = 0-4; q = 0-3] and their pharmaceutically acceptable salts. The compds. are potent serotonin reuptake inhibitors and antagonists of 5-HT1A receptors (no data). As such, they are expected to be useful for treating depression, anxiety, and alleviating the symptoms caused by withdrawal or partial withdrawal from the use of tobacco or of nicotine. Four synthetic examples and several precursor prepns. are given. For instance, title compd. II was prepd. in 55% yield by reaction of (S)-(+)-4-(oxiranylmethoxy)benzo[b]thiophene with the corresponding (methylbenzothienyl)piperidine in refluxing MeOH.

IT **346424-82-6P 346424-83-7P 346424-84-8P 346424-85-9P 346424-86-0P 346424-87-1P 346424-88-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of piperidine derivs. as serotonin reuptake

L10 ANSWER 6 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
inhibitors and 5-HT1A antagonists for use as antidepressants)

RN 346424-82-6 CAPLUS
CN 1-Piperidineethanol, .alpha.-[(benzo[b]thien-4-yloxy)methyl]-4-(3-methylbenzo[b]thien-2-yl)-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

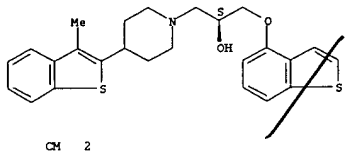


RN 346424-83-7 CAPLUS
CN 1-Piperidineethanol, .alpha.-[(benzo[b]thien-4-yloxy)methyl]-4-(3-methylbenzo[b]thien-2-yl)-, (.alpha.S)-, ethanedioate (1:1) (salt) (9CI)
(CA INDEX NAME)

CM 1

CRN 346424-82-6
CMF C25 H27 N O2 S2

Absolute stereochemistry. Rotation (-).



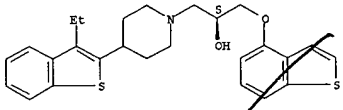
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 346424-84-8 CAPLUS
CN 1-Piperidineethanol, .alpha.-[(benzo[b]thien-4-yloxy)methyl]-4-(3-ethylbenzo[b]thien-2-yl)-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L10 ANSWER 6 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

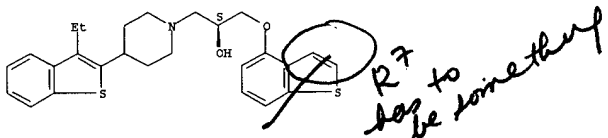


RN 346424-85-9 CAPLUS
CN 1-Piperidineethanol, .alpha.-[(benzo[b]thien-4-yloxy)methyl]-4-(3-ethylbenzo[b]thien-2-yl)-, (.alpha.S)-, ethanedioate (1:1) (salt) (9CI)
(CA INDEX NAME)

CM 1

CRN 346424-84-8
CMF C26 H29 N O2 S2

Absolute stereochemistry. Rotation (-).



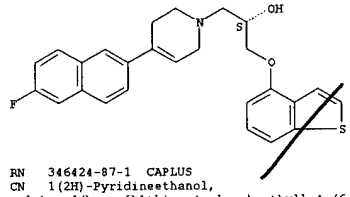
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 346424-86-0 CAPLUS
CN 1(2H)-Pyridineethanol, .alpha.-[(benzo[b]thien-4-yloxy)methyl]-4-(6-fluoro-2-naphthalenyl)-3,6-dihydro-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L10 ANSWER 6 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

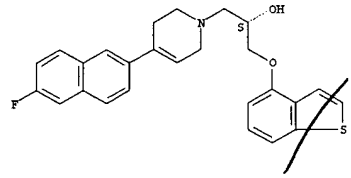


RN 346424-87-1 CAPLUS
CN 1(2H)-Pyridineethanol, .alpha.-[(benzo[b]thien-4-yloxy)methyl]-4-(6-fluoro-2-naphthalenyl)-3,6-dihydro-, (.alpha.S)-, ethanedioate (1:1) (salt) (9CI)
(CA INDEX NAME)

CM 1

CRN 346424-86-0
CMF C26 H24 F N O2 S

Absolute stereochemistry. Rotation (-).

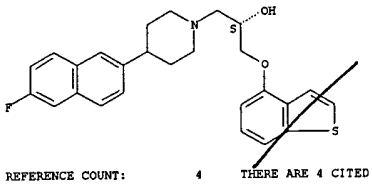


CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 346424-88-2 CAPLUS
CN 1-Piperidineethanol, .alpha.-[(benzo[b]thien-4-yloxy)methyl]-4-(6-fluoro-2-naphthalenyl)-, (.alpha.S)- (9CI) (CA INDEX NAME)

L10 ANSWER 6 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:472702 CAPLUS
DOCUMENT NUMBER: 135:76777
TITLE: Benzofuran derivatives with activity as serotonin reuptake inhibitors and 5-HT1A antagonists, and their
use as antidepressants.
INVENTOR(S): He, John Xiaoqiang; Honigschmidt, Nicholas Allan; Kohn, Todd Jonathan; Rocco, Vincent Patrick; Spinazze,
Patrick Gianpietro; Takeuchi, Kumiko
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: PCT Int. Appl., 138 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001046177	A1	20010628	WO 2000-US32427	20001206
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1246822	A1	20021009	EP 2000-983785	20001206
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.: US 1999-172607P P 19991220
WO 2000-US32427 W 20001206
OTHER SOURCE(S): MARPAT 135:76777
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention provides compds. of formula I [A = H, OH, alkoxy; B = (un)substituted benzothienyl, benzofuranyl, indolyl, benzothiazolyl, benzimidazolyl, benzoxazolyl, quinolinyl, phthalazinyl, naphthalenyl, or
benzo[h]quinolinyl; X = H, OH, alkoxy, or is absent; R, R1 = H, F, alkyl,

L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
CONH2 or (di)alkyl derivs., cyano, or R1 is absent; R2 = H, F, Cl, Br,
iodo, OH, alkyl, or alkoxy; R3, R4 = H, alkyl; m, n = 0-2; p = 0-4; q =
0-3] and their pharmaceutically acceptable salts. The compds. are potent
serotonin reuptake inhibitors and antagonists of 5-HT1A receptors (no data). As such, they are expected to be useful for treating depression,
anxiety, and alleviating the symptoms caused by withdrawal or partial withdrawal from the use of tobacco or of nicotine. Approx. 35 synthetic
examples and several precursor prepns. are given. For instance, diastereomeric title compds. II and III were prepd. in 38% yield each by
reaction of (.+-.)-cis-4-(6-methoxybenzo[b]thiophen-2-yl)-2-methylpiperidine (prepn. given) with (2S)-4-(glycidyloxy)benzofuran in
refluxing MeOH.

IT **346695-31-6P 346695-32-7P 346695-33-8P**
346695-34-9P 346695-35-0P 346695-37-2P
346695-38-3P 346695-39-4P 346695-40-7P
346695-42-9P 346695-43-0P 346695-45-2P
346695-46-3P 346695-48-5P 346695-49-6P
346695-51-0P 346695-52-1P 346695-56-5P
346695-57-6P 346695-58-7P 346695-59-8P
346695-61-2P 346695-62-3P 346695-64-5P
346695-65-6P 346695-67-8P 346695-68-9P
346695-69-0P 346695-70-3P 346695-71-4P
346695-72-5P 346695-73-6P 346695-74-7P
346695-76-9P 346695-77-0P 346695-78-1P
346695-79-2P 346695-83-8P 346695-84-9P
346695-85-0P 346695-86-1P 346695-87-2P
346695-88-3P 346695-89-4P 346695-90-7P
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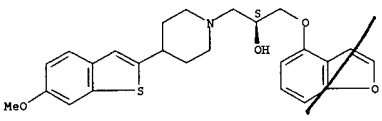
346696-02-4P 346696-03-5P 346696-05-7P
346696-06-8P 346696-07-9P 346696-08-0P
346696-09-1P 346696-10-4P 346696-12-6P
346696-14-8P 346696-15-9P 346696-16-0P
346696-18-2P 346696-19-3P 346696-20-6P
346696-21-7P 346696-22-8P 346696-23-9P
346696-24-0P 346698-28-0P 346698-29-1P
346698-30-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of benzofuran derivs. as serotonin reuptake
inhibitors and 5-HT1A antagonists for use as antidepressants)

RN 346695-31-6 CAPLUS
CN 1-Piperidineethanol, .alpha.-[(4-benzofuranyloxy)methyl]-4-(6-methoxybenzo[b]thien-2-yl)-, (.alpha.S)- (9CI) (CA INDEX NAME)

L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
Absolute stereochemistry. Rotation (-).

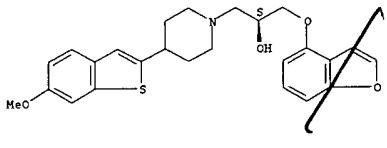


RN 346695-32-7 CAPLUS
CN 1-Piperidineethanol, .alpha.-[(4-benzofuranyloxy)methyl]-4-(6-methoxybenzo[b]thien-2-yl)-, (.alpha.S)-, ethanedioate (1:1) (salt) (9CI)
(CA INDEX NAME)

CM 1

CRN 346695-31-6
CMF C25 H27 N O4 S

Absolute stereochemistry. Rotation (-).

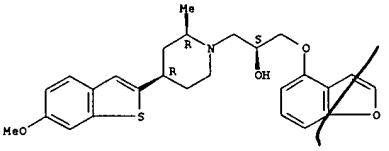


CM 2

CRN 144-62-7
CMF C2 H2 O4

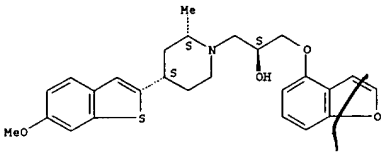
RN 346695-33-8 CAPLUS
CN 1-Piperidineethanol, .alpha.-[(4-benzofuranyloxy)methyl]-4-(6-methoxybenzo[b]thien-2-yl)-2-methyl-, (.alpha.S,2R,4R)- (9CI) (CA INDEX
NAME)

Absolute stereochemistry. Rotation (-).



RN 346695-34-9 CAPLUS
CN 1-Piperidineethanol, .alpha.-[(4-benzofuranyloxy)methyl]-4-(6-methoxybenzo[b]thien-2-yl)-2-methyl-, (.alpha.S,2S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

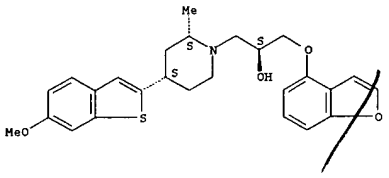


RN 346695-35-0 CAPLUS
CN 1-Piperidineethanol, .alpha.-[(4-benzofuranyloxy)methyl]-4-(6-methoxybenzo[b]thien-2-yl)-2-methyl-, (.alpha.S,2S,4S)-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 346695-34-9
CMF C26 H29 N O4 S

Absolute stereochemistry. Rotation (+).

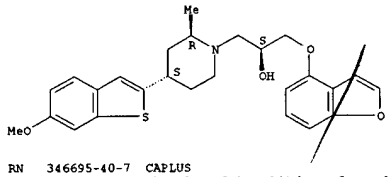


CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 346695-39-4 CAPLUS
CN 1-Piperidineethanol, .alpha.-[(4-benzofuranyloxy)methyl]-4-(6-methoxybenzo[b]thien-2-yl)-2-methyl-, (.alpha.S,2R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

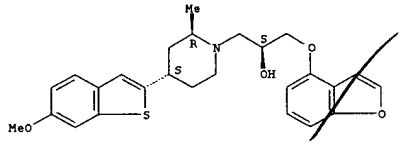


RN 346695-40-7 CAPLUS
CN 1-Piperidineethanol, .alpha.-[(4-benzofuranyloxy)methyl]-4-(6-methoxybenzo[b]thien-2-yl)-2-methyl-, (.alpha.S,2R,4S)-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 346695-39-4
CMF C26 H29 N O4 S

Absolute stereochemistry.

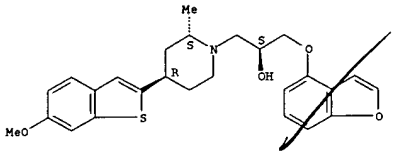


CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 346695-37-2 CAPLUS
CN 1-Piperidineethanol, .alpha.-[(4-benzofuranyloxy)methyl]-4-(6-methoxybenzo[b]thien-2-yl)-2-methyl-, (.alpha.S,2S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

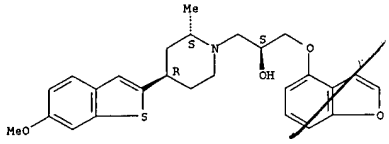


RN 346695-38-3 CAPLUS
CN 1-Piperidineethanol, .alpha.-[(4-benzofuranyloxy)methyl]-4-(6-methoxybenzo[b]thien-2-yl)-2-methyl-, (.alpha.S,2S,4R)-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 346695-37-2
CMF C26 H29 N O4 S

Absolute stereochemistry.

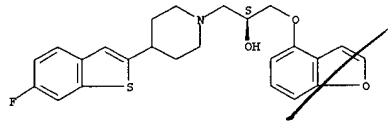


CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 346695-42-9 CAPLUS
CN 1-Piperidineethanol, .alpha.-[(4-benzofuranyloxy)methyl]-4-(6-fluorobenzo[b]thien-2-yl)-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

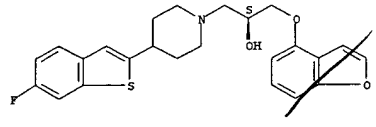


RN 346695-43-0 CAPLUS
CN 1-Piperidineethanol, .alpha.-[(4-benzofuranyloxy)methyl]-4-(6-fluorobenzo[b]thien-2-yl)-, (.alpha.S)-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 346695-42-9
CMF C24 H24 F N O3 S

Absolute stereochemistry. Rotation (+).



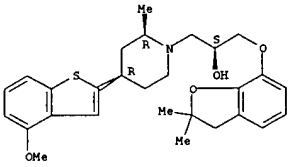
CM 2

CRN 144-62-7

L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
CMF C2 H2 O4

RN 346695-45-2 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-4-(4-methoxybenzo[b]thien-2-yl)-2-methyl-, (.alpha.S,2R,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

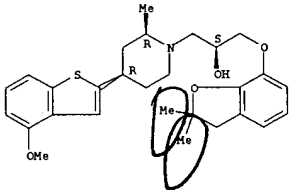


RN 346695-46-3 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-4-(4-methoxybenzo[b]thien-2-yl)-2-methyl-, (.alpha.S,2R,4R)-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

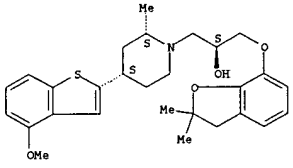
CM 1

CRN 346695-45-2
CMF C28 H35 N O4 S

Absolute stereochemistry. Rotation (-).



L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

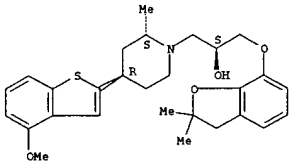


CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 346695-51-0 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-4-(4-methoxybenzo[b]thien-2-yl)-2-methyl-, (.alpha.S,2S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 346695-52-1 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-4-(4-methoxybenzo[b]thien-2-yl)-2-methyl-, (.alpha.S,2S,4R)-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

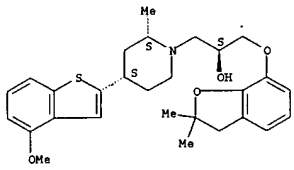
CRN 346695-51-0

L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 346695-48-5 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-4-(4-methoxybenzo[b]thien-2-yl)-2-methyl-, (.alpha.S,2S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 346695-49-6 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-4-(4-methoxybenzo[b]thien-2-yl)-2-methyl-, (.alpha.S,2S,4S)-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

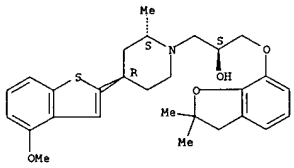
CM 1

CRN 346695-48-5
CMF C28 H35 N O4 S

Absolute stereochemistry. Rotation (+).

L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
CMF C28 H35 N O4 S

Absolute stereochemistry.

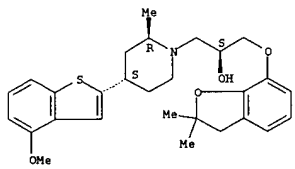


CM 2

CRN 144-62-7
CMF C2 H2 O4

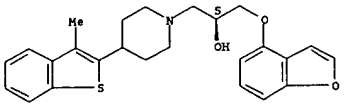
RN 346695-56-5 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-4-(4-methoxybenzo[b]thien-2-yl)-2-methyl-, (.alpha.S,2R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



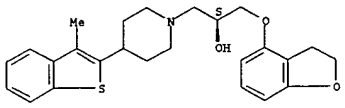
RN 346695-57-6 CAPLUS
CN 1-Piperidineethanol, .alpha.-[(4-benzofuranyloxy)methyl]-4-(3-methylbenzo[b]thien-2-yl)-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 346695-58-7 CAPLUS
CN 1-Piperidineethanol,
.alpha.-[[(2,3-dihydro-4-benzofuranyl)oxy]methyl]-4-
(3-methylbenzo[b]thien-2-yl)-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

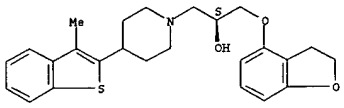


RN 346695-59-8 CAPLUS
CN 1-Piperidineethanol,
.alpha.-[[(2,3-dihydro-4-benzofuranyl)oxy]methyl]-4-
(3-methylbenzo[b]thien-2-yl)-, (.alpha.S)-, ethanedioate (1:1) (salt)
(9CI) (CA INDEX NAME)

CM 1

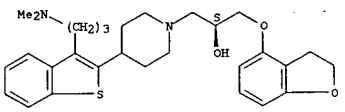
CRN 346695-58-7
CMF C25 H29 N O3 S

Absolute stereochemistry. Rotation (-).



CM 2

CRN 144-62-7
CMF C2 H2 O4

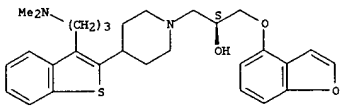


CM 2

CRN 144-62-7
CMF C2 H2 O4

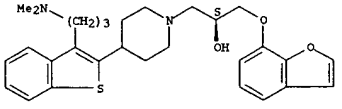
RN 346695-65-6 CAPLUS
CN 1-Piperidineethanol, .alpha.-[(4-benzofuranyloxy)methyl]-4-[3-[3-
(dimethylamino)propyl]benzo[b]thien-2-yl]-, (.alpha.S)- (9CI) (CA
INDEX
NAME)

Absolute stereochemistry.



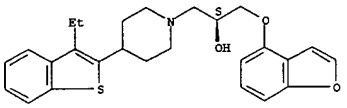
RN 346695-67-8 CAPLUS
CN 1-Piperidineethanol, .alpha.-[(7-benzofuranyloxy)methyl]-4-[3-[3-
(dimethylamino)propyl]benzo[b]thien-2-yl]-, (.alpha.S)- (9CI) (CA
INDEX
NAME)

Absolute stereochemistry.



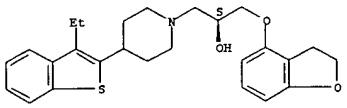
RN 346695-61-2 CAPLUS
CN 1-Piperidineethanol, .alpha.-[(4-benzofuranyloxy)methyl]-4-(3-
ethylbenzo[b]thien-2-yl)-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 346695-62-3 CAPLUS
CN 1-Piperidineethanol,
.alpha.-[[(2,3-dihydro-4-benzofuranyl)oxy]methyl]-4-
(3-ethylbenzo[b]thien-2-yl)-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 346695-64-5 CAPLUS
CN 1-Piperidineethanol,
.alpha.-[[(2,3-dihydro-4-benzofuranyl)oxy]methyl]-4-
[3-[3-(dimethylamino)propyl]benzo[b]thien-2-yl]-, (.alpha.S)-,
ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 346695-63-4
CMF C29 H38 N2 O3 S

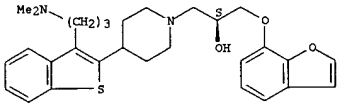
Absolute stereochemistry.

RN 346695-68-9 CAPLUS
CN 1-Piperidineethanol, .alpha.-[(7-benzofuranyloxy)methyl]-4-[3-[3-
(dimethylamino)propyl]benzo[b]thien-2-yl]-, (.alpha.S)-, ethanedioate
(1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 346695-67-8
CMF C29 H36 N2 O3 S

Absolute stereochemistry.

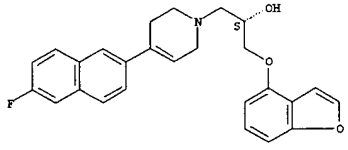


CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 346695-69-0 CAPLUS
CN 1(2H)-Pyridineethanol,
.alpha.-[(4-benzofuranyloxy)methyl]-4-(6-fluoro-2-
naphthalenyl)-3,6-dihydro-, (.alpha.S)- (9CI) (CA INDEX NAME)

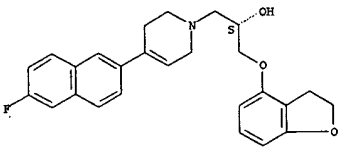
Absolute stereochemistry.



RN 346695-70-3 CAPLUS
CN 1(2H)-Pyridineethanol,
.alpha.-[[(2,3-dihydro-4-benzofuranyl)oxy]methyl]-4-
(6-fluoro-2-naphthalenyl)-3,6-dihydro-, (.alpha.S)- (9CI) (CA INDEX
NAME)

L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

Absolute stereochemistry. Rotation (-).

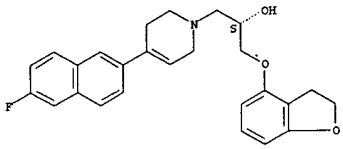


RN 346695-71-4 CAPLUS
CN 1(2H)-Pyridineethanol,
.alpha.-[[(2,3-dihydro-4-benzofuranyl)oxy]methyl]-4-
(6-fluoro-2-naphthalenyl)-3,6-dihydro-, (.alpha.S)-, ethanedioate
(1:1)
(salt) (9CI) (CA INDEX NAME)

CM 1

CRN 346695-70-3
CMF C26 H26 F N O3

Absolute stereochemistry. Rotation (-).



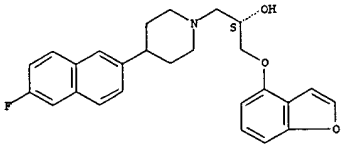
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 346695-72-5 CAPLUS
CN 1-Piperidineethanol,
.alpha.-[(4-benzofuranyloxy)methyl]-4-(6-fluoro-2-

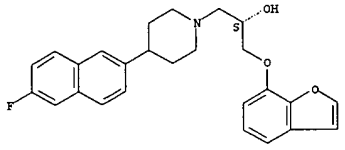
L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
naphthalenyl)-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



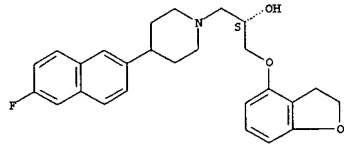
RN 346695-73-6 CAPLUS
CN 1-Piperidineethanol,
.alpha.-[(7-benzofuranyloxy)methyl]-4-(6-fluoro-2-
naphthalenyl)-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 346695-74-7 CAPLUS
CN 1-Piperidineethanol,
.alpha.-[[(2,3-dihydro-4-benzofuranyl)oxy]methyl]-4-
(6-fluoro-2-naphthalenyl)-, (.alpha.S)- (9CI) (CA INDEX NAME)

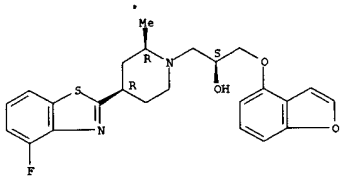
Absolute stereochemistry. Rotation (+).



RN 346695-76-9 CAPLUS
CN 1-Piperidineethanol,
.alpha.-[(4-benzofuranyloxy)methyl]-4-(4-fluoro-2-

L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
benzothiazolyl)-2-methyl-, (.alpha.S,2R,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

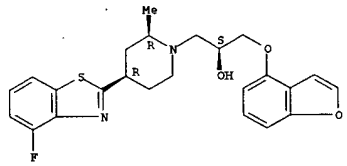


RN 346695-77-0 CAPLUS
CN 1-Piperidineethanol,
.alpha.-[(4-benzofuranyloxy)methyl]-4-(4-fluoro-2-
benzothiazolyl)-2-methyl-, (.alpha.S,2R,4R)-, ethanedioate (1:1)
(salt)
(9CI) (CA INDEX NAME)

CM 1

CRN 346695-76-9
CMF C24 H25 F N2 O3 S

Absolute stereochemistry. Rotation (-).



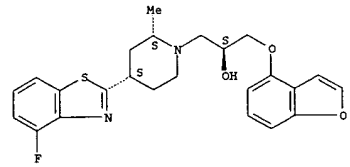
CM 2

CRN 144-62-7
CMF C2 H2 O4

L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 346695-78-1 CAPLUS
CN 1-Piperidineethanol,
.alpha.-[(4-benzofuranyloxy)methyl]-4-(4-fluoro-2-
benzothiazolyl)-2-methyl-, (.alpha.S,2S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

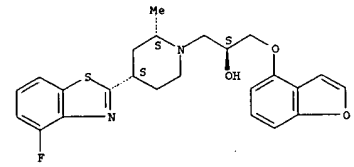


RN 346695-79-2 CAPLUS
CN 1-Piperidineethanol,
.alpha.-[(4-benzofuranyloxy)methyl]-4-(4-fluoro-2-
benzothiazolyl)-2-methyl-, (.alpha.S,2S,4S)-, ethanedioate (1:1)
(salt)
(9CI) (CA INDEX NAME)

CM 1

CRN 346695-78-1
CMF C24 H25 F N2 O3 S

Absolute stereochemistry. Rotation (-).



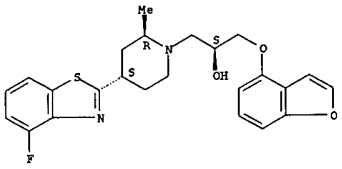
L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 346695-83-8 CAPLUS
CN 1-Piperidineethanol,
.alpha.-[(4-benzofuranyloxy)methyl]-4-(4-fluoro-2-benzothiazolyl)-2-methyl-, (.alpha.S,2R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 346695-84-9 CAPLUS
CN 1-Piperidineethanol,
.alpha.-[(4-benzofuranyloxy)methyl]-4-(4-fluoro-2-benzothiazolyl)-2-methyl-, (.alpha.S,2R,4S)-, ethanedioate (1:1) (salt)
(9CI) (CA INDEX NAME)

CM 1

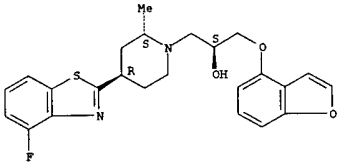
CRN 346695-83-8
CMF C24 H25 F N2 O3 S

Absolute stereochemistry. Rotation (-).

L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

CRN 346695-85-0
CMF C24 H25 F N2 O3 S

Absolute stereochemistry. Rotation (-).

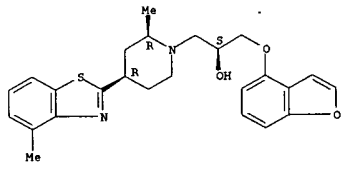


CM 2

CRN 144-62-7
CMF C2 H2 O4

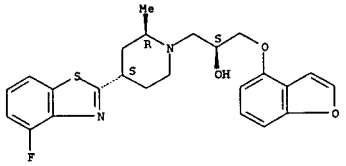
RN 346695-87-2 CAPLUS
CN 1-Piperidineethanol,
.alpha.-[(4-benzofuranyloxy)methyl]-2-methyl-4-(4-methyl-2-benzothiazolyl)-, (.alpha.S,2R,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 346695-88-3 CAPLUS
CN 1-Piperidineethanol,
.alpha.-[(4-benzofuranyloxy)methyl]-2-methyl-4-(4-

L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

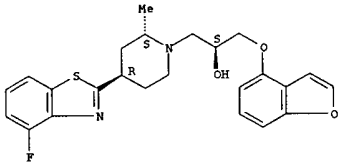


CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 346695-85-0 CAPLUS
CN 1-Piperidineethanol,
.alpha.-[(4-benzofuranyloxy)methyl]-4-(4-fluoro-2-benzothiazolyl)-2-methyl-, (.alpha.S,2S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 346695-86-1 CAPLUS
CN 1-Piperidineethanol,
.alpha.-[(4-benzofuranyloxy)methyl]-4-(4-fluoro-2-benzothiazolyl)-2-methyl-, (.alpha.S,2S,4R)-, ethanedioate (1:1) (salt)
(9CI) (CA INDEX NAME)

CM 1

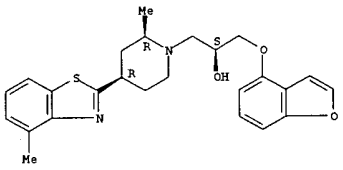
L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

methyl-2-benzothiazolyl)-, (.alpha.S,2R,4R)-, ethanedioate (1:1) (salt)
(9CI) (CA INDEX NAME)

CM 1

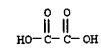
CRN 346695-87-2
CMF C25 H28 N2 O3 S

Absolute stereochemistry.



CM 2

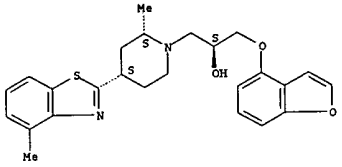
CRN 144-62-7
CMF C2 H2 O4



RN 346695-89-4 CAPLUS
CN 1-Piperidineethanol,
.alpha.-[(4-benzofuranyloxy)methyl]-2-methyl-4-(4-methyl-2-benzothiazolyl)-, (.alpha.S,2S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

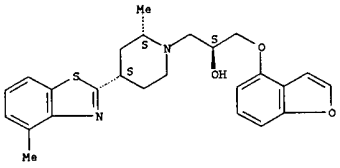


RN 346695-90-7 CAPLUS
CN 1-Piperidineethanol,
.alpha.-[(4-benzofuranyloxy)methyl]-2-methyl-4-(4-
methyl-2-benzothiazolyl)-, (.alpha.S,2S,4S)-, ethanedioate (1:1)
(salt)
(9CI) (CA INDEX NAME)

CM 1

CRN 346695-89-4
CMF C25 H28 N2 O3 S

Absolute stereochemistry.



CM 2

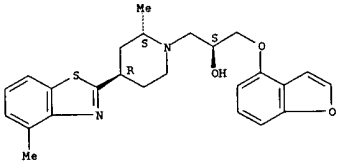
CRN 144-62-7
CMF C2 H2 O4

RN 346695-92-9 CAPLUS

L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

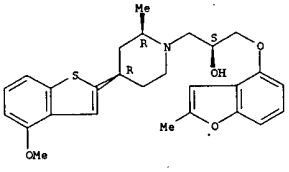
RN 346695-94-1 CAPLUS
CN 1-Piperidineethanol,
.alpha.-[(4-benzofuranyloxy)methyl]-2-methyl-4-(4-
methyl-2-benzothiazolyl)-, (.alpha.S,2S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 346695-96-3 CAPLUS
CN 1-Piperidineethanol,
4-(4-methoxybenzo[b]thien-2-yl)-2-methyl-.alpha.-[[(2-
methyl-4-benzofuranyl)oxy]methyl]-, (.alpha.S,2R,4R)- (9CI) (CA
INDEX
NAME)

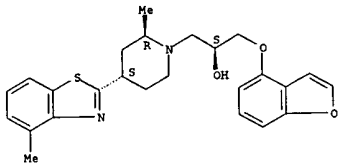
Absolute stereochemistry. Rotation (-).



RN 346695-98-5 CAPLUS
CN 1-Piperidineethanol,
4-(4-methoxybenzo[b]thien-2-yl)-2-methyl-.alpha.-[[(2-
methyl-4-benzofuranyl)oxy]methyl]-, (.alpha.S,2R,4R)-, ethanedioate
(1:1)
(salt) (9CI) (CA INDEX NAME)

L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN 1-Piperidineethanol,
.alpha.-[(4-benzofuranyloxy)methyl]-2-methyl-4-(4-
methyl-2-benzothiazolyl)-, (.alpha.S,2R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

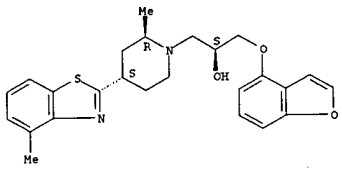


RN 346695-93-0 CAPLUS
CN 1-Piperidineethanol,
.alpha.-[(4-benzofuranyloxy)methyl]-2-methyl-4-(4-
methyl-2-benzothiazolyl)-, (.alpha.S,2R,4S)-, ethanedioate (1:1)
(salt)
(9CI) (CA INDEX NAME)

CM 1

CRN 346695-92-9
CMF C25 H28 N2 O3 S

Absolute stereochemistry.



CM 2

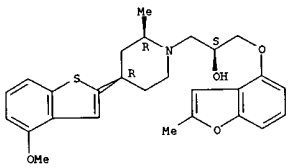
CRN 144-62-7
CMF C2 H2 O4

L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

CM 1

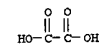
CRN 346695-96-3
CMF C27 H31 N O4 S

Absolute stereochemistry. Rotation (-).



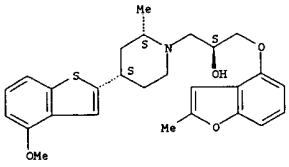
CM 2

CRN 144-62-7
CMF C2 H2 O4



RN 346696-00-2 CAPLUS
CN 1-Piperidineethanol,
4-(4-methoxybenzo[b]thien-2-yl)-2-methyl-.alpha.-[[(2-
methyl-4-benzofuranyl)oxy]methyl]-, (.alpha.S,2S,4S)- (9CI) (CA INDEX
NAME)

Absolute stereochemistry. Rotation (+).

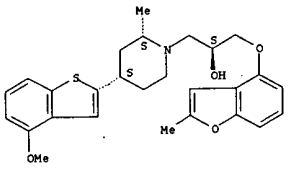


L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
RN 346696-02-4 CAPLUS
CN 1-Piperidineethanol,
4-(4-methoxybenzo[b]thien-2-yl)-2-methyl-.alpha.-[[(2-methyl-4-benzofuranyl)oxy]methyl]-, (.alpha.S,2S,4S)-, ethanedioate (1:1)
(salt) (9CI) (CA INDEX NAME)

CM 1

CRN 346696-00-2
CMF C27 H31 N O4 S

Absolute stereochemistry. Rotation (+).



CM 2

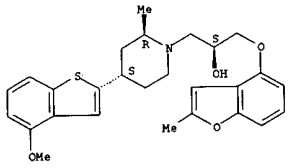
CRN 144-62-7
CMF C2 H2 O4

RN 346696-03-5 CAPLUS
CN 1-Piperidineethanol,
4-(4-methoxybenzo[b]thien-2-yl)-2-methyl-.alpha.-[[(2-methyl-4-benzofuranyl)oxy]methyl]-, (.alpha.S,2S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN 1-Piperidineethanol,
4-(4-methoxybenzo[b]thien-2-yl)-2-methyl-.alpha.-[[(2-methyl-4-benzofuranyl)oxy]methyl]-, (.alpha.S,2R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

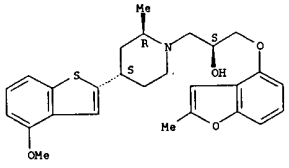


RN 346696-07-9 CAPLUS
CN 1-Piperidineethanol,
4-(4-methoxybenzo[b]thien-2-yl)-2-methyl-.alpha.-[[(2-methyl-4-benzofuranyl)oxy]methyl]-, (.alpha.S,2R,4S)-, ethanedioate (1:1)
(salt) (9CI) (CA INDEX NAME)

CM 1

CRN 346696-06-8
CMF C27 H31 N O4 S

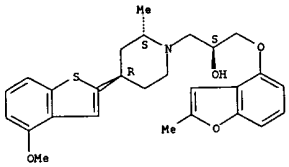
Absolute stereochemistry. Rotation (+).



CM 2

CRN 144-62-7
CMF C2 H2 O4

L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

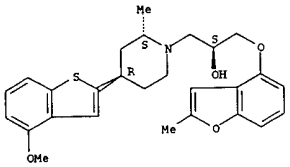


RN 346696-05-7 CAPLUS
CN 1-Piperidineethanol,
4-(4-methoxybenzo[b]thien-2-yl)-2-methyl-.alpha.-[[(2-methyl-4-benzofuranyl)oxy]methyl]-, (.alpha.S,2S,4R)-, ethanedioate (1:1)
(salt) (9CI) (CA INDEX NAME)

CM 1

CRN 346696-03-5
CMF C27 H31 N O4 S

Absolute stereochemistry. Rotation (-).



CM 2

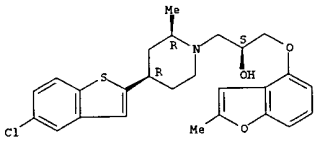
CRN 144-62-7
CMF C2 H2 O4

RN 346696-06-8 CAPLUS

L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

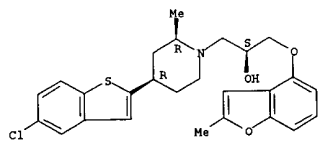
RN 346696-08-0 CAPLUS
CN 1-Piperidineethanol,
4-(5-chlorobenzo[b]thien-2-yl)-2-methyl-.alpha.-[[(2-methyl-4-benzofuranyl)oxy]methyl]-, (.alpha.S,2R,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 346696-09-1 CAPLUS
CN 1-Piperidineethanol,
4-(5-chlorobenzo[b]thien-2-yl)-2-methyl-.alpha.-[[(2-methyl-4-benzofuranyl)oxy]methyl]-, hydrochloride, (.alpha.S,2R,4R)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

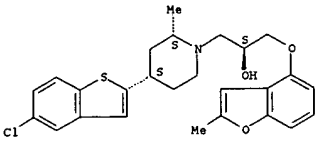


● HCl

RN 346696-10-4 CAPLUS
CN 1-Piperidineethanol,
4-(5-chlorobenzo[b]thien-2-yl)-2-methyl-.alpha.-[[(2-methyl-4-benzofuranyl)oxy]methyl]-, (.alpha.S,2S,4S)- (9CI) (CA INDEX NAME)

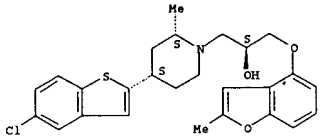
L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

Absolute stereochemistry.



RN 346696-12-6 CAPLUS
CN 1-Piperidineethanol,
4-(5-chlorobenzo[b]thien-2-yl)-2-methyl-.alpha.-[[(2-methyl-4-benzofuranyl)oxy]methyl]-, hydrochloride, (.alpha.S,2S,4S)-(9CI)
(CA INDEX NAME)

Absolute stereochemistry.

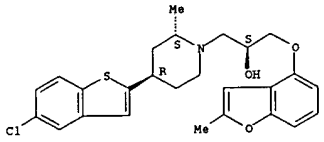


● HCl

RN 346696-14-8 CAPLUS
CN 1-Piperidineethanol,
4-(5-chlorobenzo[b]thien-2-yl)-2-methyl-.alpha.-[[(2-methyl-4-benzofuranyl)oxy]methyl]-, (.alpha.S,2S,4R)- (9CI) (CA INDEX NAME)

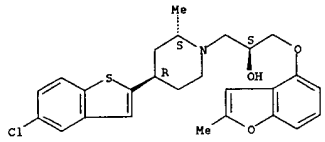
Absolute stereochemistry.

L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 346696-15-9 CAPLUS
CN 1-Piperidineethanol,
4-(5-chlorobenzo[b]thien-2-yl)-2-methyl-.alpha.-[[(2-methyl-4-benzofuranyl)oxy]methyl]-, hydrochloride, (.alpha.S,2S,4R)-(9CI)
(CA INDEX NAME)

Absolute stereochemistry.

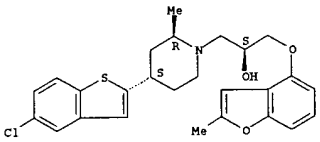


● HCl

RN 346696-16-0 CAPLUS
CN 1-Piperidineethanol,
4-(5-chlorobenzo[b]thien-2-yl)-2-methyl-.alpha.-[[(2-methyl-4-benzofuranyl)oxy]methyl]-, (.alpha.S,2R,4S)- (9CI) (CA INDEX NAME)

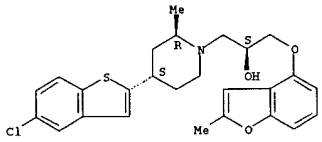
Absolute stereochemistry. Rotation (-).

L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 346696-18-2 CAPLUS
CN 1-Piperidineethanol,
4-(5-chlorobenzo[b]thien-2-yl)-2-methyl-.alpha.-[[(2-methyl-4-benzofuranyl)oxy]methyl]-, hydrochloride, (.alpha.S,2R,4S)-(9CI)
(CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

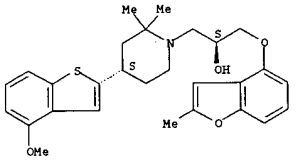


● HCl

RN 346696-19-3 CAPLUS
CN 1-Piperidineethanol,
4-(4-methoxybenzo[b]thien-2-yl)-2,2-dimethyl-.alpha.-[[(2-methyl-4-benzofuranyl)oxy]methyl]-, (.alpha.S,4S)- (9CI) (CA INDEX NAME)

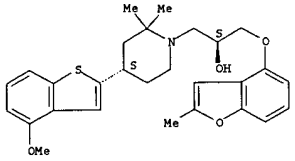
Absolute stereochemistry.

L10 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 346696-20-6 CAPLUS
CN 1-Piperidineethanol,
4-(4-methoxybenzo[b]thien-2-yl)-2,2-dimethyl-.alpha.-[[(2-methyl-4-benzofuranyl)oxy]methyl]-, hydrochloride, (.alpha.S,4S)-(9CI) (CA INDEX NAME)

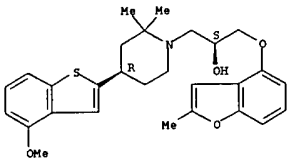
Absolute stereochemistry.



● HCl

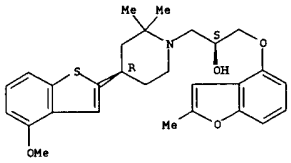
RN 346696-21-7 CAPLUS
CN 1-Piperidineethanol,
4-(4-methoxybenzo[b]thien-2-yl)-2,2-dimethyl-.alpha.-[[(2-methyl-4-benzofuranyl)oxy]methyl]-, (.alpha.S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 346696-22-8 CAPLUS
CN 1-Piperidineethanol,
4-(4-methoxybenzo[b]thien-2-yl)-2,2-dimethyl-.alpha.-
[[(2-methyl-4-benzofuranyl)oxy]methyl]-, hydrochloride,
(.alpha.S,4R)-
(9CI) (CA INDEX NAME)

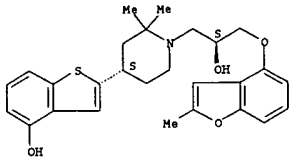
Absolute stereochemistry. Rotation (+).



● HCl

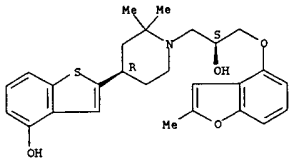
RN 346696-23-9 CAPLUS
CN 1-Piperidineethanol,
4-(4-hydroxybenzo[b]thien-2-yl)-2,2-dimethyl-.alpha.-
[[(2-methyl-4-benzofuranyl)oxy]methyl]-, (.alpha.S,4S)- (9CI) (CA
INDEX
NAME)

Absolute stereochemistry. Rotation (+).



RN 346696-24-0 CAPLUS
CN 1-Piperidineethanol,
4-(4-hydroxybenzo[b]thien-2-yl)-2,2-dimethyl-.alpha.-
[[(2-methyl-4-benzofuranyl)oxy]methyl]-, (.alpha.S,4R)- (9CI) (CA
INDEX
NAME)

Absolute stereochemistry. Rotation (+).

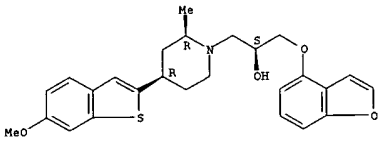


RN 346698-28-0 CAPLUS
CN 1-Piperidineethanol, .alpha.-[(4-benzofuranyloxy)methyl]-4-(6-
methoxybenzo[b]thien-2-yl)-2-methyl-, (.alpha.S,2R,4R)-, ethanedioate
(1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

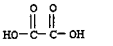
CRN 346695-33-8
CMF C26 H29 N O4 S

Absolute stereochemistry. Rotation (-).



CM 2

CRN 144-62-7
CMF C2 H2 O4

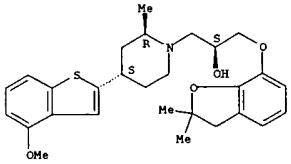


RN 346698-29-1 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-
benzofuranyl)oxy]methyl]-4-(4-methoxybenzo[b]thien-2-yl)-2-methyl-,
(.alpha.S,2R,4S)-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

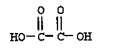
CRN 346695-56-5
CMF C28 H35 N O4 S

Absolute stereochemistry.



CM 2

CRN 144-62-7
CMF C2 H2 O4

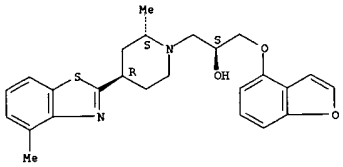


RN 346698-30-4 CAPLUS
CN 1-Piperidineethanol,
.alpha.-[(4-benzofuranyloxy)methyl]-2-methyl-4-(4-
methyl-2-benzothiazolyl)-, (.alpha.S,2S,4R)-, ethanedioate (1:1)
(salt)
(9CI) (CA INDEX NAME)

CM 1

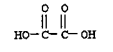
CRN 346695-94-1
CMF C25 H28 N2 O3 S

Absolute stereochemistry.



CM 2

CRN 144-62-7
CMF C2 H2 O4



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

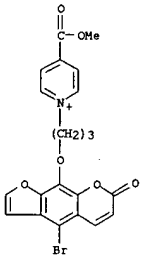
L10 ANSWER 8 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:468187 CAPLUS
DOCUMENT NUMBER: 135:66187
TITLE: Method for inactivating non-enveloped viral contaminants with a photosensitizer by increasing viral permeability to the photosensitizer
INVENTOR(S): Sowemimo-Coker, Samuel O.; Goodrich, Raymond P., Jr.
PATENT ASSIGNEE(S): Baxter International, Inc., USA
SOURCE: U.S., 39 pp., Cont.-in-part of U.S. 5,516,629. CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 12
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6251644	B1	20010626	US 1994-343680	19941122
CA 2056619	AA	19911017	CA 1991-2056619	19910416
US 6187572	B1	20010213	US 1993-47749	19930414
US 5418130	A	19950523	US 1993-91674	19930713
US 5587490	A	19961224	US 1993-165305	19931210
US 5516629	A	19960514	US 1994-311125	19940922
US 5798238	A	19980825	US 1995-474459	19950607
US 5955256	A	19990921	US 1995-480271	19950607
CA 2199372	AA	19960328	CA 1995-2199372	19950921
WO 9608965	A1	19960328	WO 1995-US12069	19950921
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9536385	A1	19960409	AU 1995-36385	19950921
AU 691672	B2	19980521		
EP 782388	A1	19970709	EP 1995-933899	19950921
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 10506391	T2	19980623	JP 1995-511090	19950921
NO 9701350	A	19970522	NO 1997-1350	19970321

PRIORITY APPLN. INFO.:
US 1990-510234 B2 19900416
US 1990-632277 B2 19901220
US 1991-656254 B2 19910215
US 1991-685931 B2 19910416
US 1993-47749 A2 19930414
US 1993-91674 A2 19930713
US 1993-165305 A2 19931210
US 1994-311125 A2 19940922
US 1991-686334 B2 19910416
US 1992-825691 B2 19920127

L10 ANSWER 8 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
US 1994-343680 A2 19941122
US 1995-427080 A 19950421
US 1995-461626 A 19950705
WO 1995-US12069 W 19950921

OTHER SOURCE(S): MARPAT 135:66187
AB A method is presented for inactivating non-enveloped viruses that may be contaminating a biol. soln. or suspension by mixing the soln. or suspension with a photosensitizer to form a mixt., adjusting the operating conditions of the mixt. so as to increase the permeability of the viruses to the photosensitizer, and then irradiating the adjusted mixt. The invention relates to the general field of inactivation of viral and bacterial contamination of blood and blood products, ex vivo media used in the prepn. of anti-viral vaccines, and cell culture media.
IT **345625-88-9**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(photosensitizers for inactivation of viral contamination of blood products and other biol. media)
RN 345625-88-9 CAPLUS
CN Pyridinium, 1-[3-[(4-bromo-7-oxo-7H-furo[3,2-g][1]benzopyran-9-yl)oxy]propyl]-4-(methoxycarbonyl)- (9CI) (CA INDEX NAME)



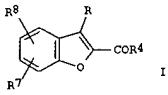
REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 9 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:824240 CAPLUS
DOCUMENT NUMBER: 134:4851
TITLE: Preparation of [(ureidobenzofuranyl)oxy]aminoalcohols as antiinflammatory agents
INVENTOR(S): Braunlich, Gabriele; Es-Sayed, Mazen; Fischer, Rudiger; Fugmann, Burkhard; Henning, Rolf; Schneider, Stephan; Sperzel, Michael; Schlemmer, Karl-Heinz; Sturton, Graham; Fitzgerald, Mary; Briggs, Barbara; Conception, Arnel; Bullock, William
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 52 pp. CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

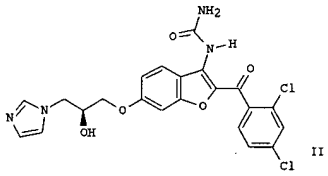
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000069841	A2	20001123	WO 2000-EP4015	20000504
WO 2000069841	A3	20020502		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
GB 2350110	A1	20001122	GB 1999-11453	19990517

PRIORITY APPLN. INFO.: GB 1999-11453 A 19990517
OTHER SOURCE(S): MARPAT 134:4851
GI

L10 ANSWER 9 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)



I

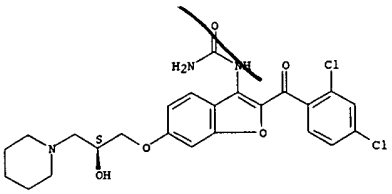


II

AB Title compds. [I; R = NR1C(:X)NR2R3; R1 = H, alkyl, alkoxycarbonyl, etc.; R2,R3= H, alk(en)yl, alkoxycarbonyl, etc.; NR2R3 = heterocyclyl; R4 = (hetero)aryl; R7 = OCH2CH(OH)CH2NR5R6; R5,R6 = H, alkyl, (hetero)arylalkyl, etc.; R8 = H, halo, alkyl, alkoxy(carbonyl), etc.] were prepd. I, e.g. II, were prepd. by condensation of amines with I [R7 = (R)-glycidyloxy]. Data for biol. activity of I were given.
IT **308243-73-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of [(ureidobenzofuranyl)oxy]aminoalcs. as antiinflammatory agents)
RN 308243-73-4 CAPLUS
CN Urea, [2-(2,4-dichlorobenzoyl)-6-[(2S)-2-hydroxy-3-(1-piperidinyl)propoxy]-3-benzofuranyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 9 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)



L10 ANSWER 10 OF 54 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:553551 CAPLUS
DOCUMENT NUMBER: 133:150477
TITLE: Preparation of cyclic amine derivatives for the treatment of obesity and diabetes
INVENTOR(S): Yano, Toshisada; Sakaguchi, Isako; Katsuura, Goro
PATENT ASSIGNEE(S): Shionogi and Co., Ltd., Japan
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

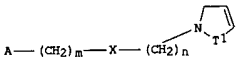
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000046194	A1	20000810	WO 2000-JP445	20000128

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

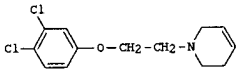
EP 1151993	A1	20011107	EP 2000-901957	20000128

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

PRIORITY APPLN. INFO.: JP 1999-29435 A 19990208
WO 2000-JP445 W 20000128
OTHER SOURCE(S): MARPAT 133:150477
GI



I



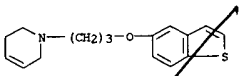
II

L10 ANSWER 10 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

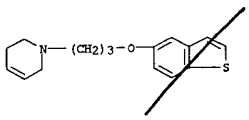
AB The title compds. I [T1 = (CH2)p; A is optionally substituted aryl or optionally substituted heteroaryl; X is O, S, NR (wherein R is hydrogen or lower alkyl), or a single bond; m is an integer of 0 to 4; n is an integer of 1 to 5; and p is an integer of 1 to 3] are prepd. I increased the concn. of leptin in blood. The title compd. II.cntdot.HCl at 80 mg/kg/day s.c. for 7 days caused a 29% decrease of blood glucose in mice. Formulations are given.

IT **287479-78-1P 287479-79-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of cyclic amine derivs. for the treatment of obesity and diabetes)

RN 287479-78-1 CAPLUS
CN Pyridine, 1-[3-(benzo[b]thien-5-yloxy)propyl]-1,2,3,6-tetrahydro- (9CI)
(CA INDEX NAME)



RN 287479-79-2 CAPLUS
CN Pyridine, 1-[3-(benzo[b]thien-5-yloxy)propyl]-1,2,3,6-tetrahydro-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 11 OF 54 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:84385 CAPLUS
DOCUMENT NUMBER: 132:117558
TITLE: Use of 5-HT1f receptor antagonists for treating anxiety disorders, compound preparation, and pharmaceutical compositions
INVENTOR(S): Phebus, Lee Alan; Sajdyk, Tammy Joy
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: Eur. Pat. Appl., 28 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 976747	A2	20000202	EP 1999-305923	19990726
EP 976747	A3	20000913		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

CA 2338740	AA	20000210	CA 1999-2338740	19990708
WO 2000006082	A2	20000210	WO 1999-US15475	19990708
WO 2000006082	A3	20000504		

W: AE, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

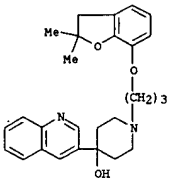
AU 9949778	A1	20000221	AU 1999-49778	19990708
BR 9913348	A	20010612	BR 1999-13348	19990708
NO 2001000390	A	20010313	NO 2001-390	20010123

PRIORITY APPLN. INFO.: US 1998-94310P P 19980727
WO 1999-US15475 W 19990708

AB A method is provided for the treatment of prevention of anxiety disorders which comprises administering to a mammal in need of such treatment a serotonin 5-HT1F receptor antagonist. Prepn. of compds. of the invention is included, as are capsule and tablet formulations of a compd. of the invention, 1-[(2S)-hydroxy-3-(naphth-2-yloxy)prop-1-yl]-4-hydroxy-4-(quinolin-3-yl)piperidine.

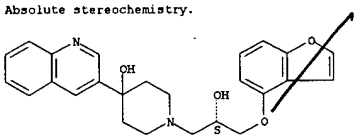
IT **256372-36-0P 256373-19-0P 256373-21-4P**
256373-22-5P 256373-24-7P 256373-45-2P
256373-47-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

L10 ANSWER 11 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
BIOL (Biological study); PREP (Preparation); USES (Uses)
(5-HT1f receptor antagonists for treating anxiety disorders, compd.
prepn., and pharmaceutical compns.)
RN 256372-38-0 CAPLUS
CN 4-Piperidinol,
1-[3-[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]propyl]-
4-(3-quinolinyl)- (9CI) (CA INDEX NAME)



RN 256373-19-0 CAPLUS
CN 1-Piperidineethanol,
.alpha.-[(4-benzofuranyloxy)methyl]-4-hydroxy-4-(3-
quinolinyl)-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



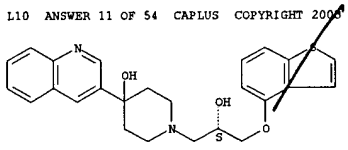
RN 256373-21-4 CAPLUS
CN 1-Piperidineethanol,
.alpha.-[(4-benzofuranyloxy)methyl]-4-hydroxy-4-(3-
quinolinyl)-, (.alpha.S)-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 256373-19-0
CMF C25 H26 N2 O4

Absolute stereochemistry.

L10 ANSWER 11 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

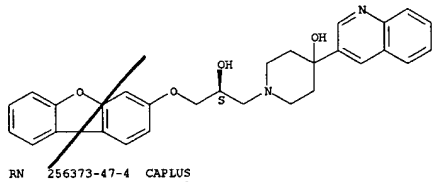


CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 256373-45-2 CAPLUS
CN 1-Piperidineethanol,
.alpha.-[(3-dibenzofuranyloxy)methyl]-4-hydroxy-4-(3-
quinolinyl)-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



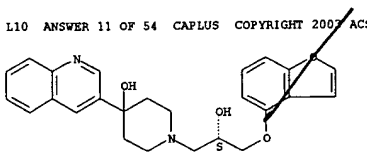
RN 256373-47-4 CAPLUS
CN 1-Piperidineethanol,
.alpha.-[(3-dibenzofuranyloxy)methyl]-4-hydroxy-4-(3-
quinolinyl)-, (.alpha.S)-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 256373-45-2
CMF C29 H28 N2 O4

Absolute stereochemistry.

L10 ANSWER 11 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

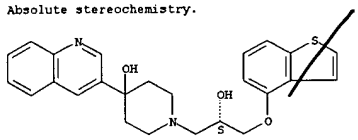


CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 256373-22-5 CAPLUS
CN 1-Piperidineethanol,
.alpha.-[(benzo[b]thien-4-yloxy)methyl]-4-hydroxy-4-
(3-quinolinyl)-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



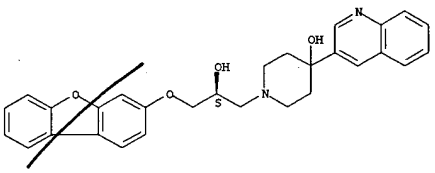
RN 256373-24-7 CAPLUS
CN 1-Piperidineethanol,
.alpha.-[(benzo[b]thien-4-yloxy)methyl]-4-hydroxy-4-
(3-quinolinyl)-, (.alpha.S)-, ethanedioate (1:1) (salt) (9CI) (CA INDEX
NAME)

CM 1

CRN 256373-22-5
CMF C25 H26 N2 O3 S

Absolute stereochemistry.

L10 ANSWER 11 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)



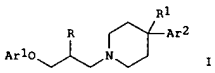
CM 2

CRN 144-62-7
CMF C2 H2 O4

L10 ANSWER 12 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:84384 CAPLUS
DOCUMENT NUMBER: 132:122526
TITLE: Preparation of 1-[(hetero)aryloxypropyl]-4-heteroarylpiperidines as 5-HT1F antagonists.
INVENTOR(S): Koch, Daniel James; Phebus, Lee Alan; Rocco, Vincent
Patrick; Sajdyk, Tammy Joy
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: Eur. Pat. Appl., 33 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 976746	A1	20000202	EP 1999-305880	19990726
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6242450	B1	20010605	US 1999-335083	19990617
WO 2000006166	A1	20000210	WO 1999-US16317	19990719
W: AE, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9951126	A1	20000221	AU 1999-51126	19990719
PRIORITY APPLN. INFO.:			US 1998-94309P P	19980727
			WO 1999-US16317 W	19990719

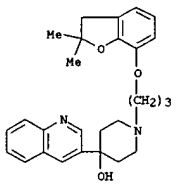
OTHER SOURCE(S): MARPAT 132:122526
GI



I

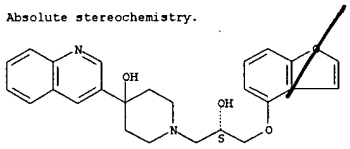
AB Title compds. [I; Ar1 = (substituted) Ph, naphthyl, quinolinyl, isoquinolinyl, indanyl, tetrahydronaphthyl, indolyl, benzothiazolyl, etc.; Ar2 = pyridin-3-yl, isoquinolin-4-yl, quinolin-3-yl, quinoxalin-2-yl; R, R1 = H, OH], were prepd. Thus, (S)-glycidyl naphth-2-yl ether and

L10 ANSWER 12 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
4-hydroxy-4-(quinolin-3-yl)piperidine reacted to give 1-[(2S)-hydroxy-3-(naphth-2-yloxy)prop-1-yl]-4-hydroxy-4-(quinolin-3-yl)piperidine. The latter at 20 mg/kg in rats significantly increased social interaction time. I formulations are given.
IT **256372-38-0P 256373-19-0P 256373-21-4P 256373-22-5P 256373-24-7P 256373-45-2P 256373-47-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 1-[(hetero)aryloxypropyl]-4-heteroarylpiperidines as 5-HT1F antagonists)
RN 256372-38-0 CAPLUS
CN 4-Piperidinol, 1-[3-[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]propyl]-4-(3-quinolinyl)- (9CI) (CA INDEX NAME)



RN 256373-19-0 CAPLUS
CN 1-Piperidineethanol, .alpha.-[(4-benzofuranyloxy)methyl]-4-hydroxy-4-(3-quinolinyl)-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



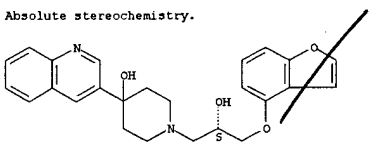
RN 256373-21-4 CAPLUS
CN 1-Piperidineethanol, .alpha.-[(4-benzofuranyloxy)methyl]-4-hydroxy-4-(3-

L10 ANSWER 12 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
quinolinyl)-, (.alpha.S)-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 256373-19-0
CMF C25 H26 N2 O4

Absolute stereochemistry.

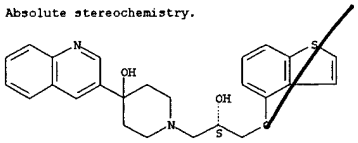


CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 256373-22-5 CAPLUS
CN 1-Piperidineethanol, .alpha.-[(benzo[b]thien-4-yloxy)methyl]-4-hydroxy-4-(3-quinolinyl)-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

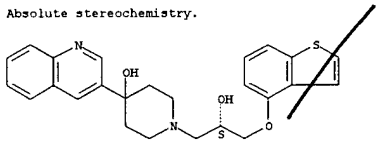


RN 256373-24-7 CAPLUS
CN 1-Piperidineethanol, .alpha.-[(benzo[b]thien-4-yloxy)methyl]-4-hydroxy-4-(3-quinolinyl)-, (.alpha.S)-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

L10 ANSWER 12 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
CRN 256373-22-5
CMF C25 H26 N2 O3 S

Absolute stereochemistry.

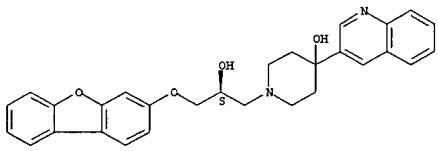


CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 256373-45-2 CAPLUS
CN 1-Piperidineethanol, .alpha.-[(3-dibenzofuranyloxy)methyl]-4-hydroxy-4-(3-quinolinyl)-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

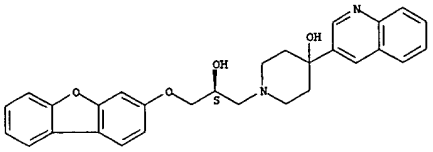


RN 256373-47-4 CAPLUS
CN 1-Piperidineethanol, .alpha.-[(3-dibenzofuranyloxy)methyl]-4-hydroxy-4-(3-quinolinyl)-, (.alpha.S)-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 256373-45-2
CMF C29 H28 N2 O4

L10 ANSWER 12 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
Absolute stereochemistry.



CM 2

CRN 144-62-7
CMF C2 H2 O4

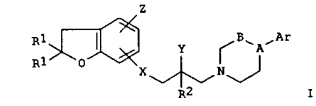
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 13 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:736700 CAPLUS
DOCUMENT NUMBER: 131:351224
TITLE: Benzofuran derivatives, process for preparing them,
pharmaceutical composition containing them as 5-HT1A
receptor ligands
INVENTOR(S): Agai, Bela; Reiter, Jozsef; Simig, Gyula; Rivo, Endre;
Nagy, Zoltan Tamas; Ondi, Levente; Ivanics Megyeri,
Katalin; Miklos Kovacs, Aniko; Nagy Gyonos, Ildiko;
Kertesz, Szabolcs; Szenasi, Gabor; Schmidt, Eva; Pallagi, Katalin; Gacsalyi, Istvan; Gyertyan, Istvan;
Szabados, Tamas; Levay, Gyorgy; Egyed, Andras
PATENT ASSIGNEE(S): Egis Gyogyszergyar Rt., Hung.
SOURCE: PCT Int. Appl., 154 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9958527	A2	19991118	WO 1999-HU38	19990513
WO 9958527	A3	20000127		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2332275	AA	19991118	CA 1999-2332275	19990513
AU 9940529	A1	19991129	AU 1999-40529	19990513
AU 753706	B2	20021024		
EP 1077973	A2	20010228	EP 1999-923772	19990513
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002514643	T2	20020521	JP 2000-548331	19990513

PRIORITY APPLN. INFO.: HU 1998-1085 A 19980514
HU 1998-1086 A 19980514
WO 1999-HU38 W 19990513
OTHER SOURCE(S): CASREACT 131:351224; MARPAT 131:351224

L10 ANSWER 13 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
GI



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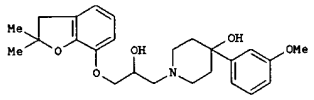
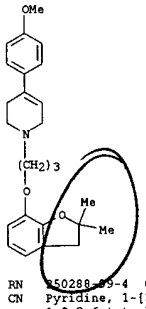


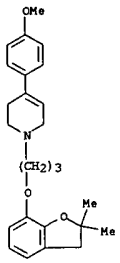
II

AB Title compds. (I) [where R1 and R2 = independently H or alkyl; X = O or S;
Y = H or OH; Z = H, halo, alkyl, alkoxy, NH2, NO2, CN, CF3, CO2R3, NHCOR3,
or SO2NR3R4; R3 = H or alkyl; R4 = alkyl or NR3R4 = heterocyclyl; A = CH,
COH, CCN, CCO2R3, COR4, or N(CH2)nAr'; n = 0 or 1; Ar' = (un)substituted
(hetero)aryl; B = CH2 or A-B = C:C; Ar = H, alkyl, Ph(alkyl),
(un)substituted biphenylyl or naphthyl], useful for treatment of central
nervous system and/or cardiovascular diseases, were prepd. For example,
2,3-dimethyl-2,3-dihydrobenzofuran-7-ol (prepn. given) was condensed with
epichlorohydrin to form the 2-oxiranylmethoxy deriv. (97%). The epoxide
was then treated with 4-OH-4-(3-methoxyphenyl)piperidine in PrOH, followed
by addn. of EtOH/HCl to yield II (84.8%). The invention compds. showed
considerable affinity to serotonin 5-HT1A receptors with Ki values ranging
from 0.7 to 20 nmole/l. Representative benzofurans displayed remarkable
cardioprotective and anxiolytic effects, some surpassing the activities of
ref. compds.
IT **250288-98-3P 250288-99-4P 250289-03-3P**
250289-09-9P 250289-11-3P 250289-15-7P
250289-18-0P 250289-23-7P 250289-25-9P
250289-92-0P 250289-93-1P 250289-94-2P

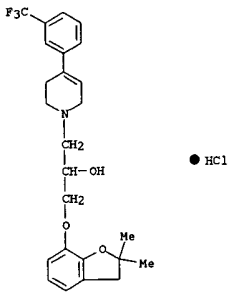
L10 ANSWER 13 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT
(Reactant or reagent); USES (Uses)
(target compd.; prepn. of piperidinylpropoxy and piperazinylpropoxy benzofuran derivs. with cardioprotective and anxiolytic effects as 5-HT1A receptor ligands)
RN 250288-98-3 CAPLUS
CN Pyridine, 1-[3-[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]propyl]-1,2,3,6-tetrahydro-4-(4-methoxyphenyl)-, hydrochloride (9CI) (CA INDEX NAME)



RN 250288-99-4 CAPLUS
CN Pyridine, 1-[3-[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]propyl]-1,2,3,6-tetrahydro-4-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

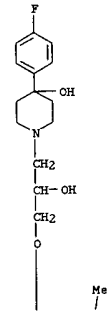


RN 250289-03-3 CAPLUS
CN 1(2H)-Pyridineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-3,6-dihydro-4-[3-(trifluoromethyl)phenyl]-, hydrochloride (9CI) (CA INDEX NAME)



RN 250289-09-9 CAPLUS
CN 1-Piperidineethanol, 4-(4-chlorophenyl)-.alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-4-hydroxy- (9CI) (CA INDEX NAME)

PAGE 1-A



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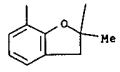

RN 250289-15-7 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-4-hydroxy-4-phenyl-, hydrochloride (9CI) (CA INDEX NAME)

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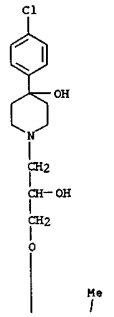

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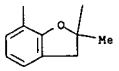
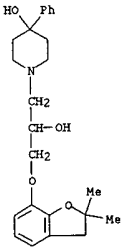
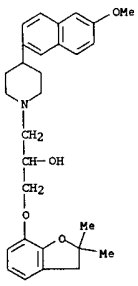


RN 250289-11-3 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-4-(4-fluorophenyl)-4-hydroxy- (9CI) (CA INDEX NAME)

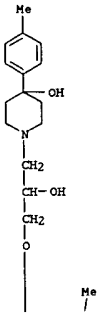


RN 250289-18-0 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-4-(6-methoxy-2-naphthalenyl)-, hydrochloride (9CI) (CA INDEX NAME)



RN 250289-23-7 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-4-hydroxy-4-(4-methylphenyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



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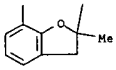
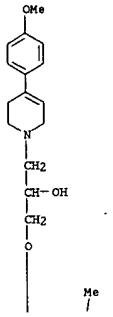


RN 250289-25-9 CAPLUS
CN 1(2H)-Pyridineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-3,6-dihydro-4-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



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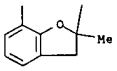
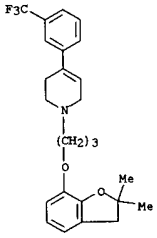
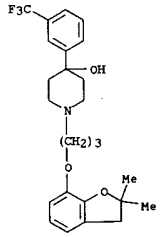


RN 250289-92-0 CAPLUS
CN Pyridine, 1-[3-[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]propyl]-1,2,3,6-tetrahydro-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

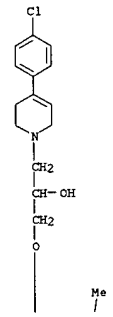


RN 250289-93-1 CAPLUS
CN 4-Piperidinol, 1-[3-[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]propyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 250289-94-2 CAPLUS
CN 1(2H)-Pyridineethanol, 4-(4-chlorophenyl)-.alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-3,6-dihydro- (9CI) (CA INDEX NAME)

PAGE 1-A



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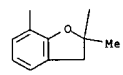

IT **250289-00-0P 250289-01-1P 250289-02-2P**
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250289-46-4P 250289-47-5P 250289-48-6P
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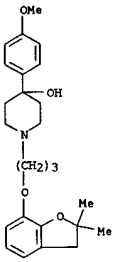
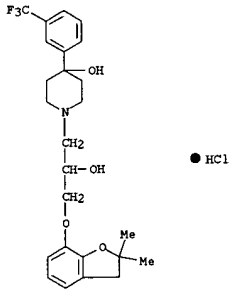
250289-75-9P 250289-76-0P 250289-77-1P
250289-78-2P 250289-79-3P 250289-81-7P
250289-82-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

L10 ANSWER 13 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compd.; prepn. of piperidinylpropoxy and piperazinylpropoxy
benzofuran derivs. with cardioprotective and anxiolytic effects as 5-HT1A receptor ligands)

RN 250289-00-0 CAPLUS
CN 4-Piperidinol, 1-[3-[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]propyl]-4-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



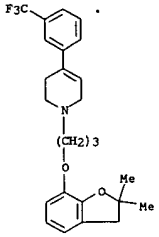
RN 250289-01-1 CAPLUS
CN Pyridine, 1-[3-[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]propyl]-1,2,3,6-tetrahydro-4-[3-(trifluoromethyl)phenyl]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

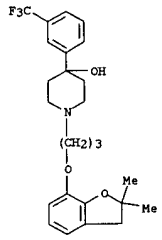
RN 250289-05-5 CAPLUS
CN 1(2H)-Pyridineethanol, 4-(4-chlorophenyl)-.alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-3,6-dihydro-, hydrochloride (9CI) (CA INDEX NAME)

L10 ANSWER 13 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)



● HCl

RN 250289-02-2 CAPLUS
CN 4-Piperidinol, 1-[3-[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]propyl]-4-[3-(trifluoromethyl)phenyl]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 250289-04-4 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-4-hydroxy-4-[3-(trifluoromethyl)phenyl]-,

L10 ANSWER 13 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

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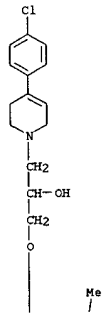

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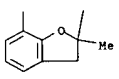
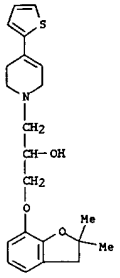


● HCl

RN 250289-06-6 CAPLUS
CN 1(2H)-Pyridineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-3,6-dihydro-4-(2-thienyl)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 250289-07-7 CAPLUS
CN 1(2H)-Pyridineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-4-(4-fluorophenyl)-3,6-dihydro-, hydrochloride
(9CI) (CA INDEX NAME)

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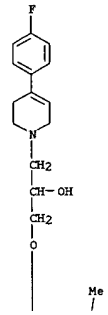

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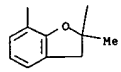
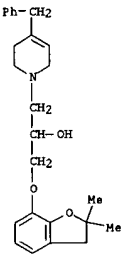


● HCl

RN 250289-08-8 CAPLUS
CN 1(2H)-Pyridineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-3,6-dihydro-4-(phenylmethyl)-, hydrochloride
(9CI) (CA INDEX NAME)



● HCl

RN 250289-10-2 CAPLUS
CN 1-Piperidineethanol, 4-(4-chlorophenyl)-.alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-4-hydroxy-, hydrochloride (9CI) (CA
INDEX NAME)

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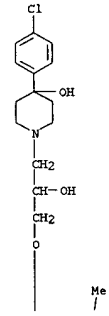

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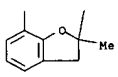

● HCl

RN 250289-12-4 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-4-(4-fluorophenyl)-4-hydroxy-, hydrochloride
(9CI) (CA INDEX NAME)

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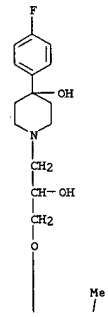

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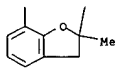
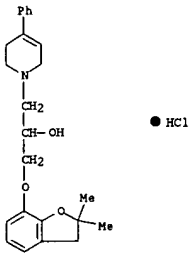
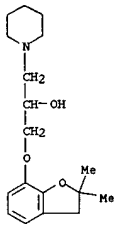


● HCl

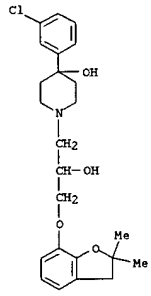
RN 250289-13-5 CAPLUS
CN 1(2H)-Pyridineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-3,6-dihydro-4-phenyl-, hydrochloride (9CI) (CA
INDEX NAME)



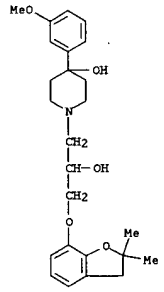
RN 250289-14-6 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]- (9CI) (CA INDEX NAME)



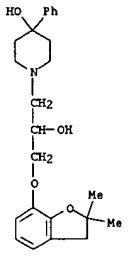
RN 250289-16-8 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-4-hydroxy-4-phenyl- (9CI) (CA INDEX NAME)



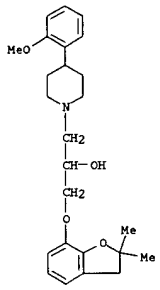
RN 250289-20-4 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-4-hydroxy-4-(3-methoxyphenyl)-, hydrochloride (9CI) (CA INDEX NAME)



RN 250289-21-5 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-



RN 250289-17-9 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-4-(2-methoxyphenyl)-, hydrochloride (9CI) (CA INDEX NAME)



RN 250289-19-1 CAPLUS
CN 1-Piperidineethanol, 4-(3-chlorophenyl)-.alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-4-hydroxy-, hydrochloride (9CI) (CA INDEX NAME)

benzofuranyl)oxy]methyl]-4-hydroxy-4-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

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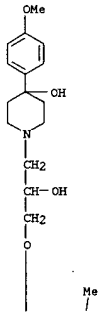

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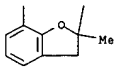
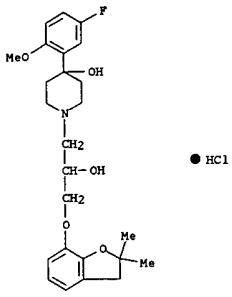


RN 250289-22-6 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-4-(5-fluoro-2-methoxyphenyl)-4-hydroxy-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 250289-24-8 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-4-hydroxy-4-(4-methylphenyl)-, hydrochloride (9CI) (CA INDEX NAME)

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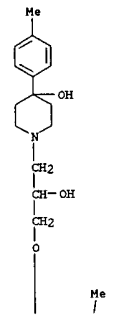

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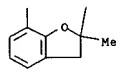

● HCl

RN 250289-28-2 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-4-hydroxy-4-(4-methoxy-3,5-dimethylphenyl)-, hydrochloride (9CI) (CA INDEX NAME)

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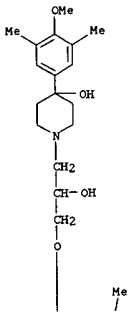

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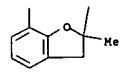

● HCl

RN 250289-26-0 CAPLUS
CN 1(2H)-Pyridineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-3,6-dihydro-4-(4-methoxyphenyl)-, hydrochloride (9CI) (CA INDEX NAME)

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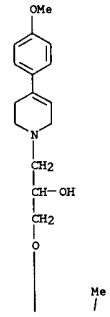

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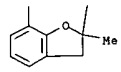
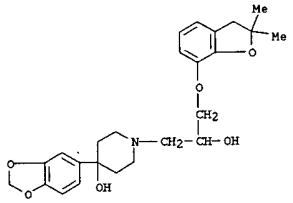


● HCl

RN 250289-29-3 CAPLUS
CN 1-Piperidineethanol, 4-(1,3-benzodioxol-5-yl)-.alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-4-hydroxy- (9CI) (CA INDEX NAME)



RN 250289-30-6 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-4-hydroxy-4-[4-[(2-methyl-2-propenyl)oxy]phenyl]- (9CI) (CA INDEX NAME)

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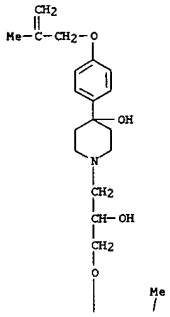

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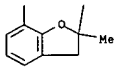

RN 250289-31-7 CAPLUS
CN 1-Piperidineethanol, 4-[1,1'-biphenyl]-4-yl-.alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-4-hydroxy-, hydrochloride (9CI) (CA INDEX NAME)

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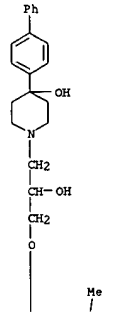

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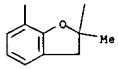

● HCl

RN 250289-32-8 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-4-hydroxy-4-(4-phenoxyphenyl)- (9CI) (CA INDEX NAME)

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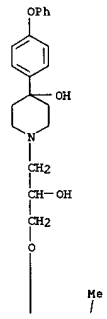

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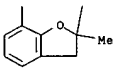

RN 250289-33-9 CAPLUS
CN 1-Piperidineethanol, 4-[4-chloro-3-(trifluoromethyl)phenyl]-.alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-4-hydroxy-, hydrochloride (9CI) (CA INDEX NAME)

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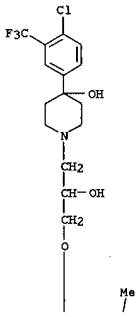

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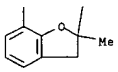
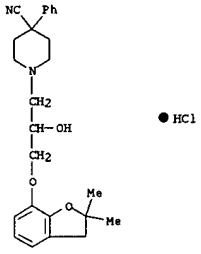
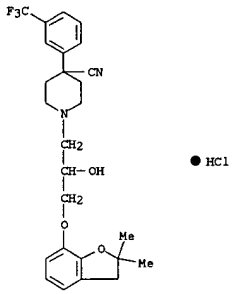


● HCl

RN 250289-34-0 CAPLUS
CN 4-Piperidinecarbonitrile, 1-[3-[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]-2-hydroxypropyl]-4-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)



RN 250289-35-1 CAPLUS
CN 4-Piperidinecarbonitrile, 1-[3-[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]-2-hydroxypropyl]-4-[3-(trifluoromethyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



RN 250289-36-2 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[(5-bromo-2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-4-hydroxy-4-(4-methoxyphenyl)-, hydrochloride
(9CI) (CA INDEX NAME)

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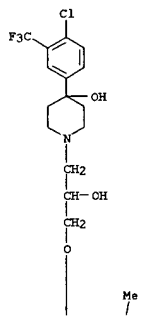

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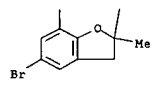

RN 250289-38-4 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-5-nitro-7-benzofuranyl)oxy]methyl]-4-hydroxy-4-(4-methoxyphenyl)- (9CI) (CA INDEX
NAME)

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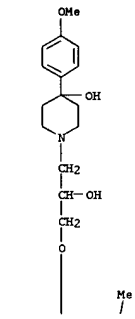

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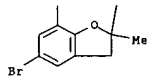
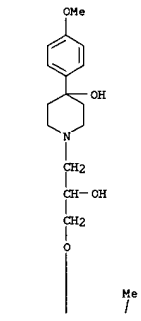
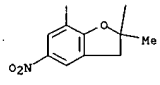


RN 250289-37-3 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[(5-bromo-2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-4-[4-chloro-3-(trifluoromethyl)phenyl]-4-hydroxy-, hydrochloride (9CI) (CA INDEX NAME)

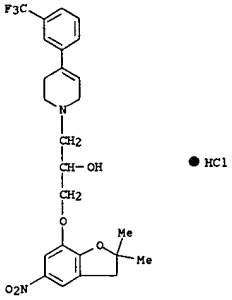
PAGE 1-A



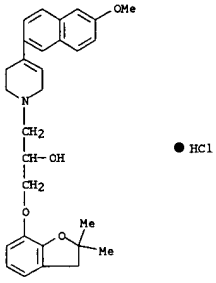
PAGE 2-A



RN 250289-39-5 CAPLUS
CN 1(2H)-Pyridineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-5-nitro-7-benzofuranyl]oxy]methyl]-3,6-dihydro-4-[3-(trifluoromethyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

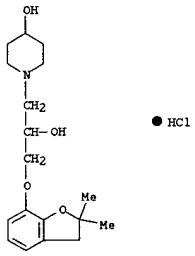


RN 250289-41-9 CAPLUS
CN 1(2H)-Pyridineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-3,6-dihydro-4-(6-methoxy-2-naphthalenyl)-, hydrochloride (9CI) (CA INDEX NAME)

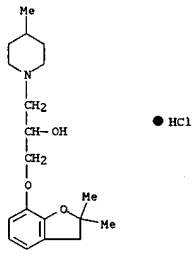


RN 250289-43-1 CAPLUS
CN 1(2H)-Pyridineethanol, .alpha.-[[(5-bromo-2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-3,6-dihydro-4-[3-(trifluoromethyl)phenyl]-, hydrochloride (9CI) (CA INDEX NAME)

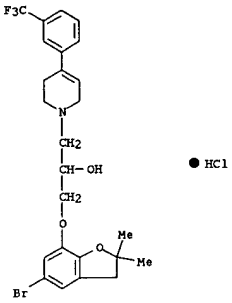
benzofuranyl)oxy]methyl]-4-hydroxy-, hydrochloride (9CI) (CA INDEX NAME)



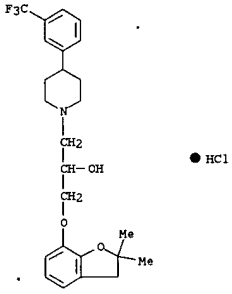
RN 250289-46-4 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-4-methyl-, hydrochloride (9CI) (CA INDEX NAME)



RN 250289-47-5 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-4-benzofuranyl)oxy]methyl]-4-hydroxy-4-[4-(trifluoromethyl)phenyl]-, hydrochloride (9CI) (CA INDEX NAME)

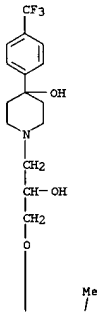


RN 250289-44-2 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-4-[3-(trifluoromethyl)phenyl]-, hydrochloride (9CI) (CA INDEX NAME)



RN 250289-45-3 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-

PAGE 1-A



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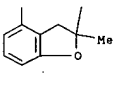
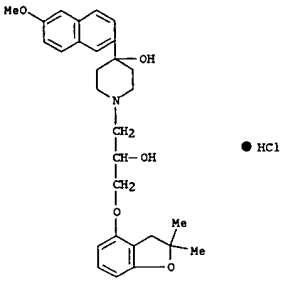
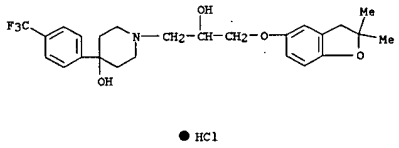


RN 250289-48-6 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-4-benzofuranyl)oxy]methyl]-4-hydroxy-4-(6-methoxy-2-naphthalenyl)-, hydrochloride (9CI) (CA INDEX NAME)

L10 ANSWER 13 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

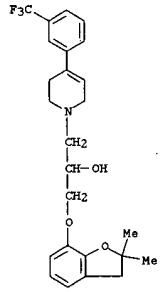


RN 250289-49-7 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-5-benzofuranyl)oxy]methyl]-4-hydroxy-4-[4-(trifluoromethyl)phenyl]-, hydrochloride (9CI) (CA INDEX NAME)

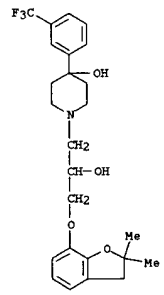


RN 250289-50-0 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-6-benzofuranyl)oxy]methyl]-4-hydroxy-4-phenyl-, hydrochloride (9CI) (CA
INDEX NAME)

L10 ANSWER 13 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

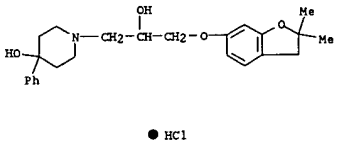


RN 250289-76-0 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-4-hydroxy-4-[3-(trifluoromethyl)phenyl]-
(9CI)
(CA INDEX NAME)

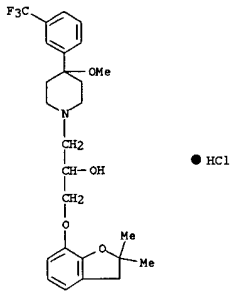


RN 250289-77-1 CAPLUS
CN 1-Piperidineethanol, 4-(3-chlorophenyl)-.alpha.-[[(2,3-dihydro-2,2-

L10 ANSWER 13 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

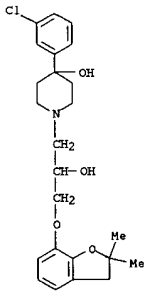


RN 250289-51-1 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-4-methoxy-4-[3-(trifluoromethyl)phenyl]-, hydrochloride (9CI) (CA INDEX NAME)

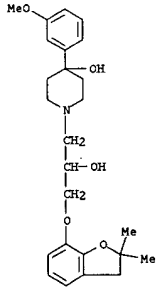


RN 250289-75-9 CAPLUS
CN 1(2H)-Pyridineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-3,6-dihydro-4-[3-(trifluoromethyl)phenyl]-
(9CI)
(CA INDEX NAME)

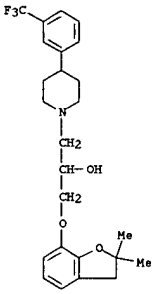
L10 ANSWER 13 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
dimethyl-7-benzofuranyl)oxy]methyl]-4-hydroxy- (9CI) (CA INDEX NAME)



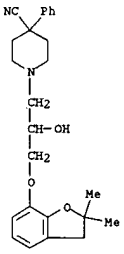
RN 250289-78-2 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-4-hydroxy-4-(3-methoxyphenyl)- (9CI) (CA
INDEX
NAME)



L10 ANSWER 13 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
RN 250289-79-3 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

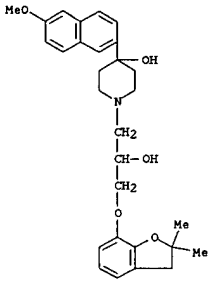


RN 250289-81-7 CAPLUS
CN 4-Piperidinecarbonitrile, 1-[3-[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]-2-hydroxypropyl]-4-phenyl- (9CI) (CA INDEX NAME)



RN 250289-82-8 CAPLUS

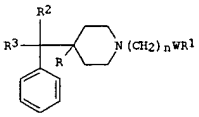
L10 ANSWER 13 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN 1-Piperidineethanol, .alpha.-[[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]methyl]-4-hydroxy-4-(6-methoxy-2-naphthalenyl)- (9CI) (CA INDEX NAME)



L10 ANSWER 14 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:680122 CAPLUS
DOCUMENT NUMBER: 131:310553
TITLE: Preparation of piperidines derivatives as selective M3 muscarinic receptor antagonists
INVENTOR(S): Taguchi, Minoru; Kondo, Kazuyuki; Ota, Tomoki; Tomisawa, Kazuyuki
PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11292845	A2	19991026	JP 1999-8959	19990118
PRIORITY APPLN. INFO.:			JP 1998-31050	19980213
			JP 1998-31051	19980213

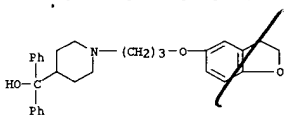
OTHER SOURCE(S): MARPAT 131:310553
GI



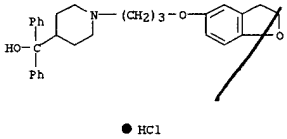
I

AB Title compds. I [R = H; R1 = (methylenedioxy)phenyl, (ethylenedioxy)phenyl, 2,3-dihydrobenzofuranyl, indanyl; R2 = Ph, cycloalkyl; R3 = H, OH; RR3 = bond; W = O, S] and their pharmaceutically acceptable salts, useful as selective M3 muscarinic receptor antagonists, are prepd. Thus, reaction of 3,4-(ethylenedioxy)thiophenol with Me bromoacetate in DMF in the presence of K2CO3 gave Me 3,4-(ethylenedioxy)phenylthioacetate, hydrolysis of which followed by condensation with .alpha.,.alpha.-diphenyl-4-piperidinemethanol and redn. gave 4-(diphenylhydroxymethyl)-1-[2-[3,4-(ethylenedioxy)phenylthio]ethyl]piperidine (II). In an in vitro study, II had a Ki value of 4.5 nM against muscarinic M3 receptor.
IT **247228-04-2P 247228-14-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

L10 ANSWER 14 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of piperidines derivs. as selective M3 muscarinic receptor antagonists)
RN 247228-04-2 CAPLUS
CN 4-Piperidinemethanol, 1-[3-[(2,3-dihydro-5-benzofuranyl)oxy]propyl]-.alpha.,.alpha.-diphenyl- (9CI) (CA INDEX NAME)



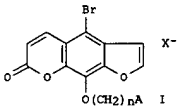
RN 247228-14-4 CAPLUS
CN 4-Piperidinemethanol, 1-[3-[(2,3-dihydro-5-benzofuranyl)oxy]propyl]-.alpha.,.alpha.-diphenyl-, hydrochloride (9CI) (CA INDEX NAME)



L10 ANSWER 15 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:430620 CAPLUS
DOCUMENT NUMBER: 131:73505
TITLE: synthesis, DNA binding and antiviral activity of psoralens
INVENTOR(S): Platz, Matthew S.; Chen, Tongqian; Kagan, Shashi S.;
Pereira, Helena M.
PATENT ASSIGNEE(S): The Ohio State Research Foundation, USA
SOURCE: U.S., 9 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5919935	A	19990706	US 1997-975753	19971121

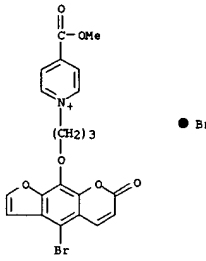
PRIORITY APPLN. INFO.: US 1996-33088P P 19961122
OTHER SOURCE(S): MARPAT 131:73505
GI



I

AB Synthesis of halogenated psoralen compds. (I) [n = 2-12; X- = halogen anion; A = (un)substituted quaternary N-hetereocycle, N+R1R2(CH2)mCH=CHR3; R1,R2 = alkyl; R3 = (un)substituted aryl] that are useful for inactivating vital contaminants in blood-derived products, particularly blood-derived products that contain platelets or red blood cells is presented. DNA binding, photolysis and viral inactivation data are given.
IT **228703-17-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis, DNA binding and antiviral activity of psoralen photosensitizers)
RN 228703-17-1 CAPLUS
CN Pyridinium, 1-[3-[(4-bromo-7-oxo-7H-furo[3,2-g][1]benzopyran-9-yl)oxy]propyl]-4-(methoxycarbonyl)-, bromide (9CI) (CA INDEX NAME)

L10 ANSWER 15 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 16 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:113663 CAPLUS
DOCUMENT NUMBER: 130:182349
TITLE: Preparation of O-substituted hydroxycumaranone derivatives as antitumor and antimetastatic agents
INVENTOR(S): De Cillis, Gianpiero; Di Domenico, Roberto; Koenig, Bernhard; Oliva, Ambrogio
PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.
SOURCE: PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9906387	A2	19990211	WO 1998-EP4619	19980723
WO 9906387	A3	19990422		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9891559	A1	19990222	AU 1998-91559	19980723
AU 745839	B2	20020411		
EP 1012147	A2	20000628	EP 1998-943771	19980723
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9811589	A	20000919	BR 1998-11589	19980723
JP 2001512113	T2	20010821	JP 2000-505146	19980723
JP 3241711	B2	20011225		
US 6200989	B1	20010313	US 1999-401403	19990922
NO 2000000366	A	20000125	NO 2000-366	20000125

PRIORITY APPLN. INFO.: EP 1997-113190 A 19970731
EP 1998-106946 A 19980416
US 1998-121458 B1 19980723
WO 1998-EP4619 W 19980723
OTHER SOURCE(S): MARPAT 130:182349
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

L10 ANSWER 16 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

AB The title compds. [I; R, R1 = H, C1-6 alkyl, styryl, C3-6 cycloalkyl; RR1 taken together with the carbon to which they are linked = C3-6 cycloalkyl; x = 0-1; A = (CH2)n, CH2CH:CHCH2, CH2CH:CHCH:CHCH2, etc.; n = 2-6; B = II-IV, etc.; T = CH2C.tplbond.CH, C.tplbond.CH, CH:CHR3, etc.; R3 = (un)substituted Ph, naphthyl, biphenyl] which possess uPA (urokinase-type plasminogen activator) antagonist activity and can be useful as antitumor and/or antimetastatic agents, were prepd. E.g., the title compd. V showed IC50 of > 0.01 .mu.g/mL.
IT **88280-97-1P 220585-21-7P 220585-22-8P**
220585-24-0P 220585-30-8P 220585-34-2P
220585-36-4P 220585-39-7P 220585-41-1P
220585-43-3P 220585-45-5P 220585-47-7P
220585-49-9P 220585-51-3P 220585-53-5P
220585-54-6P 220585-55-7P 220585-56-8P
220585-57-9P 220585-58-0P 220585-61-5P
220585-63-7P 220585-64-8P 220585-65-9P
220585-66-0P 220585-69-3P 220585-70-6P
220585-72-8P 220585-76-2P 220585-80-8P
220585-81-9P 220585-82-0P 220585-85-3P
220585-86-4P 220585-90-0P 220585-91-1P
220585-92-2P 220585-94-4P 220585-95-5P
220585-96-6P 220585-97-7P 220586-00-5P
220586-03-8P 220586-35-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of O-substituted hydroxycumaranone derivs. as antitumor and antimetastatic agents)
RN 88280-97-1 CAPLUS
CN Benzamide, N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]-4-fluoro- (9CI) (CA INDEX NAME)

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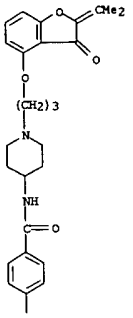

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RN 220585-21-7 CAPLUS
CN Benzamide, N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]-4-methyl- (9CI) (CA INDEX NAME)

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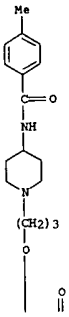

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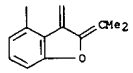
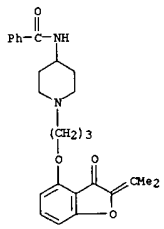


RN 220585-22-8 CAPLUS
CN Benzamide, N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 220585-24-0 CAPLUS
CN Benzamide, N-[1-[3-[[2,3-dihydro-3-oxo-2-(1-propylbutylidene)-4-benzofuranyl]oxy]propyl]-4-piperidinyl]-4-fluoro- (9CI) (CA INDEX NAME)

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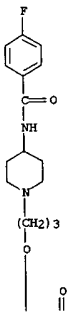

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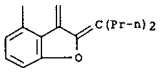
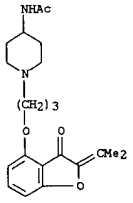
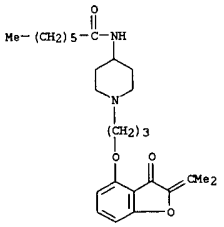


RN 220585-30-8 CAPLUS
CN Acetamide, N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 220585-34-2 CAPLUS
CN Heptanamide, N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 220585-36-4 CAPLUS
CN Benzamide, N-[1-[3-[(2-cyclopentylidene-2,3-dihydro-3-oxo-4-benzofuranyl)oxy]propyl]-4-piperidinyl]-4-fluoro- (9CI) (CA INDEX NAME)

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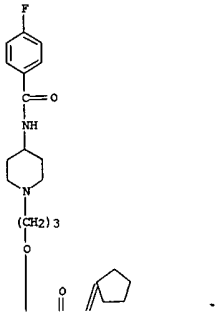

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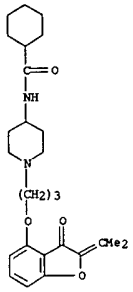
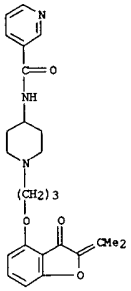
RN 220585-39-7 CAPLUS
CN Cyclohexanecarboxamide,
N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-
4-benzofuranyl]oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 220585-41-1 CAPLUS
CN 3-Pyridinecarboxamide,
N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-
4-benzofuranyl]oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 220585-43-3 CAPLUS
CN Benzamide, N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-
benzofuranyl]oxy]propyl]-4-piperidinyl]-4-(trifluoromethyl)- (9CI)
(CA

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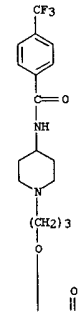

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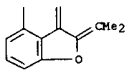
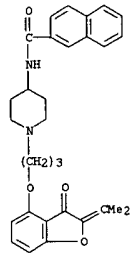


RN 220585-45-5 CAPLUS
CN 2-Naphthalenecarboxamide,
N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-
oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 220585-47-7 CAPLUS
CN Benzamide, N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-
benzofuranyl]oxy]propyl]-4-piperidinyl]-3,4,5-trimethoxy- (9CI) (CA
INDEX
NAME)

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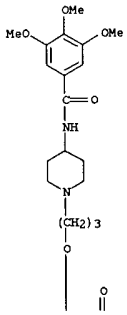

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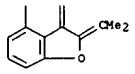

RN 220585-49-9 CAPLUS
CN Benzamide,
4-bromo-N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

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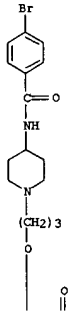

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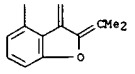

RN 220585-51-3 CAPLUS
CN Acetamide, N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]-2-phenoxy- (9CI) (CA INDEX NAME)

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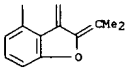

RN 220585-54-6 CAPLUS
CN Benzamide,
4-cyano-N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

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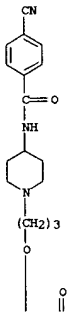

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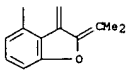
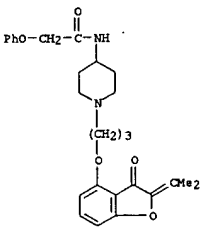


RN 220585-55-7 CAPLUS
CN 1H-Indole-3-acetamide,
N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 220585-53-5 CAPLUS
CN Benzamide, N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]-4-methoxy- (9CI) (CA INDEX NAME)

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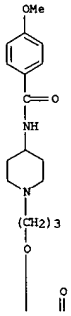
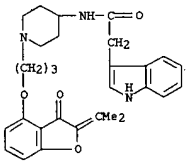
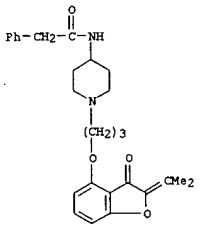
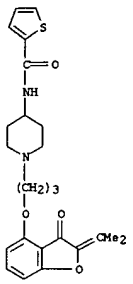




RN 220585-56-8 CAPLUS
CN Benzeneacetamide,
N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 220585-57-9 CAPLUS
CN 2-Thiophenecarboxamide,
N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 220585-58-0 CAPLUS
CN Benzamide, N-[2-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]ethyl]-4-fluoro- (9CI) (CA INDEX NAME)

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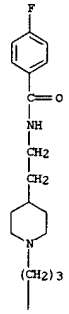

RN 220585-63-7 CAPLUS
CN Benzamide, N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]-4-nitro- (9CI) (CA INDEX NAME)

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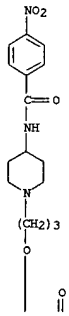

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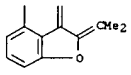

RN 220585-64-8 CAPLUS
CN Benzamide, 2,5-dichloro-N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

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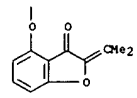

RN 220585-61-5 CAPLUS
CN Benzamide, N-[[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]methyl]-4-fluoro- (9CI) (CA INDEX NAME)

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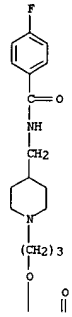

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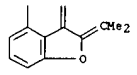

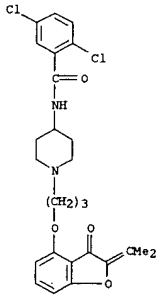

RN 220585-65-9 CAPLUS
CN Benzamide, N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)

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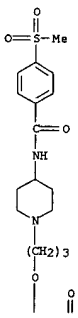

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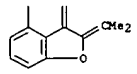

RN 220585-66-0 CAPLUS
CN Benzamide,
4-chloro-N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

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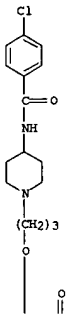

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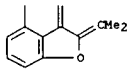

RN 220585-69-3 CAPLUS
CN Benzamide, N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-

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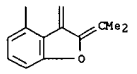
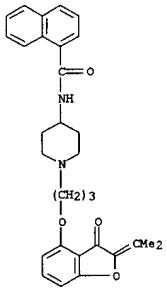


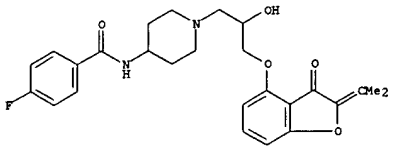
RN 220585-72-8 CAPLUS
CN 1-Naphthalenecarboxamide,
N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 220585-76-2 CAPLUS
CN Benzamide,
3,4-dichloro-N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

benzofuranyl]oxy]-2-hydroxypropyl]-4-piperidinyl]-4-fluoro-, (+)- (9CI)
(CA INDEX NAME)

Rotation (+).



RN 220585-70-6 CAPLUS
CN Benzamide,
4-(acetylamino)-N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

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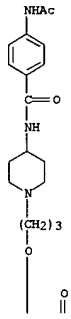

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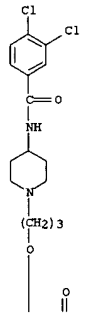

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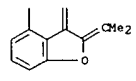
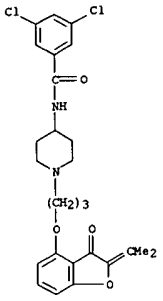
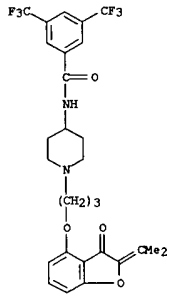


RN 220585-80-8 CAPLUS
CN Benzamide,
3,5-dichloro-N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

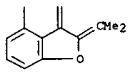


RN 220585-81-9 CAPLUS
CN Benzamide, N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]-3,5-bis(trifluoromethyl)-(9CI) (CA INDEX NAME)

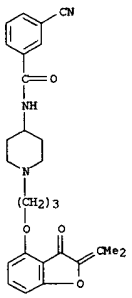


RN 220585-82-0 CAPLUS
CN Benzenepropanamide, .alpha.-amino-N-[1-[3-[[2,3-dihydro-2-(1-

PAGE 2-A



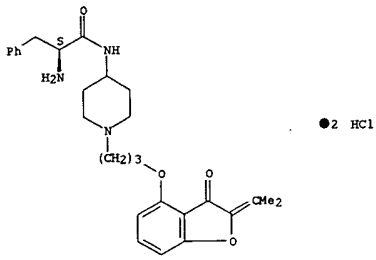
RN 220585-86-4 CAPLUS
CN Benzamide, 3-cyano-N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 220585-90-0 CAPLUS
CN Benzamide, N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]-4-(dimethylamino)- (9CI) (CA INDEX NAME)

methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]-, dihydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 220585-85-3 CAPLUS
CN Benzoic acid, 4-[[[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

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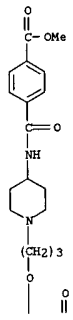

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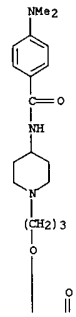

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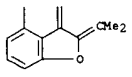
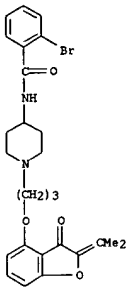


RN 220585-91-1 CAPLUS
CN Benzamide, 2-bromo-N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 220585-92-2 CAPLUS
CN Benzamide, 3-chloro-N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]-4-fluoro- (9CI) (CA INDEX NAME)

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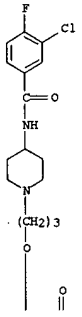
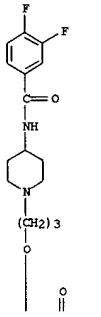


RN 220585-94-4 CAPLUS
CN Benzamide, N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]-3,4-difluoro- (9CI) (CA INDEX NAME)

PAGE 1-A



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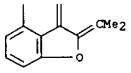

RN 220585-95-5 CAPLUS
CN Benzamide, N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]-2,3,4-trifluoro- (9CI) (CA INDEX NAME)

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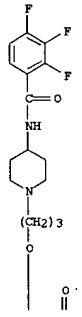

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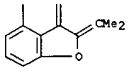

RN 220585-96-6 CAPLUS
CN Benzamide, 3-(aminosulfonyl)-4-chloro-N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

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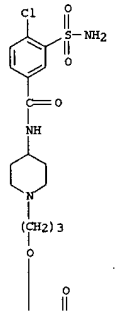

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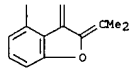

RN 220585-97-7 CAPLUS
CN Benzamide, 3-bromo-N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]-4-fluoro- (9CI) (CA INDEX NAME)

L10 ANSWER 16 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

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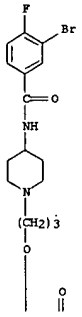

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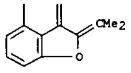
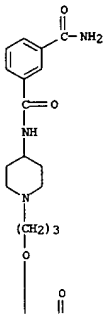


RN 220586-00-5 CAPLUS
CN 1,3-Benzenedicarboxamide, N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 16 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



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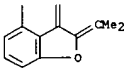

RN 220586-03-8 CAPLUS
CN Benzamide, N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]-2,4,5-trifluoro- (9CI) (CA INDEX NAME)

L10 ANSWER 16 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

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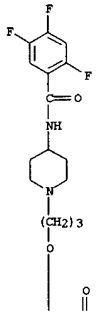

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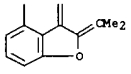

RN 220586-35-6 CAPLUS
CN Benzamide, N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]-4-fluoro-, monohydrochloride (9CI) (CA INDEX NAME)

L10 ANSWER 16 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

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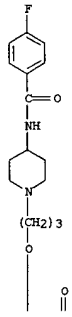

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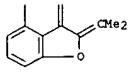
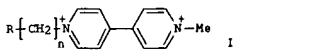


● HCl

L10 ANSWER 17 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:603741 CAPLUS
DOCUMENT NUMBER: 129:275616
TITLE: Linkage length dependence of intramolecular photoinduced electron transfer reactions in aromatic donor-viologen acceptor molecules linked by polymethylene bridges
AUTHOR(S): Park, Joon Woo; Lee, Bi Ah; Lee, Soo Yeon
CORPORATE SOURCE: Department of Chemistry, Ewha Womans University, Seoul, 120-750, S. Korea
SOURCE: Journal of Physical Chemistry B (1998), 102(42), 8209-8215
CODEN: JPCBFK; ISSN: 1089-5647
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



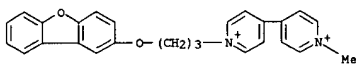
I

AB Intramol. charge-transfer (CT) complexation and photoinduced electron-transfer reactions in arom. donor-viologen acceptor dyad systems linked by polymethylene bridges (I; R = 1-naphthoxy, n = 3, 6, 8, 10; R = 2-naphthoxy, n = 3-10, 12; R = 2-dibenzofuryloxy, n = 3, 6, 8, 10) were studied. The formation consts. of the intramol. CT complexes (Kint) were detd. from the absorbance of CT absorption using the absorptivities of the complexes detd. from the intermol. complexation between the model donor compds., the 1-(aryloxy)-3-aminopropanes, and di-Me viologen. The Kint values depend little on the length of the linkage and are about 0.2 for 1-naphthol and 2-naphthol derivs., and 0.6 for dibenzofuranoyl derivs. Addn. of .beta.-cyclodextrin (.beta.-CD) disrupts the formation of the intramol. CT complexes. The 1:1 assocn. consts. of the dyad mols. with .beta.-CD (KCD) were estd. from the dependence of the CT absorption on the .beta.-CD concn. Complexation of the dyad mols. with .beta.-CD or methylated .beta.-CD (Me-.beta.-CD) also enhances the fluorescence intensity of the excited-state arom. donors. The 1:1 complexes further assoc. with CD mols., resulting in further enhancement of fluorescence

L10 ANSWER 17 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
intensity. This was attributed to the extension of the dyad mols. in the CD complexes. The electron-transfer quenching rate consts. in the CD complexes formed in the presence of 150 mM Me-.beta.-CD were calcd. from fluorescence-lifetime data, and varied exponentially with n. The apparent .beta. value is 0.86 .ANG.-1 (1.09/C-C bond), regardless of the nature of donor moieties. The distance dependence of reorganization energies (.lambda.) of the CD complexes was evaluated. Comparing the .lambda. value with .DELTA.G.degree. of the reaction, it appears that the reactions stay near the top of the Marcus curve. Comparison of the effects of Me-.beta.-CD on steady-state fluorescence intensity and excited-state lifetime indicated that through-space/through-solvent electron transfer is the predominant quenching pathway in I (n <7), and the quenching rate is fast enough to show a static-like behavior.

IT **214041-37-9P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(bridge-length dependence of intramol. photoinduced electron-transfer reactions in arom. donor-viologen acceptor mols. linked by polymethylene bridges)

RN 214041-37-9 CAPLUS
CN 4,4'-Bipyridinium, 1-[3-(2-dibenzofuranyloxy)propyl]-1'-methyl-, dichloride (9CI) (CA INDEX NAME)



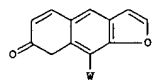
●2 Cl⁻

REFERENCE COUNT: 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 18 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:352841 CAPLUS
DOCUMENT NUMBER: 129:41070
TITLE: Psoralen sensitizers for viral inactivation
INVENTOR(S): Platz, Matthew S.; Chen, Tongqian; Kagan, Sashi S.; Pereira, Helena M.
PATENT ASSIGNEE(S): Ohio State Research Foundation, USA
SOURCE: PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9822468	A1	19980528	WO 1997-US21535	19971121
W: AU, CA, JP				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9854561	A1	19980610	AU 1998-54561	19971121
PRIORITY APPLN. INFO.:			US 1996-33088P P	19961122
			WO 1997-US21535 W	19971121

OTHER SOURCE(S): CASREACT 129:41070; MARPAT 129:41070
GI



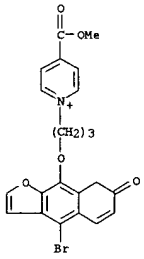
W I

AB The title compds. (I; W is a quaternary ammonium group which comprises a central nitrogen atom, a linking group L, and an arom. ring structure; L joins the central nitrogen atom of the quaternary ammonium group to the psoralen moiety) are prepd. I are useful for inactivating vital contaminants in blood-derived products, particularly blood-derived products that contain platelets or red blood cells. Thus, 5-bromo-8-(3-diethylaminopropyloxy)psoralen (prepn. given) was reacted with cinnamyl bromide in the presence of K2CO3 to give 57% I [W = C6H5CH:CHCH2NEt2(CH2)3O] bromide, which showed KDNA of 3.2 X 103 uc-1 when tested with calf thymus DNA.

IT **208238-58-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

L10 ANSWER 18 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
BIOL (Biological study); PREP (Preparation); USES (Uses)
(psoralen sensitizers for viral inactivation)

RN 208238-58-8 CAPLUS
CN Pyridinium, 1-[3-[(4-bromo-7,8-dihydro-7-oxonaphtho[2,3-b]furan-9-yl)oxy]propyl]-4-(methoxycarbonyl)-, bromide (9CI) (CA INDEX NAME)



● Br⁻

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 19 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:210749 CAPLUS
DOCUMENT NUMBER: 128:243962
TITLE: Preparation of 2-(3-piperidyl)-1,2,3,4-tetrahydroisoquinoline derivatives as inhibitors of hyperpolarization-activated inward current (If) or medicinal compositions thereof
INVENTOR(S): Watanabe, Toshihiro; Kakefuda, Akio; Masuda, Noriyuki
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan; Watanabe, Toshihiro; Kakefuda, Akio; Masuda, Noriyuki
SOURCE: PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9813364	A1	19980402	WO 1997-JP3378	19970924
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9743197	A1	19980417	AU 1997-43197	19970924
PRIORITY APPLN. INFO.:			JP 1996-253576	19960925
			WO 1997-JP3378	19970924

OTHER SOURCE(S): MARPAT 128:243962
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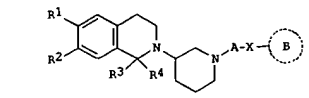
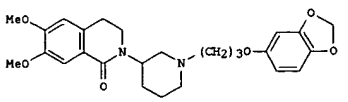
L10 ANSWER 19 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)



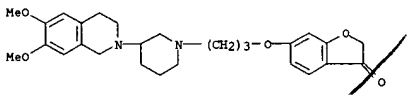
I



II

AB The title 2-(3-Piperidyl)-1,2,3,4-tetrahydroisoquinoline derivs. represented by general formula (I; wherein R1 and R2 are the same or different and each represents hydrogen, halogeno, hydroxy, lower alkyl, halogenated lower alkyl, lower alkoxy, nitro, cyano, amino, oxopyrrolidinyl, (lower alkyl)-O2CNH, (lower alkyl)-CONH or (lower alkyl)SO2NH, or R1 and R2 may together form O-(lower alkylene)-O; R3 and R4 represent each hydrogen, or R3 and R4 may together form oxo; X represents a single bond, oxygen or sulfur; A represents lower alkylene; and the ring B represents an optionally substituted hydrocarbon ring or an optionally substituted heterocycle which may be bonded to a benzene ring) or their salts are prepd. Medicinal compns. contg. these derivs. or salts thereof together with pharmaceutically acceptable carriers are also claimed. These compds. have a pacemaker current If inhibitory effect and are useful as heart rate depressants in the prevention or treatment of, in particular, ischemic cardiac diseases such as angina pectoris (thoracic angina pectoris) and myocardial infarction and circulatory diseases such as congestive heart failure and irregular pulse (supraventricular irregular pulse, etc.). Thus, 1-(3-bromopropoxy)-3,4-methylenedioxybenzene and K2CO3 were added to a suspension of 6,7-dimethoxy-2-(3-piperidyl)-1,2,3,4-tetrahydroisoquinolin-1(2H)-one and stirred at 80.degree. for 6 h to give, after salt formation with HCl, the title compd. (II.HCl). The title compds. I in vitro showed IC50 of 10-9 to 10-5 M for inhibiting pacemaker current If in guinea pig heart. II.HCl

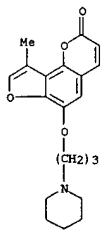
L10 ANSWER 19 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
at 0.07 .mu.M in vitro decreased by 30% no. of heart beat in guinea pig.
heart.
IT **204979-68-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of piperidyltetrahydroisoquinoline derivs. as inhibitors of hyperpolarization-activated inward current (If) for lowering heart rate and treatment of heart diseases)
RN 204979-68-0 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-[3-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)-1-piperidinyl]propoxy]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 20 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:61004 CAPLUS
DOCUMENT NUMBER: 128:140571
TITLE: Synthesis of novel sfondin (angular furocoumarin) derivatives
AUTHOR(S): Mazur, Jolanta; Zawadowski, Teodor
CORPORATE SOURCE: Department of Medical Chemistry, The Warsaw Medical University, Warsaw, 02-007, Pol.
SOURCE: Acta Poloniae Pharmaceutica (1997), 54(5), 371-374
CODEN: APPHAX; ISSN: 0001-6837
PUBLISHER: Polish Pharmaceutical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The synthesis of new aminoalkyl ethers and acetamides of 6-hydroxy-9-methyl-2H-furo[2,3-h][1]benzopyran-2-one (a sfondin deriv.) was described.
IT **202288-21-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of sfondin (angular furocoumarin) derivs.)
RN 202288-21-9 CAPLUS
CN 2H-Furo[2,3-h]-1-benzopyran-2-one, 9-methyl-6-[3-(1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)



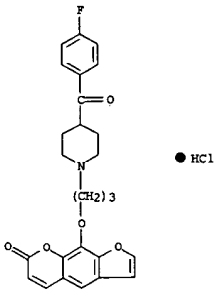
L10 ANSWER 21 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:222211 CAPLUS
DOCUMENT NUMBER: 126:301406
TITLE: Alkoxyfurocoumarin derivatives as potential mesolimbic selective antipsychotics
AUTHOR(S): Hansen, J. Bondo; Fink-Jensen, A.; Hansen, L.; Nielsen, E. B.; Scheideler, M. A.
CORPORATE SOURCE: Health Care Discovery, Novo Nordisk A/S, Malov, DK-2760, Den.
SOURCE: European Journal of Medicinal Chemistry (1997), 32(2), 103-111
CODEN: EJMCA5; ISSN: 0223-5234
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A series of potential antipsychotic compds. have been synthesized by combining a furocoumarin heterocycle through a linker of different sizes with an arylpiperazine or piperidine moiety. Several of the compds. show very high affinity for the dopamine-D1 and -D2, .alpha.1-adrenergic and serotonin 5-HT2 receptors in vitro and selected compds. were active in in vivo models predictive of antipsychotic activity. In mice the compds. potently antagonized methylphenidate-induced motility while methylphenidate-induced gnawing was unaffected. In rats the compds. inhibited condition avoidance responding without causing catalepsy.

IT **164387-44-4P 189261-46-9P 189261-50-5P**
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(prepn. of alkoxyfurocoumarin derivs. as potential mesolimbic selective antipsychotics)

RN 164387-44-4 CAPLUS
CN 7H-Furo[3,2-g][1]benzopyran-7-one, 9-[3-[4-(4-fluorobenzoyl)-1-piperidinyl]propoxy]-, hydrochloride (9CI) (CA INDEX NAME)

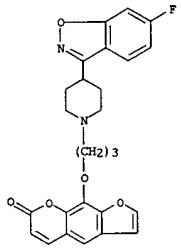
L10 ANSWER 21 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 189261-46-9 CAPLUS
CN 7H-Furo[3,2-g][1]benzopyran-7-one, 9-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 164387-41-1
CMF C26 H23 F N2 O5



CM 2

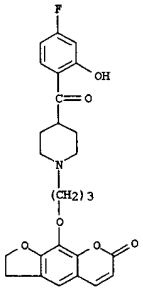
L10 ANSWER 21 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

CRN 144-62-7
CMF C2 H2 O4

RN 189261-50-5 CAPLUS
CN 7H-Furo[3,2-g][1]benzopyran-7-one, 9-[3-[4-(4-fluoro-2-hydroxybenzoyl)-1-piperidinyl]propoxy]-2,3-dihydro-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 189261-49-2
CMF C26 H26 F N O6



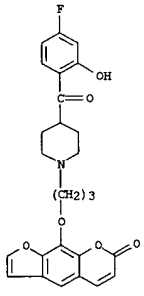
CM 2

CRN 144-62-7
CMF C2 H2 O4

L10 ANSWER 21 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

IT **189261-51-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; prepn. of alkoxyfurocoumarin derivs. as potential mesolimbic selective antipsychotics)

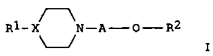
RN 189261-51-6 CAPLUS
CN 7H-Furo[3,2-g][1]benzopyran-7-one, 9-[3-[4-(4-fluoro-2-hydroxybenzoyl)-1-piperidinyl]propoxy]- (9CI) (CA INDEX NAME)



L10 ANSWER 22 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:652268 CAPLUS
DOCUMENT NUMBER: 123:55888
TITLE: Preparation of psoralen derivatives as drugs
INVENTOR(S): Hansen, John Bondo; Groenvald, Frederik Christian
PATENT ASSIGNEE(S): Novo Nodisk A/S, Den.
SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

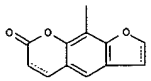
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9427998	A1	19941208	WO 1994-DK200	19940525
W: AU, BG, BY, CA, CN, CZ, FI, HU, JP, KP, KR, KZ, LV, NO, NZ, PL, RO, RU, SK, UA, US, UZ				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9469240	A1	19941220	AU 1994-69240	19940525
EP 700398	A1	19960313	EP 1994-917565	19940525
EP 700398	B1	19971126		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 08510264	T2	19961029	JP 1994-500124	19940525
AT 160567	E	19971215	AT 1994-917565	19940525
FI 9505680	A	19951124	FI 1995-5680	19951124
NO 9504764	A	19960125	NO 1995-4764	19951124
PRIORITY APPLN. INFO.:			DK 1993-607	19930526
			WO 1994-DK200	19940525

OTHER SOURCE(S): MARPAT 123:55888
GI



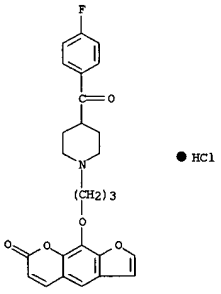
I

Q =



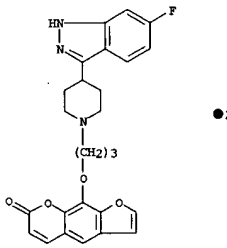
AB Title compds. [I; A is hydrocarbon contg. 2-6 C-atoms; R1 is (un)substituted benzoyl, (un)substituted heterocyclyl; R2 = (un)substituted Q] and their pharmaceutically acceptable salts, useful in the treatment of indications related to the CNS-system, cardiovascular system or gastrointestinal disorders (no data), are prepd. Thus, 1-(2-chlorophenyl)piperazine was reacted with 9-(3-bromopropoxy)psoralen

L10 ANSWER 22 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)



● HCl

RN 164387-65-9 CAPLUS
CN 7H-Furo[3,2-g][1]benzopyran-7-one, 9-[3-[4-(6-fluoro-1H-indazol-3-yl)-1-piperidinyl]propoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

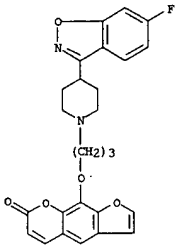


●2 HCl

L10 ANSWER 22 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
in acetone contg. K2CO3 under reflux for 16 h followed by treatment with HCl to give the title compd. 9-[3-[4-(2-chlorophenyl)piperazin-1-yl]propoxy]psoralen hydrochloride. General procedures for testing the title compds. but without specific data are described. Pharmaceutical compns. contg. I are described.
IT **164387-42-2P 164387-44-4P 164387-65-9P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of psoralen derivs. as drugs)
RN 164387-42-2 CAPLUS
CN 7H-Furo[3,2-g][1]benzopyran-7-one, 9-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-, ethanedioate (9CI) (CA INDEX NAME)

CM 1

CRN 164387-41-1
CMF C26 H23 F N2 O5



CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 164387-44-4 CAPLUS
CN 7H-Furo[3,2-g][1]benzopyran-7-one, 9-[3-[4-(4-fluorobenzoyl)-1-piperidinyl]propoxy]-, hydrochloride (9CI) (CA INDEX NAME)

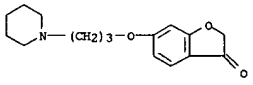
L10 ANSWER 23 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1991:81563 CAPLUS
DOCUMENT NUMBER: 114:81563
TITLE: Preparation of (benzofuranyloxy)alkylamine derivatives as antiarrhythmics and psychotropics
INVENTOR(S): Tomino, Iwao; Kamiya, Jiyouji; Yoshihara, Kanji
PATENT ASSIGNEE(S): Mitsui Pharmaceuticals, Inc., Japan
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 156 pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1043319	A	19900627	CN 1989-106715	19890710
CN 1034331	B	19970326		
WO 8905289	A1	19890615	WO 1988-JP1240	19881209
W: HU, JP, KR, US				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
PRIORITY APPLN. INFO.:			JP 1988-1240	19881209
			WO 1988-JP1240	19881209
			JP 1987-312113	19871211
			JP 1987-314234	19871214

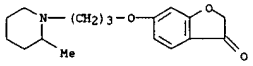
OTHER SOURCE(S): MARPAT 114:81563
GI For diagram(s), see printed CA Issue.
AB Title compds. (I; A = alkenyl, acyl, CO2Et, etc.; B = H, acyloxy, alkoxy, PhCO2; AB = COCH2O, CH:CHO, ether divalent radical; R1 = H, alkyl, halo, etc.; R2 = H, OH, alkyl; R3 = H, alkyl, alkoxyalkyl, cycloalkyl, PhCH2, Ph, etc., R4 = alkyl, alkenyl, alkynyl, cycloalkyl, PhCH2, phenethyl, etc., n = 1-4), their acid adducts and quaternary ammonium salts are prepd. and formulated. HCl was blown into a mixt. of m-(HO)2C6H4, ClCH2CN and ZnCl2 in Et2O, the resultant crystals were refluxed in H2O, cooled, the crystals were refluxed with KOAc in EtOH to give 54% benzofuranone II, which was refluxed with Cl(CH2)3NEt(CH2)6Me and K2CO3 in MePh to give 37% amine III. III showed 31% antiarrhythmic activity at 4 .mu.g/mL, vs. 15% at 10 .mu.g/mL for a ref. compd. Also prepd. were 193 addnl. I. Psychotropic activity was also given. Tablet, capsule, and injection formulations were given.
IT **124626-55-7P 124626-60-4P 124626-61-5P**
124626-62-6P 124626-63-7P 124626-64-8P
124626-65-9P 124626-66-0P 124626-67-1P
124626-68-2P 124626-73-9P 124626-74-0P
124626-75-1P 124626-76-2P 124626-77-3P
124626-78-4P 124626-79-5P 124626-80-8P
124626-81-9P 124626-82-0P 124626-86-4P

L10 ANSWER 23 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
124626-92-2P 124626-94-4P 124626-96-6P
124626-97-7P 124626-98-8P 124626-99-9P
124627-00-5P 124627-01-6P 124627-02-7P
124627-03-8P 124627-04-9P 124627-05-0P
124627-06-1P 124627-07-2P 124627-08-3P
124627-13-0P 124627-14-1P 124627-15-2P
124627-16-3P 124627-17-4P 124627-19-6P
124627-26-5P 124627-27-6P 124627-31-2P
124627-35-6P 124627-36-7P 124627-37-8P
124627-38-9P 124627-39-0P 124627-40-3P
124627-41-4P 124627-42-5P 124627-43-6P
124627-44-7P 124627-45-8P 124627-46-9P
124627-47-0P 124627-48-1P 124627-49-2P
124627-50-5P 124627-51-6P 124627-52-7P
124627-53-8P 124627-54-9P 124627-55-0P
124627-59-4P 124627-60-7P 124652-80-8P
131978-13-7P 131978-14-8P 131978-19-3P
131978-22-8P 131978-23-9P 131978-25-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antiarrhythmic and psychotropic agent)

RN 124626-55-7 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)

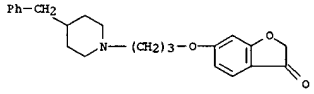


RN 124626-60-4 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(2-methyl-1-piperidinyl)propoxy]- (9CI) (CA
INDEX NAME)

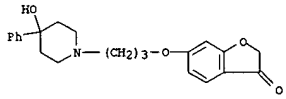


RN 124626-61-5 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(3-methyl-1-piperidinyl)propoxy]- (9CI) (CA
INDEX NAME)

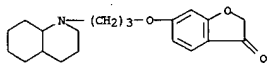
L10 ANSWER 23 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)



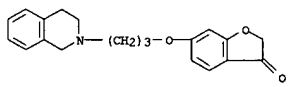
RN 124626-66-0 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(4-hydroxy-4-phenyl-1-piperidinyl)propoxy]-
(9CI) (CA INDEX NAME)



RN 124626-67-1 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(octahydro-1(2H)-quinolinyl)propoxy]-
(9CI) (CA
INDEX NAME)



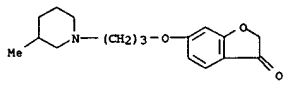
RN 124626-68-2 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(3,4-dihydro-2(1H)-isoquinolinyl)propoxy]-
(9CI)
(CA INDEX NAME)



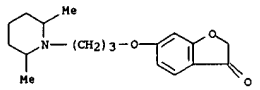
RN 124626-73-9 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(3,5-dimethyl-1-piperidinyl)propoxy]-,
trans-
(9CI) (CA INDEX NAME)

Relative stereochemistry.

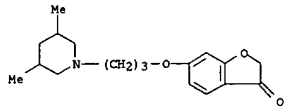
L10 ANSWER 23 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)



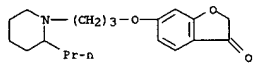
RN 124626-62-6 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(2,6-dimethyl-1-piperidinyl)propoxy]- (9CI)
(CA
INDEX NAME)



RN 124626-63-7 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(3,5-dimethyl-1-piperidinyl)propoxy]- (9CI)
(CA
INDEX NAME)

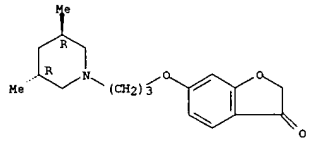


RN 124626-64-8 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(2-propyl-1-piperidinyl)propoxy]- (9CI) (CA
INDEX NAME)

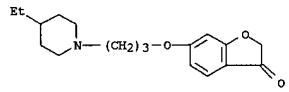


RN 124626-65-9 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-[4-(phenylmethyl)-1-piperidinyl]propoxy]-
(9CI)
(CA INDEX NAME)

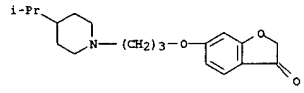
L10 ANSWER 23 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)



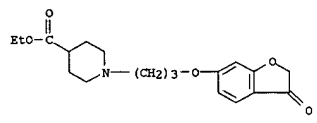
RN 124626-74-0 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(4-ethyl-1-piperidinyl)propoxy]- (9CI) (CA
INDEX NAME)



RN 124626-75-1 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-[4-(1-methylethyl)-1-piperidinyl]propoxy]-
(9CI)
(CA INDEX NAME)

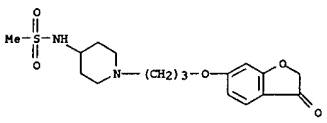


RN 124626-76-2 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[3-[(2,3-dihydro-3-oxo-6-
benzofuranyl)oxy]propyl]-, ethyl ester (9CI) (CA INDEX NAME)

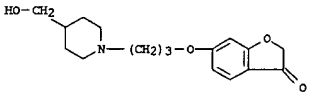


RN 124626-77-3 CAPLUS

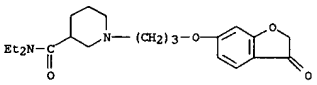
L10 ANSWER 23 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN Methanesulfonamide,
N-[1-[3-[(2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]-
4-piperidinyl]- (9CI) (CA INDEX NAME)



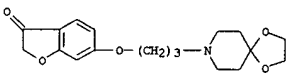
RN 124626-78-4 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-[4-(hydroxymethyl)-1-piperidinyl]propoxy]-
(9CI)
(CA INDEX NAME)



RN 124626-79-5 CAPLUS
CN 3-Piperidinecarboxamide, 1-[3-[(2,3-dihydro-3-oxo-6-
benzofuranyl)oxy]propyl]-N,N-diethyl- (9CI) (CA INDEX NAME)

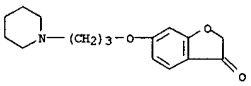


RN 124626-80-8 CAPLUS
CN 3(2H)-Benzofuranone,
6-[3-(1,4-dioxa-8-azaspiro[4.5]dec-8-yl)propoxy]-
(9CI) (CA INDEX NAME)



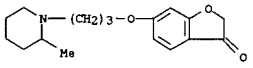
RN 124626-81-9 CAPLUS

L10 ANSWER 23 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
RN 124626-94-4 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(1-piperidinyl)propoxy]-, hydrochloride
(9CI)
(CA INDEX NAME)



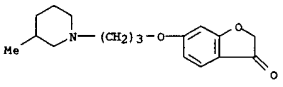
● HCl

RN 124626-96-6 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(2-methyl-1-piperidinyl)propoxy]-,
hydrochloride
(9CI) (CA INDEX NAME)



● HCl

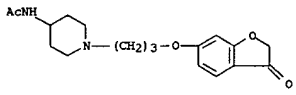
RN 124626-97-7 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(3-methyl-1-piperidinyl)propoxy]-,
hydrochloride
(9CI) (CA INDEX NAME)



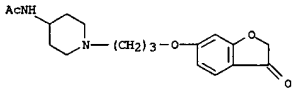
● HCl

RN 124626-98-8 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(4-methyl-1-piperidinyl)propoxy]-,
hydrochloride
(9CI) (CA INDEX NAME)

L10 ANSWER 23 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN Acetamide, N-[1-[3-[(2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]-4-
piperidinyl]- (9CI) (CA INDEX NAME)

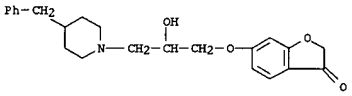


RN 124626-82-0 CAPLUS
CN Acetamide, N-[1-[3-[(2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]-4-
piperidinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

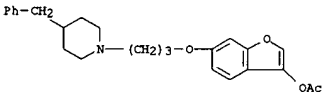


● HCl

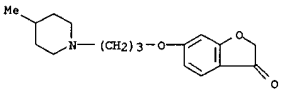
RN 124626-86-4 CAPLUS
CN 3(2H)-Benzofuranone, 6-[2-hydroxy-3-[4-(phenylmethyl)-1-
piperidinyl]propoxy]- (9CI) (CA INDEX NAME)



RN 124626-92-2 CAPLUS
CN 3-Benzofuranol, 6-[3-[4-(phenylmethyl)-1-piperidinyl]propoxy]-,
acetate
(ester) (9CI) (CA INDEX NAME)

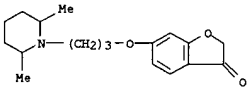


L10 ANSWER 23 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)



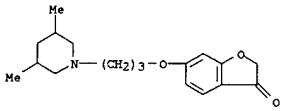
● HCl

RN 124626-99-9 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(2,6-dimethyl-1-piperidinyl)propoxy]-,
hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 124627-00-5 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(3,5-dimethyl-1-piperidinyl)propoxy]-,
hydrochloride (9CI) (CA INDEX NAME)

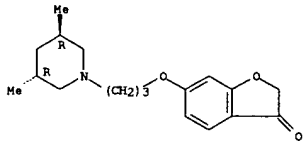


● HCl

RN 124627-01-6 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(3,5-dimethyl-1-piperidinyl)propoxy]-,
hydrochloride, trans- (9CI) (CA INDEX NAME)

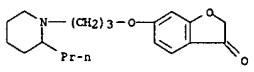
Relative stereochemistry.

L10 ANSWER 23 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)



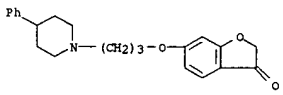
● HCl

RN 124627-02-7 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(2-propyl-1-piperidinyl)propoxy]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

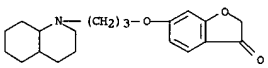
RN 124627-03-8 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(4-phenyl-1-piperidinyl)propoxy]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

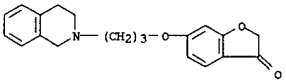
RN 124627-04-9 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-[4-(phenylmethyl)-1-piperidinyl]propoxy]-, hydrochloride (9CI) (CA INDEX NAME)

L10 ANSWER 23 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)



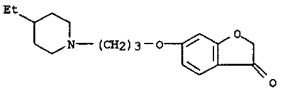
● HCl

RN 124627-08-3 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(3,4-dihydro-2(1H)-isoquinolinyl)propoxy]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

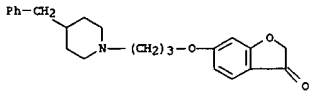
RN 124627-13-0 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(4-ethyl-1-piperidinyl)propoxy]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

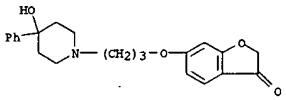
RN 124627-14-1 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-[4-(1-methylethyl)-1-piperidinyl]propoxy]-, hydrochloride (9CI) (CA INDEX NAME)

L10 ANSWER 23 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)



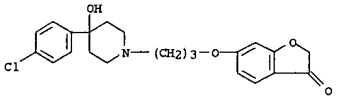
● HCl

RN 124627-05-0 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(4-hydroxy-4-phenyl-1-piperidinyl)propoxy]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

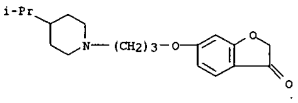
RN 124627-06-1 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propoxy]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

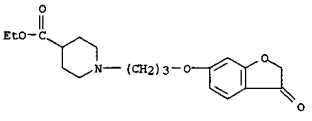
RN 124627-07-2 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(octahydro-1(2H)-quinolinyl)propoxy]-, hydrochloride (9CI) (CA INDEX NAME)

L10 ANSWER 23 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)



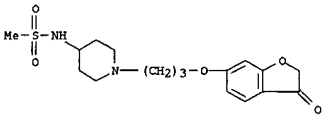
● HCl

RN 124627-15-2 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[3-[(2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)



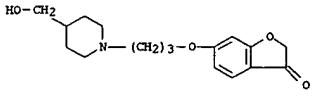
● HCl

RN 124627-16-3 CAPLUS
CN Methanesulfonamide, N-[1-[3-[(2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]-4-piperidinyl]-, monohydrochloride (9CI) (CA INDEX NAME)



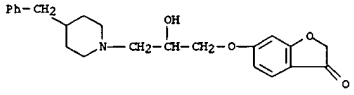
● HCl

RN 124627-17-4 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-[4-(hydroxymethyl)-1-piperidinyl]propoxy]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 124627-19-6 CAPLUS
CN 3(2H)-Benzofuranone, 6-[2-hydroxy-3-[4-(phenylmethyl)-1-piperidinyl]propoxy]-, hydrochloride (9CI) (CA INDEX NAME)

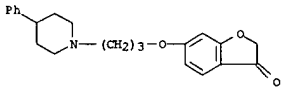


● HCl

RN 124627-26-5 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(4-phenyl-1-piperidinyl)propoxy]-, phosphate
(9CI) (CA INDEX NAME)

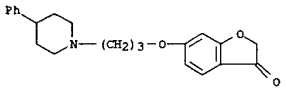
CM 1

CRN 124627-25-4
CMF C22 H25 N O3



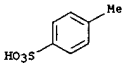
CM 2

CRN 7664-38-2
CMF H3 O4 P

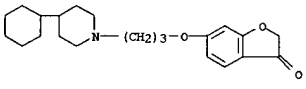


CM 2

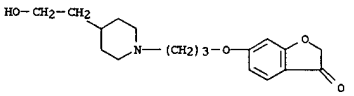
CRN 104-15-4
CMF C7 H8 O3 S



RN 124627-35-6 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(4-cyclohexyl-1-piperidinyl)propoxy]-
(9CI) (CA
INDEX NAME)



RN 124627-36-7 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-[4-(2-hydroxyethyl)-1-piperidinyl]propoxy]-
(9CI) (CA INDEX NAME)

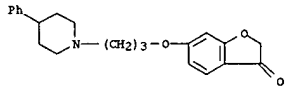


RN 124627-37-8 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-[3-(hydroxymethyl)-1-piperidinyl]propoxy]-
(9CI)
(CA INDEX NAME)

RN 124627-27-6 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(4-phenyl-1-piperidinyl)propoxy]-, sulfate
(9CI)
(CA INDEX NAME)

CM 1

CRN 124627-25-4
CMF C22 H25 N O3



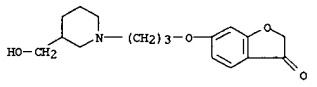
CM 2

CRN 7664-93-9
CMF H2 O4 S

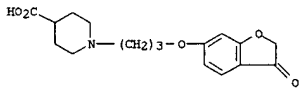
RN 124627-31-2 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(4-phenyl-1-piperidinyl)propoxy]-,
4-methylbenzenesulfonate (9CI) (CA INDEX NAME)

CM 1

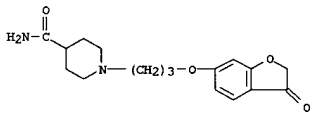
CRN 124627-25-4
CMF C22 H25 N O3



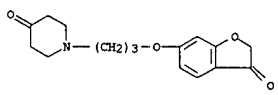
RN 124627-38-9 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[3-[(2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]- (9CI) (CA INDEX NAME)



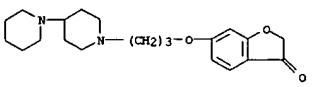
RN 124627-39-0 CAPLUS
CN 4-Piperidinecarboxamide, 1-[3-[(2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]- (9CI) (CA INDEX NAME)



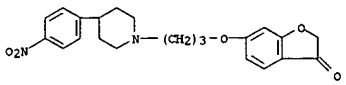
RN 124627-40-3 CAPLUS
CN 4-Piperidinone, 1-[3-[(2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]-
(9CI)
(CA INDEX NAME)



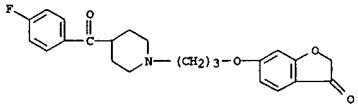
RN 124627-41-4 CAPLUS
CN 3(2H)-Benzofuranone, 6-(3-[1,4'-bipiperidin]-1'-ylpropoxy)- (9CI) (CA INDEX NAME)



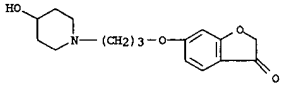
RN 124627-42-5 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-[4-(4-nitrophenyl)-1-piperidinyl]propoxy]-
(9CI)
(CA INDEX NAME)



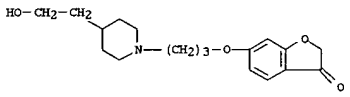
RN 124627-43-6 CAPLUS
CN 3(2H)-Benzofuranone,
6-[3-[4-(4-fluorobenzoyl)-1-piperidinyl]propoxy]-
(9CI) (CA INDEX NAME)



RN 124627-44-7 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(4-hydroxy-1-piperidinyl)propoxy]- (9CI)
(CA
INDEX NAME)

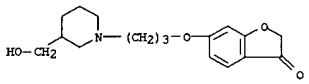


RN 124627-45-8 CAPLUS
CN 1,3,8-Triazaspiro[4.5]decan-4-one, 8-[3-[(2,3-dihydro-3-oxo-6-
benzofuranyl)oxy]propyl]-1-phenyl- (9CI) (CA INDEX NAME)



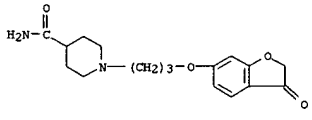
● HCl

RN 124627-49-2 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-[3-(hydroxymethyl)-1-piperidinyl]propoxy]-,
hydrochloride (9CI) (CA INDEX NAME)



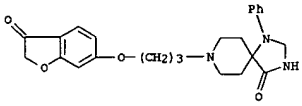
● HCl

RN 124627-50-5 CAPLUS
CN 4-Piperidinecarboxamide, 1-[3-[(2,3-dihydro-3-oxo-6-
benzofuranyl)oxy]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)

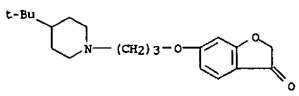


● HCl

RN 124627-51-6 CAPLUS
CN 4-Piperidinone, 1-[3-[(2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]-,
hydrochloride (9CI) (CA INDEX NAME)

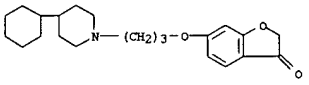


RN 124627-46-9 CAPLUS
CN 3(2H)-Benzofuranone,
6-[3-[4-(1,1-dimethylethyl)-1-piperidinyl]propoxy]-,
hydrochloride (9CI) (CA INDEX NAME)



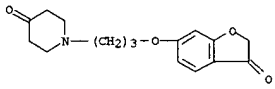
● HCl

RN 124627-47-0 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(4-cyclohexyl-1-piperidinyl)propoxy]-,
hydrochloride (9CI) (CA INDEX NAME)



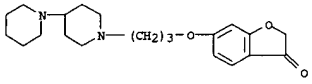
● HCl

RN 124627-48-1 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-[4-(2-hydroxyethyl)-1-piperidinyl]propoxy]-,
hydrochloride (9CI) (CA INDEX NAME)



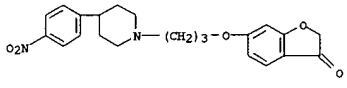
● HCl

RN 124627-52-7 CAPLUS
CN 3(2H)-Benzofuranone, 6-(3-[1,4'-bipiperidin]-1'-ylpropoxy)-,
dihydrochloride (9CI) (CA INDEX NAME)



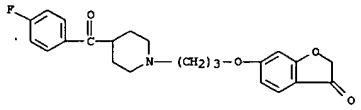
●2 HCl

RN 124627-53-8 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-[4-(4-nitrophenyl)-1-piperidinyl]propoxy]-,
monohydrochloride (9CI) (CA INDEX NAME)



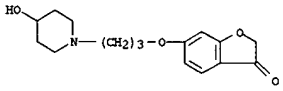
● HCl

RN 124627-54-9 CAPLUS
CN 3(2H)-Benzofuranone,
6-[3-[4-(4-fluorobenzoyl)-1-piperidinyl]propoxy]-,
hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 124627-55-0 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(4-hydroxy-1-piperidinyl)propoxy]-, hydrochloride (9CI) (CA INDEX NAME)

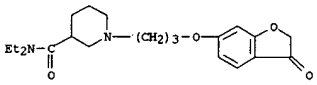


● HCl

RN 124627-59-4 CAPLUS
CN 3-Piperidinecarboxamide, 1-[3-[(2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]-N,N-diethyl-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

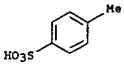
CM 1

CRN 124626-79-5
CMF C21 H30 N2 O4



CM 2

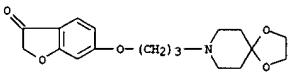
CRN 104-15-4
CMF C7 H8 O3 S



RN 124627-60-7 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(1,4-dioxa-8-azaspiro[4.5]dec-8-yl)propoxy]-, 4-methylbenzenesulfonate (9CI) (CA INDEX NAME)

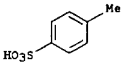
CM 1

CRN 124626-80-8
CMF C18 H23 N O5

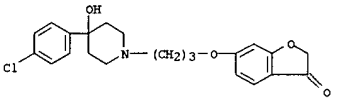


CM 2

CRN 104-15-4
CMF C7 H8 O3 S

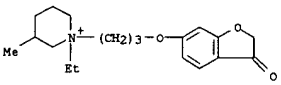


RN 124652-80-8 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propoxy]- (9CI) (CA INDEX NAME)



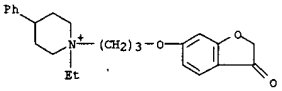
RN 131978-13-7 CAPLUS

CN Piperidinium, 1-[3-[(2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]-1-ethyl-3-methyl-, bromide (9CI) (CA INDEX NAME)



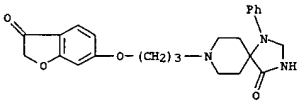
● Br⁻

RN 131978-14-8 CAPLUS
CN Piperidinium, 1-[3-[(2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]-1-ethyl-4-phenyl-, bromide (9CI) (CA INDEX NAME)



● Br⁻

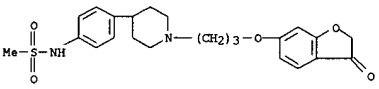
RN 131978-19-3 CAPLUS
CN 1,3,8-Triazaspiro[4.5]decan-4-one, 8-[3-[(2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]-1-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)



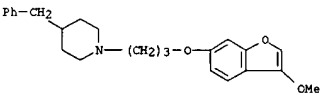
● HCl

RN 131978-22-8 CAPLUS

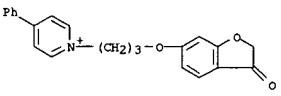
CN Methanesulfonamide, N-[4-[1-[3-[(2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]-4-piperidinyl]phenyl]- (9CI) (CA INDEX NAME)



RN 131978-23-9 CAPLUS
CN Piperidine, 1-[3-[(3-methoxy-6-benzofuranyl)oxy]propyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 131978-25-1 CAPLUS
CN Pyridinium, 1-[3-[(2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]-4-phenyl-, iodide (9CI) (CA INDEX NAME)

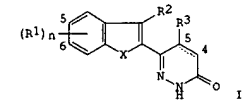


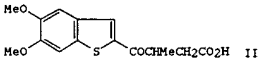
● I⁻

L10 ANSWER 24 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1990:532201 CAPLUS
DOCUMENT NUMBER: 113:132201
TITLE: Preparation of pyridazinone derivatives as drugs
INVENTOR(S): Redpath, James; Logan, Robert Thomas; Roy, Robert Gibson; McGarry, George
PATENT ASSIGNEE(S): AKZO N. V., Neth.
SOURCE: Eur. Pat. Appl., 12 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 350990	A1	19900117	EP 1989-201716	19890629
EP 350990	B1	19950920		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE				
AT 128135	E	19951015	AT 1989-201716	19890629
ES 2080064	T3	19960201	ES 1989-201716	19890629
ZA 8905087	A	19900328	ZA 1989-5087	19890704
AU 8937967	A1	19900111	AU 1989-37967	19890707
AU 617489	B2	19911128		
DK 8903408	A	19900112	DK 1989-3408	19890710
FI 8903345	A	19900112	FI 1989-3345	19890710
FI 97295	B	19960815		
FI 97295	C	19961125		
JP 02085281	A2	19900326	JP 1989-177872	19890710
CA 1308413	A1	19921006	CA 1989-605216	19890710
US 4952571	A	19900828	US 1989-378342	19890711

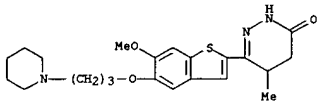
PRIORITY APPLN. INFO.: EP 1988-306295 19880711
OTHER SOURCE(S): MARPAT 113:132201
GI


I


II

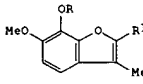
AB Pyridazinone derivs. [I; R1 = H, OH, halo, NO2, (substituted) amino; R2,
R3 = H, C1-4 alkyl; X = O, S; n = 1-4], useful as cardiotonics, blood

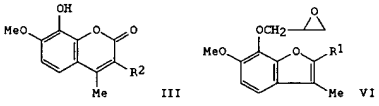
L10 ANSWER 24 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
platelet aggregation inhibitors, vasodilators, etc., are prepd. A mixt.
of 17.2 g butyric acid deriv. II (prepn. given) and 55 mL 85% N2H4.H2O in
EtOH was refluxed and concd. in vacuo to give 14.6 g pyridazinone deriv. I
[(R1)n = 5,6-(MeO)2, R2 = H, R3 = Me, 4,5-satd.]. Also prepd. were 22 addnl. I and their HCl salts. The preferred dose is 0.1-10 mg/kg daily.
IT **129425-98-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as drug)
RN 129425-98-5 CAPLUS
CN 3(2H)-Pyridazinone, 4,5-dihydro-6-[6-methoxy-5-[3-(1-piperidinyl)propoxy]benzo[b]thien-2-yl]-5-methyl-, monohydrochloride (9CI)
(CA INDEX NAME)

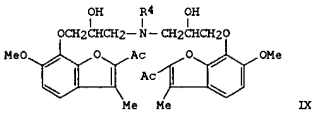


● HCl

L10 ANSWER 25 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1990:178506 CAPLUS
DOCUMENT NUMBER: 112:178506
TITLE: Synthesis of new aminoalkanol derivatives of benzofuran with potential .beta.-adrenolytic activity
AUTHOR(S): Zawadowski, Teodor; Suski, Slawomir; Rump, Slawomir; Borkowska, Grazyna
CORPORATE SOURCE: Inst. Drug Sci., Sch. Med., Warsaw, 02007, Pol.
SOURCE: Acta Poloniae Pharmaceutica (1989), 46(3), 201-8
CODEN: APPHAX; ISSN: 0001-6837
DOCUMENT TYPE: Journal
LANGUAGE: Polish
OTHER SOURCE(S): CASREACT 112:178506
GI

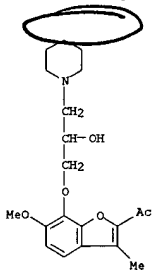

I


III VI


IX

AB Friedel-Crafts reaction of benzofuran I (R = Me, R1 = H) with AcCl gave
45% I [R = H, R1 = COMe (II)]. II was also prepd. from III (R2 = H) by
bromination in AcOH, alk. (KOH) hydrolysis and ring contraction of III (R2
= Br) to I [R = H, R1 = CO2H (IV)], and subsequent thermal decarboxylation
and acetylation. IV was converted to I (R = H, R1 = CONH2) via the acyl
chloride and next to I [R = CH2CH(OH)CH2R3; R1 = CONH2; R3 = NHCHMe2 (V)]
via oxiranylmethyl compd. VI (R1 = CONH2). Treating II with
epichlorohydrin in the presence of K2CO3 gave 70% VI (R1 = COMe), which

L10 ANSWER 25 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
when treated with the appropriate amines gave V [R3 = 4-morpholinyl, 4-phenyl-1-piperazinyl (VII), 1-piperidinyl, Me2CHNH, MeEtCHNH (VIII), and
Me3CNH] in 51-74% yields; IX (R4 = Me2CH, Me3C) were isolated in small amts. (14 and 13%, resp.) as byproducts in the reaction with Me2CHNH2 and
Me3CNH2, resp. In biol. tests with mice, VII elicited a hypotensive response comparable with that of aminophylline, and VIII produced an antiarrhythmic effect similar to that of propranolol. V with R1 = CONH2
was practically inactive.
IT **126531-00-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 126531-00-8 CAPLUS
CN Ethanone, 1-[7-[2-hydroxy-3-(1-piperidinyl)propoxy]-6-methoxy-3-methyl-2-benzofuranyl]- (9CI) (CA INDEX NAME)

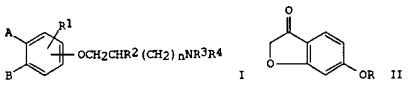


L10 ANSWER 26 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1990:55583 CAPLUS
DOCUMENT NUMBER: 112:55583
TITLE: Preparation of benzofuranyloxy- and other aryloxyalkylamines as pharmaceuticals for treatment of heart diseases in animals
INVENTOR(S): Tomino, Ikuo; Ishiguro, Masaharu; Kitahara, Takumi; Yokoyama, Keiichi; Kihara, Noriaki; Kamiya, Joji; Yoshihara, Kanji; Ishii, Masaaki; Mizuchi, Akira; et al.
PATENT ASSIGNEE(S): Mitsui Petrochemical Industries, Ltd., Japan; Mitsui Pharmaceuticals, Inc.
SOURCE: PCT Int. Appl., 163 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8905289	A1	19890615	WO 1988-JP1240	19881209
W: HU, JP, KR, US				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
EP 424525	A1	19910502	EP 1989-900305	19881209
EP 424525	B1	19941221		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
HU 58275	A2	19920228	HU 1989-387	19881209
JP 2779240	B2	19980723	JP 1988-500419	19881209
CN 1043319	A	19900627	CN 1989-106715	19890710
CN 1034331	B	19970326		
US 5192799	A	19930309	US 1992-895417	19920605

PRIORITY APPLN. INFO.: JP 1987-312113 19871211
JP 1987-314234 19871214
JP 1988-1240 19881209
WO 1988-JP1240 19881209
US 1989-392964 19890803
US 1991-780546 19911022

GI



AB The title compds. [I; R1 = H, alkoxy, alkyl, halo, NH2, NO2, alkylsulfamoyl; R2 = H, OH, alkyl; R3 = H, alkyl, alkoxyalkyl, etc.; R4 = alkyl, alkenyl, alkynyl, alkoxyalkyl; R3R4N = 4- to 8-membered ring which may contain NH, O, S; A = alkenyl, acyl, acylvinyl, .alpha.,.alpha.-dialkylbenzyl; B = H, acyloxy, alkoxy, PhCO2; AB = C(O)CR5R6O, CR7R8CR9:CR10O, (CR11R12)m, etc.; R5-R12 = H, alkyl; m = 3, 4], useful for treating arrhythmia, myocardial infarction, angina pectoris, or cardiac failure in animals, and as dopamine and serotonine antagonists, were prepd. A mixt. of cumaranone II (R = H) (prepn. given), Cl(CH2)3NEt(CH2)6Me, and K2CO3 in PhMe was refluxed to give II [R = (CH2)3NEt(CH2)6Me], which had an action potential duration (APD75) of 31 .mu.g/mL. A tablet was formulated contg. I 100, cornstarch 50, cryst. cellulose 42, SiO2 7, and Mg stearate 1 mg.

IT **124626-55-7P 124626-60-4P 124626-61-5P**
124626-62-6P 124626-63-7P 124626-64-8P
124626-65-9P 124626-66-0P 124626-67-1P
124626-68-2P 124626-73-9P 124626-74-0P
124626-75-1P 124626-76-2P 124626-77-3P
124626-78-4P 124626-79-5P 124626-80-8P
124626-81-9P 124626-82-0P 124626-86-4P
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124626-97-7P 124626-98-8P 124626-99-9P
124627-00-5P 124627-01-6P 124627-02-7P
124627-03-8P 124627-04-9P 124627-05-0P
124627-06-1P 124627-07-2P 124627-08-3P
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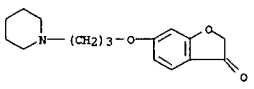
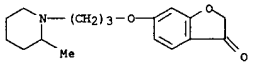
124627-47-0P 124627-48-1P 124627-49-2P
124627-50-5P 124627-51-6P 124627-52-7P
124627-53-8P 124627-54-9P 124627-55-0P
124627-56-1P 124627-58-3P 124627-59-4P
124627-60-7P 124652-80-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as pharmaceutical)

RN 124626-55-7 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)

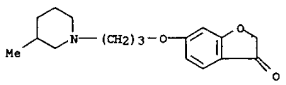
L10 ANSWER 26 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)



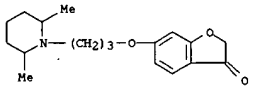
RN 124626-60-4 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(2-methyl-1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)



RN 124626-61-5 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(3-methyl-1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)

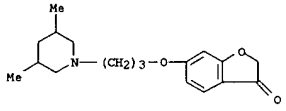


RN 124626-62-6 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(2,6-dimethyl-1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)

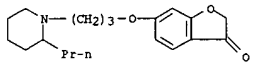


RN 124626-63-7 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(3,5-dimethyl-1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)

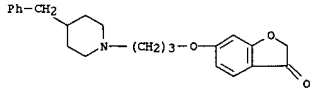
L10 ANSWER 26 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)



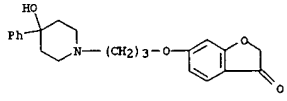
RN 124626-64-8 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(2-propyl-1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)



RN 124626-65-9 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-[4-(phenylmethyl)-1-piperidinyl]propoxy]- (9CI)
(CA INDEX NAME)

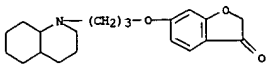


RN 124626-66-0 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(4-hydroxy-4-phenyl-1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)

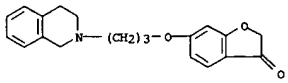


RN 124626-67-1 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(octahydro-1(2H)-quinolinyl)propoxy]- (9CI) (CA INDEX NAME)

L10 ANSWER 26 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

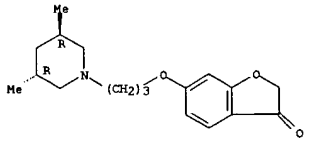


RN 124626-68-2 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(3,4-dihydro-2(1H)-isoquinolinyl)propoxy]-(9CI)
(CA INDEX NAME)

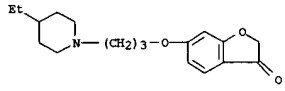


RN 124626-73-9 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(3,5-dimethyl-1-piperidinyl)propoxy]-, trans-
(9CI) (CA INDEX NAME)

Relative stereochemistry.



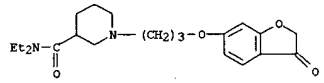
RN 124626-74-0 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(4-ethyl-1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)



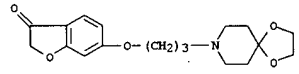
RN 124626-75-1 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-[4-(1-methylethyl)-1-piperidinyl]propoxy]-(9CI)

L10 ANSWER 26 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

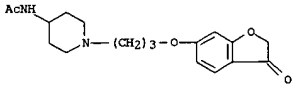
RN 124626-79-5 CAPLUS
CN 3-Piperidinecarboxamide, 1-[3-[(2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]-N,N-diethyl- (9CI) (CA INDEX NAME)



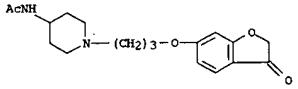
RN 124626-80-8 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(1,4-dioxa-8-azaspiro[4.5]dec-8-yl)propoxy]-(9CI) (CA INDEX NAME)



RN 124626-81-9 CAPLUS
CN Acetamide, N-[1-[3-[(2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

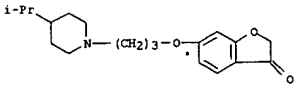


RN 124626-82-0 CAPLUS
CN Acetamide, N-[1-[3-[(2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]-4-piperidinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

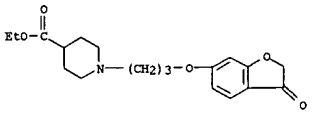


● HCl

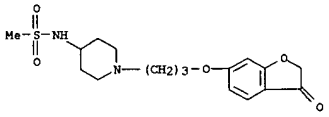
L10 ANSWER 26 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
(CA INDEX NAME)



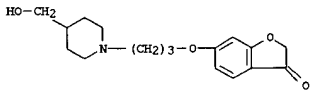
RN 124626-76-2 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[3-[(2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 124626-77-3 CAPLUS
CN Methanesulfonamide, N-[1-[3-[(2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

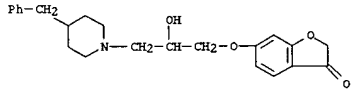


RN 124626-78-4 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-[4-(hydroxymethyl)-1-piperidinyl]propoxy]-(9CI)
(CA INDEX NAME)

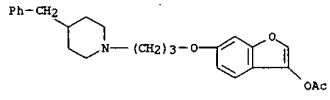


L10 ANSWER 26 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

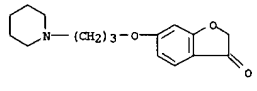
RN 124626-86-4 CAPLUS
CN 3(2H)-Benzofuranone, 6-[2-hydroxy-3-[4-(phenylmethyl)-1-piperidinyl]propoxy]- (9CI) (CA INDEX NAME)



RN 124626-92-2 CAPLUS
CN 3-Benzofuranol, 6-[3-[4-(phenylmethyl)-1-piperidinyl]propoxy]-, acetate
(ester) (9CI) (CA INDEX NAME)

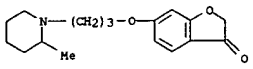


RN 124626-94-4 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(1-piperidinyl)propoxy]-, hydrochloride (9CI)
(CA INDEX NAME)



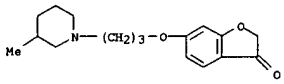
● HCl

RN 124626-96-6 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(2-methyl-1-piperidinyl)propoxy]-, hydrochloride
(9CI) (CA INDEX NAME)



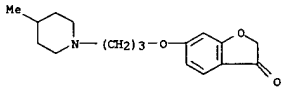
● HCl

RN 124626-97-7 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(3-methyl-1-piperidinyl)propoxy]-, hydrochloride (9CI) (CA INDEX NAME)



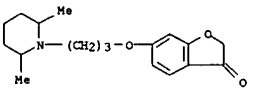
● HCl

RN 124626-98-8 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(4-methyl-1-piperidinyl)propoxy]-, hydrochloride (9CI) (CA INDEX NAME)



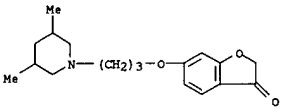
● HCl

RN 124626-99-9 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(2,6-dimethyl-1-piperidinyl)propoxy]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

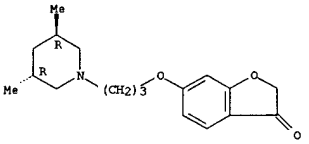
RN 124627-00-5 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(3,5-dimethyl-1-piperidinyl)propoxy]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 124627-01-6 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(3,5-dimethyl-1-piperidinyl)propoxy]-, hydrochloride, trans- (9CI) (CA INDEX NAME)

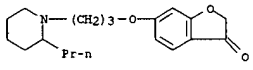
Relative stereochemistry.



● HCl

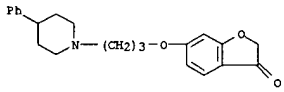
RN 124627-02-7 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(2-propyl-1-piperidinyl)propoxy]-, hydrochloride

(9CI) (CA INDEX NAME)



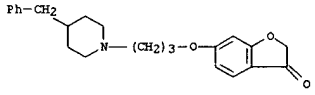
● HCl

RN 124627-03-8 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(4-phenyl-1-piperidinyl)propoxy]-, hydrochloride (9CI) (CA INDEX NAME)



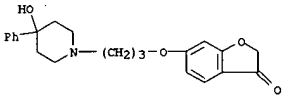
● HCl

RN 124627-04-9 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-[4-(phenylmethyl)-1-piperidinyl]propoxy]-, hydrochloride (9CI) (CA INDEX NAME)



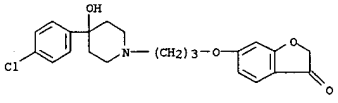
● HCl

RN 124627-05-0 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(4-hydroxy-4-phenyl-1-piperidinyl)propoxy]-, hydrochloride (9CI) (CA INDEX NAME)



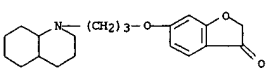
● HCl

RN 124627-06-1 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propoxy]-, hydrochloride (9CI) (CA INDEX NAME)



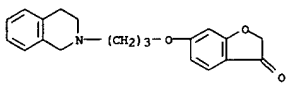
● HCl

RN 124627-07-2 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(octahydro-1(2H)-quinolinyl)propoxy]-, hydrochloride (9CI) (CA INDEX NAME)



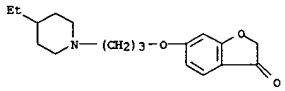
● HCl

RN 124627-08-3 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(3,4-dihydro-2(1H)-isoquinolinyl)propoxy]-, hydrochloride (9CI) (CA INDEX NAME)



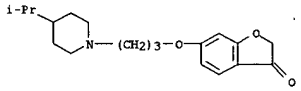
● HCl

RN 124627-13-0 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(4-ethyl-1-piperidinyl)propoxy]-, hydrochloride (9CI) (CA INDEX NAME)



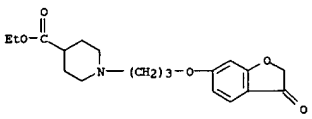
● HCl

RN 124627-14-1 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-[4-(1-methylethyl)-1-piperidinyl]propoxy]-, hydrochloride (9CI) (CA INDEX NAME)



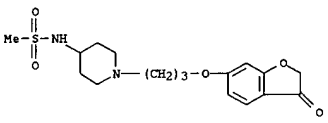
● HCl

RN 124627-15-2 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[3-[(2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)



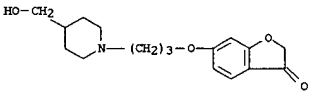
● HCl

RN 124627-16-3 CAPLUS
CN Methanesulfonamide, N-[1-[3-[(2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]-4-piperidinyl]-, monohydrochloride (9CI) (CA INDEX NAME)



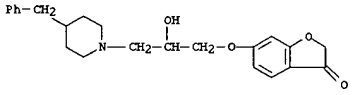
● HCl

RN 124627-17-4 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-[4-(hydroxymethyl)-1-piperidinyl]propoxy]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 124627-19-6 CAPLUS
CN 3(2H)-Benzofuranone, 6-[2-hydroxy-3-[4-(phenylmethyl)-1-piperidinyl]propoxy]-, hydrochloride (9CI) (CA INDEX NAME)

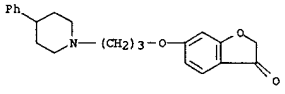


● HCl

RN 124627-26-5 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(4-phenyl-1-piperidinyl)propoxy]-, phosphate (9CI) (CA INDEX NAME)

CM 1

CRN 124627-25-4
CMF C22 H25 N O3



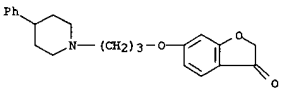
CM 2

CRN 7664-38-2
CMF H3 O4 P

RN 124627-27-6 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(4-phenyl-1-piperidinyl)propoxy]-, sulfate (9CI) (CA INDEX NAME)

CM 1

CRN 124627-25-4
CMF C22 H25 N O3



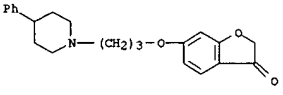
CM 2

CRN 7664-93-9
CMF H2 O4 S

RN 124627-31-2 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(4-phenyl-1-piperidinyl)propoxy]-, 4-methylbenzenesulfonate (9CI) (CA INDEX NAME)

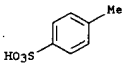
CM 1

CRN 124627-25-4
CMF C22 H25 N O3



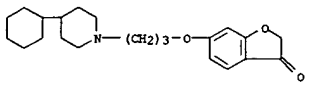
CM 2

CRN 104-15-4
CMF C7 H8 O3 S

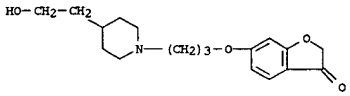


RN 124627-35-6 CAPLUS

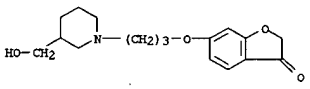
L10 ANSWER 26 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN 3(2H)-Benzofuranone, 6-[3-(4-cyclohexyl-1-piperidinyl)propoxy]-(9CI) (CA INDEX NAME)



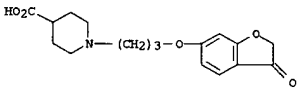
RN 124627-36-7 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-[4-(2-hydroxyethyl)-1-piperidinyl]propoxy]-(9CI) (CA INDEX NAME)



RN 124627-37-8 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-[3-(hydroxymethyl)-1-piperidinyl]propoxy]-(9CI)
(CA INDEX NAME)

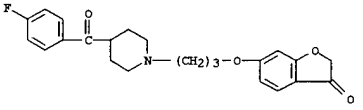


RN 124627-38-9 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[3-[(2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]- (9CI) (CA INDEX NAME)

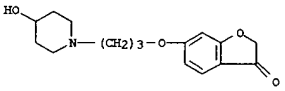


RN 124627-39-0 CAPLUS
CN 4-Piperidinecarboxamide, 1-[3-[(2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]- (9CI) (CA INDEX NAME)

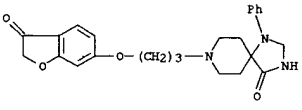
L10 ANSWER 26 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 124627-44-7 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(4-hydroxy-1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)

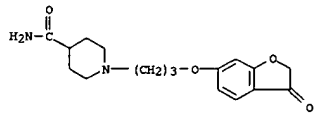


RN 124627-45-8 CAPLUS
CN 1,3,8-Triazaspiro[4.5]decan-4-one, 8-[3-[(2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]-1-phenyl- (9CI) (CA INDEX NAME)

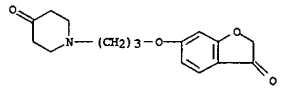


RN 124627-46-9 CAPLUS
CN 3(2H)-Benzofuranone,
6-[3-[4-(1,1-dimethylethyl)-1-piperidinyl]propoxy]-,
hydrochloride (9CI) (CA INDEX NAME)

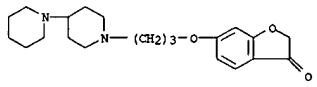
L10 ANSWER 26 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)



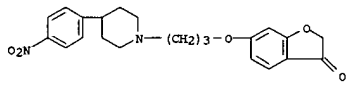
RN 124627-40-3 CAPLUS
CN 4-Piperidinone, 1-[3-[(2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]-(9CI)
(CA INDEX NAME)



RN 124627-41-4 CAPLUS
CN 3(2H)-Benzofuranone, 6-(3-[1,4'-bipiperidin]-1'-ylpropoxy)- (9CI) (CA INDEX NAME)

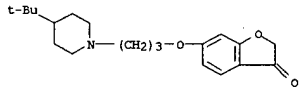


RN 124627-42-5 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-[4-(4-nitrophenyl)-1-piperidinyl]propoxy]-(9CI)
(CA INDEX NAME)



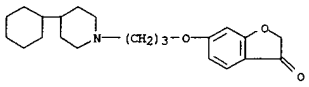
RN 124627-43-6 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-[4-(4-fluorobenzoyl)-1-piperidinyl]propoxy]-(9CI) (CA INDEX NAME)

L10 ANSWER 26 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)



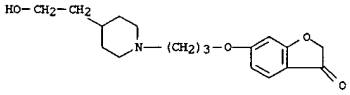
● HCl

RN 124627-47-0 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(4-cyclohexyl-1-piperidinyl)propoxy]-, hydrochloride (9CI) (CA INDEX NAME)



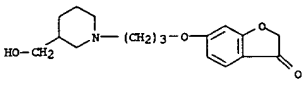
● HCl

RN 124627-48-1 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-[4-(2-hydroxyethyl)-1-piperidinyl]propoxy]-, hydrochloride (9CI) (CA INDEX NAME)



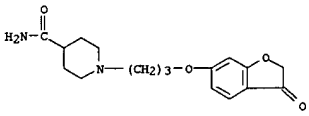
● HCl

RN 124627-49-2 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-[3-(hydroxymethyl)-1-piperidinyl]propoxy]-, hydrochloride (9CI) (CA INDEX NAME)



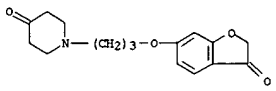
● HCl

RN 124627-50-5 CAPLUS
CN 4-Piperidinecarboxamide, 1-[3-[(2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



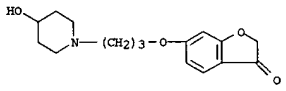
● HCl

RN 124627-51-6 CAPLUS
CN 4-Piperidinone, 1-[3-[(2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]-, hydrochloride (9CI) (CA INDEX NAME)



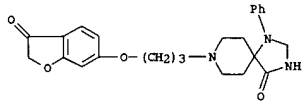
● HCl

RN 124627-52-7 CAPLUS
CN 3(2H)-Benzofuranone, 6-(3-[1,4'-bipiperidin]-1'-ylpropoxy)-, dihydrochloride (9CI) (CA INDEX NAME)



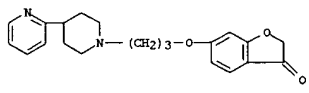
● HCl

RN 124627-56-1 CAPLUS
CN 1,3,8-Triazaspiro[4.5]decan-4-one, 8-[3-[(2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]-1-phenyl-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

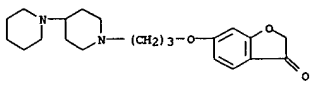
RN 124627-58-3 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-[4-(2-pyridinyl)-1-piperidinyl]propoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

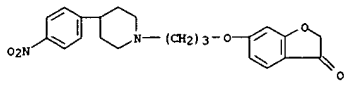
RN 124627-59-4 CAPLUS
CN 3-Piperidinecarboxamide, 1-[3-[(2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]-N,N-diethyl-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1



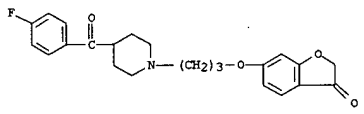
●2 HCl

RN 124627-53-8 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-[4-(4-nitrophenyl)-1-piperidinyl]propoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

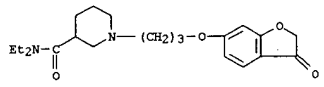
RN 124627-54-9 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-[4-(4-fluorobenzoyl)-1-piperidinyl]propoxy]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

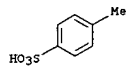
RN 124627-55-0 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(4-hydroxy-1-piperidinyl)propoxy]-, hydrochloride (9CI) (CA INDEX NAME)

CRN 124626-79-5
CMF C21 H30 N2 O4



CM 2

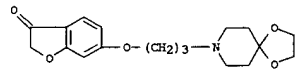
CRN 104-15-4
CMF C7 H8 O3 S



RN 124627-60-7 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(1,4-dioxa-8-azaspiro[4.5]dec-8-yl)propoxy]-, 4-methylbenzenesulfonate (9CI) (CA INDEX NAME)

CM 1

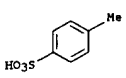
CRN 124626-80-8
CMF C18 H23 N O5



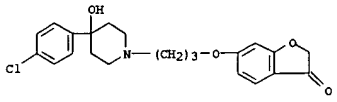
CM 2

CRN 104-15-4
CMF C7 H8 O3 S

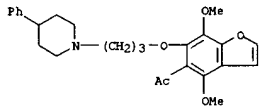
L10 ANSWER 26 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)



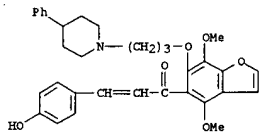
RN 124652-80-8 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propoxy]- (9CI) (CA INDEX NAME)



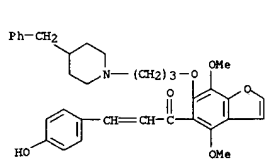
L10 ANSWER 27 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)



IT **121593-41-7P 121593-42-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as antihypertensive)
RN 121593-41-7 CAPLUS
CN 2-Propen-1-one, 1-[4,7-dimethoxy-6-[3-(4-phenyl-1-piperidinyl)propoxy]-5-benzofuranyl]-3-(4-hydroxyphenyl)- (9CI) (CA INDEX NAME)



RN 121593-42-8 CAPLUS
CN 2-Propen-1-one, 1-[4,7-dimethoxy-6-[3-[4-(phenylmethyl)-1-piperidinyl]propoxy]-5-benzofuranyl]-3-(4-hydroxyphenyl)- (9CI) (CA INDEX NAME)



L10 ANSWER 27 OF 54 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1989:457530 CAPLUS
DOCUMENT NUMBER: 111:57530
TITLE: Preparation of benzofurans as antihypertensives and cardiovascular agents
INVENTOR(S): Schlecker, Rainer; Raschack, Manfred; Gries, Josef
PATENT ASSIGNEE(S): BASF A.-G., Fed. Rep. Ger.
SOURCE: Eur. Pat. Appl., 16 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 303920	A1	19890222	EP 1988-112833	19880806
EP 303920	B1	19920318		
R: BE, CH, DE, FR, GB, IT, LI, NL, SE				
DE 3727736	A1	19890302	DE 1987-3727736	19870820
JP 01070480	A2	19890315	JP 1988-204903	19880819
US 5039701	A	19910813	US 1988-233745	19880819

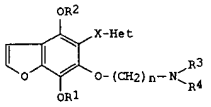
PRIORITY APPLN. INFO.: DE 1987-3727736 19870820
OTHER SOURCE(S): CASREACT 111:57530; MARPAT 111:57530
GI For diagram(s), see printed CA Issue.
AB The title compds. [I; R = H; R2 = bond; R2 = H, (phenyl)-C1-4 alkyl; R3, R31, R32 = H, OH, F, Cl, Br, C1-4 alkyl, HOCH2, C1-6 alkoxy, PhCH2O, NO2, amino; R3R31 = CH:CHNH; R4, R5 = H, (phenyl)-C1-4 alkyl; R4R5 = (un)substituted, (benzo-fused) 4- to 7-membered heterocyclyl; Z = COCH:CH, COCH2CH2, CH(OH)CH2CH2; n = 2, 3] were prepd. as vasodilators from khelinone (II) by O-alkylation and Claisen condensation reactions. II was etherified with Cl(CH2)3NMeCH2CH2C6H3(OMe)2-3,4 by refluxing 14 h in EtCOMe and condensed with 4-HOC6H4CHO in aq. ethanolic NaOH at room temp. to give I [R2 = bond, R1 = R2 = R4 = Me, R3 = 4-HO, R31 = R32 = H, R5 = 3,4-(MeO)2C6H3CH2CH2, Z = COCH:CH, n = 3], isolated as its oxalate salt (III). In spontaneously hypertensive rats, 17.2 mg III/kg orally reduced systolic blood pressure 20%.
IT **121593-66-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and Claisen condensation of, in prepn. of antihypertensive)
RN 121593-66-6 CAPLUS
CN Ethanone, 1-[4,7-dimethoxy-6-[3-(4-phenyl-1-piperidinyl)propoxy]-5-benzofuranyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 28 OF 54 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1989:231422 CAPLUS
DOCUMENT NUMBER: 110:231422
TITLE: Benzofuran derivatives and their preparation as ulcer inhibitors
INVENTOR(S): Schlecker, Rainer; Ruebsamen, Klaus
PATENT ASSIGNEE(S): BASF A.-G., Fed. Rep. Ger.
SOURCE: Eur. Pat. Appl., 14 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 284914	A1	19881005	EP 1988-104306	19880318
EP 284914	B1	19911016		
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE				
DE 3710469	A1	19881020	DE 1987-3710469	19870330
US 4845096	A	19890704	US 1988-170321	19880318
AT 68494	E	19911115	AT 1988-104306	19880318
ES 2041719	T3	19931201	ES 1988-104306	19880318
JP 63258473	A2	19881025	JP 1988-74866	19880330

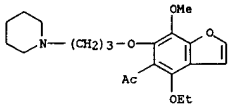
PRIORITY APPLN. INFO.: DE 1987-3710469 19870330
EP 1988-104306 19880318
OTHER SOURCE(S): CASREACT 110:231422; MARPAT 110:231422
GI



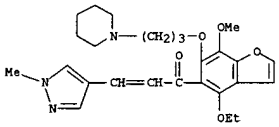
I

AB Benzofuran derivs. I [R1,R2 = H, alkyl, phenylalkyl; R3, R4 = H, alkyl; R3R4 = 3-5-member chain that optionally contains O, NR1; X = COCH:CH, COCH2CH2, CH(OH)CH2CH2; n = 2,3; Het = 5-6-membered heteroarom. ring contg. N, O, S, which optionally contains another N-atom and can be substituted by alkyl, F, Cl, Br, NH2, NR1R2) are prepd. and used as ulcer inhibitors (no data). A mixt. of 4-hydroxy-9-methoxy-7-methylfuro[3,2g]chromone (95 g) and 100 g C6H5CH2Br, 1000 mL MeCOEt, and 210 g K2CO3 was refluxed for 15 h to give 123 g 4-benzyloxy-9-methoxy-7-methylfuro[3,2g]chromone. Ring opening was accomplished by refluxing 113 g of the latter with 67 g KOH in 100 mL H2O and the product was neutralized with HCl to give 115 g 5-acetyl-4-benzyloxy-6-hydroxy-7-

L10 ANSWER 28 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
methoxybenzofuran. This (50 g) was refluxed with a mixt. of 35 g chloroethylpiperidine, 90 g K2CO3, and 400 mL MeCOEt for 5 h to give 69 g
5-acetyl-4-benzyloxy-7-methoxy-6-(2-N-piperidinoethoxy)benzofuran and 18.5
g of the latter was catalytically hydrogenated to give 16 g 5-acetyl-4-hydroxy-7-methoxy-6-(2-N-piperidinoethoxy)benzofuran. The latter was treated with 0.4 g NaH followed by 2.1 g EtI, and the product
was extd. with CH2Cl2 and HCl to give 2.0 g 5-acetyl-4-ethoxy-7-methoxy-6-
(2-N-piperidinoethoxy)benzofuran-HCl. In a reaction with a suitable aldehyde deriv., this product was converted to I (R1 = Me, R2 = Et, NR3R4
= piperidino, n = 2, X = COCH:CH2, Het = 1-methyl-3-pyrazolyl).
IT **119104-90-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. and reaction of, with aldehydes)
RN 119104-90-4 CAPLUS
CN Ethanone, 1-[4-ethoxy-7-methoxy-6-[3-(1-piperidinyl)propoxy]-5-benzofuranyl]- (9CI) (CA INDEX NAME)

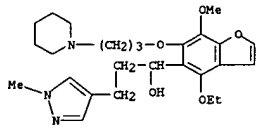


IT **119104-60-8P 119137-84-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as ulcer inhibitor)
RN 119104-60-8 CAPLUS
CN 2-Propen-1-one, 1-[4-ethoxy-7-methoxy-6-[3-(1-piperidinyl)propoxy]-5-benzofuranyl]-3-(1-methyl-1H-pyrazol-4-yl)- (9CI) (CA INDEX NAME)

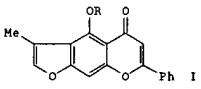


RN 119137-84-7 CAPLUS
CN 1H-Pyrazole-4-propanol, .alpha.-[4-ethoxy-7-methoxy-6-[3-(1-piperidinyl)propoxy]-5-benzofuranyl]-1-methyl- (9CI) (CA INDEX NAME)

L10 ANSWER 28 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

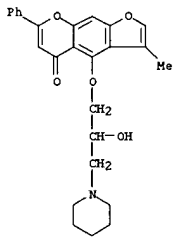


L10 ANSWER 29 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1989:212653 CAPLUS
DOCUMENT NUMBER: 110:212653
TITLE: Synthesis of 4-hydroxy-3-methyl-7-phenyl-5H-furo[3,2-g][1]benzopyran-5-one and its aminoalkanol derivatives
AUTHOR(S): Balicka, Eliza
CORPORATE SOURCE: Inst. Drug Sci., Sch. Med., Warsaw, 02007, Pol.
SOURCE: Acta Poloniae Pharmaceutica (1988), 45(2), 108-12
CODEN: APPHAX; ISSN: 0001-6837
DOCUMENT TYPE: Journal
LANGUAGE: Polish
OTHER SOURCE(S): CASREACT 110:212653
GI

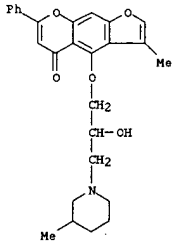


AB The title benzopyranone (I, R = H) was refluxed 18 h with 1-chloro-2,3-epoxypropane in the presence of K2CO3 to yield 60% I (R =
oxiranylmethyl). The latter was cleaved with amines to afford 20-41% I [R
= CH2CH(OH)2CH2R1, where R1 = piperidinyl, 3- and 4-methylpiperidino, morpholino, 1-pyrrolidinyl, 4-methyl-1-piperazinyl, Me3CNH, Et2N, Pr2N,
and EtMeCHNH].
IT **120641-98-7P 120641-99-8P 120642-00-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 120641-98-7 CAPLUS
CN 5H-Furo[3,2-g][1]benzopyran-5-one, 4-[2-hydroxy-3-(1-piperidinyl)propoxy]-3-methyl-7-phenyl- (9CI) (CA INDEX NAME)

L10 ANSWER 29 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

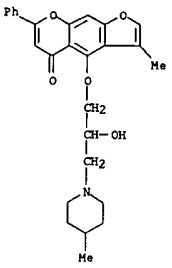


RN 120641-99-8 CAPLUS
CN 5H-Furo[3,2-g][1]benzopyran-5-one, 4-[2-hydroxy-3-(3-methyl-1-piperidinyl)propoxy]-3-methyl-7-phenyl- (9CI) (CA INDEX NAME)



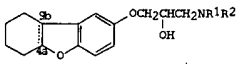
RN 120642-00-4 CAPLUS
CN 5H-Furo[3,2-g][1]benzopyran-5-one, 4-[2-hydroxy-3-(4-methyl-1-piperidinyl)propoxy]-3-methyl-7-phenyl- (9CI) (CA INDEX NAME)

L10 ANSWER 29 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)



L10 ANSWER 30 OF 54 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1989:165948 CAPLUS
DOCUMENT NUMBER: 110:165948
TITLE: Synthesis and pharmacological activity of 1-amino-3-(tetrahydro- and hexahydrodibenzofuran-8-yloxy)-2-propanols
AUTHOR(S): Borisova, L. N.; Glozman, O. M.; Ismailov, Sh. I.; Pidevich, I. N.; Demina, L. M.; Lezina, V. P.; Vinokurov, V. G.; Troitskaya, V. S.; Zagorevskii, V. A.
CORPORATE SOURCE: NII Farmakol., Moscow, USSR
SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1989), 23(1), 41-5
CODEN: KHFZAN; ISSN: 0023-1134
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 110:165948
GI



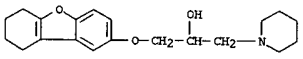
I, 4a,9b-unsatd.
II, 4a,9b-satd.

AB The title compds. I (R1 = H or alkyl, R2 = alkyl, or NR1R2 = cyclohexylamino, piperidino, morpholino, etc.) and II (R1 = H, R2 = iso-Pr, tert-Bu or NR1R2 = imidazol-1-yl) were prepd. by the reaction of 1,2,3,4-tetrahydro- or 1,2,3,4,4a,9b-hexahydrodibenzofuran-8-ols with epichlorohydrin followed by the cleavage of the epoxides formed with the corresponding amines. The compds. tested showed hypotensive, spasmolytic, broncholytic and .beta.-adrenergic blocking activities. I and II were stronger .beta.-adrenergic blockers than propranolol. The compds. did not have myorelaxant activity. The LD50 of the compds. are tabulated and structure-activity relations are discussed.

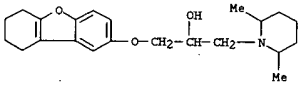
IT **107814-65-3P 107814-68-6P**
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(prepn. and pharmacol. of)

RN 107814-65-3 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[(6,7,8,9-tetrahydro-2-dibenzofuranyl)oxy]methyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 30 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

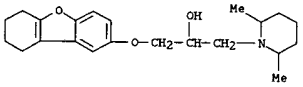


RN 107814-68-6 CAPLUS
CN 1-Piperidineethanol, 2,6-dimethyl-.alpha.-[[(6,7,8,9-tetrahydro-2-dibenzofuranyl)oxy]methyl]- (9CI) (CA INDEX NAME)



IT **119952-78-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

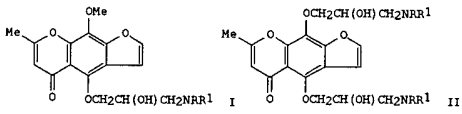
RN 119952-78-2 CAPLUS
CN 1-Piperidineethanol, 2,6-dimethyl-.alpha.-[[(6,7,8,9-tetrahydro-2-dibenzofuranyl)oxy]methyl]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

L10 ANSWER 31 OF 54 CAPLUS COPYRIGHT 2003 ACS

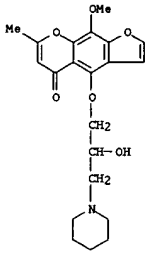
ACCESSION NUMBER: 1989:153966 CAPLUS
DOCUMENT NUMBER: 110:153966
TITLE: Synthesis of the aminoalkanol and diaminoalkanol derivatives of khellin
AUTHOR(S): Kossakowski, Jerzy; Zawadowski, Teodor
CORPORATE SOURCE: Inst. Drug Sci., Sch. Med., Warsaw, 02007, Pol.
SOURCE: Acta Poloniae Pharmaceutica (1987), 44(1), 27-31
CODEN: APPHAX; ISSN: 0001-6837
DOCUMENT TYPE: Journal
LANGUAGE: Polish
OTHER SOURCE(S): CASREACT 110:153966
GI



AB 4-Demethylkhellin treated with epichlorohydrin in presence of K2CO3 yielded 60% of the 4-(2,3-epoxypropoxy) deriv. Reaction of this compd. with amines gave the aminopropoxy derivs. I [R = R1 = Et; R = H, R1 = PhCHMe, 3,5-(MeO)2C6H3CH2CH2; RR1 = (CH2)4, (CH2)5, (CH2)2NMe(CH2)2, and (CH2)2O(CH2)2]. Similarly, 4,9-didemethylkhellin yielded 55% of the 4,9-bis(2,3-epoxypropoxy) analog which was converted into amines II [RR1 = (CH2)5 and (CH2)2NMe(CH2)2] in 30% yields.

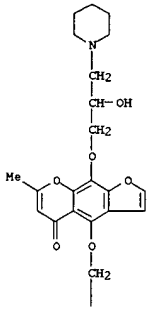
IT **115523-83-6P 115523-86-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 115523-83-6 CAPLUS
CN 5H-Furo[3,2-g][1]benzopyran-5-one, 4-[2-hydroxy-3-(1-piperidinyl)propoxy]-9-methoxy-7-methyl- (9CI) (CA INDEX NAME)

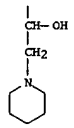


RN 115523-86-9 CAPLUS
CN 5H-Furo[3,2-g][1]benzopyran-5-one, 4,9-bis[2-hydroxy-3-(1-piperidinyl)propoxy]-7-methyl- (9CI) (CA INDEX NAME)

PAGE 1-A

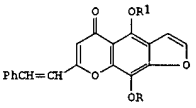


PAGE 2-A



L10 ANSWER 32 OF 54 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1989:23753 CAPLUS
DOCUMENT NUMBER: 110:23753
TITLE: Synthesis of aminoethyl and aminohydroxyalkyl derivatives of 7-styryl-5H-furo[3,2-g][1]benzopyran-5-one
AUTHOR(S): Kossakowski, Jerzy; Zawadowski, Teodor
CORPORATE SOURCE: Instr. Drug Sci., Sch. Med., Warsaw, 02007, Pol.
SOURCE: Acta Poloniae Pharmaceutica (1987), 44(2), 147-54
CODEN: APPHAX; ISSN: 0001-6837
DOCUMENT TYPE: Journal
LANGUAGE: Polish
OTHER SOURCE(S): CASREACT 110:23753
GI

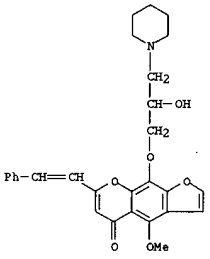


I

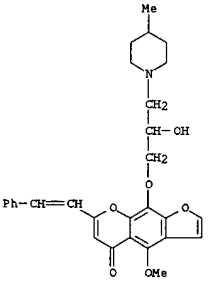
AB Alkylation of furobenzopyranone I (R = Me, R1 = H) with epichlorohydrin followed by regioselective epoxide opening with amines gave the corresponding I [R = Me, R1 = CH2CH(OH)CH2R2; R2 = NEt2, pyrrolidino, piperidino, 4-methylpiperidino, 4-methylpiperazino, 2,6-dimethylpiperidino, morpholino]. Oxidn. of I (R = R1 = Me) to the quinone with dil. HNO3 followed by redn. with NaHSO3 gave I (R = R1 = H) (II), which was regioselectively monoalkylated with R3CH2CH2Cl.cntdot.HCl (R3 = Et2N, pyrrolidino, piperidino, morpholino) to give 35-45% I (R = CH2CH2R3, R1 = H). II was diacetylated with Ac2O-AcONa and dialkylated with MeCHBrCO2Et to give I (R = R1 = Ac, CHMeCO2H), in 80 and 70% yields, resp. Alkylation of I (R = 2-morpholinoethyl, R1 = H) with ClCH2COMe, MeCHBrCO2Et, and EtCHBrCO2Et gave 56-80% I (same R, R1 = CH2COMe, CHMeCO, Et, CHEtCO2Et).

IT **118083-31-1P 118083-32-2P 118083-34-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 118083-31-1 CAPLUS
CN 5H-Furo[3,2-g][1]benzopyran-5-one, 9-[2-hydroxy-3-(1-piperidinyl)propoxy]-4-methoxy-7-(2-phenylethenyl)- (9CI) (CA INDEX NAME)

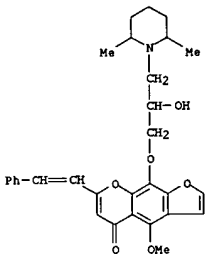


RN 118083-32-2 CAPLUS
CN 5H-Furo[3,2-g][1]benzopyran-5-one, 9-[2-hydroxy-3-(4-methyl-1-piperidinyl)propoxy]-4-methoxy-7-(2-phenylethenyl)- (9CI) (CA INDEX NAME)



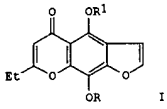
RN 118083-34-4 CAPLUS
CN 5H-Furo[3,2-g][1]benzopyran-5-one, 9-[3-(2,6-dimethyl-1-piperidinyl)-2-hydroxypropoxy]-4-methoxy-7-(2-phenylethenyl)- (9CI) (CA INDEX NAME)

L10 ANSWER 32 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)



L10 ANSWER 33 OF 54 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1988:549179 CAPLUS
DOCUMENT NUMBER: 109:149179
TITLE: Synthesis of aminoalkanol and aminoethyl derivatives of 4,9-dihydroxy-7-ethyl-5H-furo[3,2-g][1]benzopyran-5-one
AUTHOR(S): Kossakowski, Jerzy; Zawadowski, Teodor
CORPORATE SOURCE: Sch. Med., Inst. Drug Sci., Warsaw, 02007, Pol.
SOURCE: Polish Journal of Chemistry (1987), 61(1-3), 77-83
CODEN: PJCHDQ; ISSN: 0137-5083
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 109:149179
GI



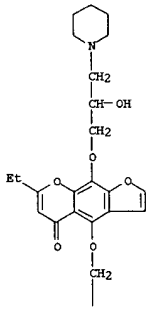
AB The title compds. I [R = CH2CH2R2, CH2CN(OH)CH2R3, R1 = H; R2 = pyrrolidino, piperidino, morpholino; R3 = NEt2, NHCMe3, morpholino; R = morpholinoethyl, R1 = CH2COMe; R = R1 = 2-hydroxy-3-piperidinopropyl] were prepd. from khellin.

IT **116777-01-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

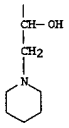
RN 116777-01-6 CAPLUS
CN 5H-Furo[3,2-g][1]benzopyran-5-one, 7-ethyl-4,9-bis[2-hydroxy-3-(1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)

L10 ANSWER 33 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A

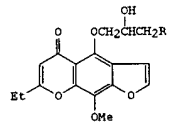


PAGE 2-A



L10 ANSWER 34 OF 54 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1988:422859 CAPLUS
DOCUMENT NUMBER: 109:22859
TITLE: Synthesis of 4-(3-amino-2-hydroxypropoxy)furobenzopyrans
AUTHOR(S): Kossakowski, Jerzy; Zawadowski, Teodor
CORPORATE SOURCE: Inst. Drug Sci., Sch. Med., Warsaw, 02-007, Pol.
SOURCE: Acta Poloniae Pharmaceutica (1986), 43(6), 539-42
CODEN: APPHAX; ISSN: 0001-6837
DOCUMENT TYPE: Journal
LANGUAGE: Polish
OTHER SOURCE(S): CASREACT 109:22859
GI

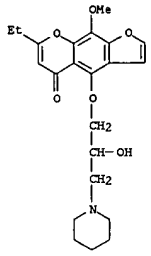


AB The title compds. I (R = Et2N, Me2CHNH, PhMeCHNH, pyrrolidinyl, piperidinyl, 4-morpholinyl, 4-methylpiperazinyl) were prepd. in 35-40% yields from the 4-(2,3-epoxypropoxy) analog (II) of I in the reaction with the corresponding amine in aq. MeOH. II was obtained in 60% by treatment of the corresponding 4-hydroxy deriv. with epichlorohydrin. In preliminary biol. tests, I (R = Et2N, PhMeCHNH) protected exptl. rats against BaCl2-induced arrhythmia and slowed down the heart rate.

IT **114970-86-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 114970-86-4 CAPLUS
CN 5H-Furo[3,2-g][1]benzopyran-5-one, 7-ethyl-4-[2-hydroxy-3-(1-piperidinyl)propoxy]-9-methoxy- (9CI) (CA INDEX NAME)

L10 ANSWER 34 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)



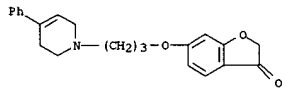
L10 ANSWER 35 OF 54 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1988:131859 CAPLUS
DOCUMENT NUMBER: 108:131859
TITLE: Preparation of [(heterocyclyloxy)alkyl]piperazines and -tetrahydropyridines as antipsychotics
INVENTOR(S): Caprathe, Bradley W.; Dewald, Horace A.; Jaen, Juan C.; Wise, Lawrence D.
PATENT ASSIGNEE(S): Warner-Lambert Co., USA
SOURCE: Eur. Pat. Appl., 14 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 237781	A2	19870923	EP 1987-101928	19870212
EP 237781	A3	19871216		
EP 237781	B1	19910424		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 4704390	A	19871103	US 1986-924627	19861105
JP 62252783	A2	19871104	JP 1987-28394	19870212
AT 62904	E	19910515	AT 1987-101928	19870212
ES 2028802	T3	19920716	ES 1987-101928	19870212
CA 1280750	A1	19910226	CA 1987-529650	19870213
US 4803203	A	19890207	US 1987-62752	19870616

PRIORITY APPLN. INFO.: US 1986-829036 19860213
US 1986-924627 19861105
EP 1987-101928 19870212
OTHER SOURCE(S): CASREACT 108:131859
GI For diagram(s), see printed CA Issue.
AB The title compds. [I; R = (un)substituted Ph, pyridinyl, pyrimidinyl, pyrazinyl, thienyl, furanyl, 2- or 5-thiazolyl; X = N or, when double bond indicated by dotted line is present, C; A = 5- or 6-membered N- and/or O-contg. heterocycle fused to the benzo ring; n = 2-5] and their pharmaceutically acceptable acid salts were prepd. as antipsychotic agents. 2,3-Dihydro-7-methoxy-2,2-dimethyl-4H-benzopyran-4-one was demethylated (60%) by refluxing in pyridine-HCl and the product was stirred with 1-phenylpiperazine 18 h at 80-90.degree. in DMF contg. NaHCO3 to give, after acidification, 64% (piperazinylpropoxy)benzopyranone II. In rats II inhibited locomotor activity with an ED50 of 5.9 mg/kg i.p., and displaced haloperidol from rat striatal membrane with an IC50 of 300 nM.
IT **113499-92-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

L10 ANSWER 35 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
(prepn. of, as antipsychotic)
RN 113499-92-6 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(3,6-dihydro-4-phenyl-1(2H)-pyridinyl)propoxy]- (9CI) (CA INDEX NAME)

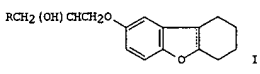


L10 ANSWER 36 OF 54 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1987:169032 CAPLUS
DOCUMENT NUMBER: 106:169032
TITLE: Derivatives of 1-amino-3-(1,2,3,4-tetrahydro- or 1,2,3,4,4a,9b-hexahydrodibenzofuranyl-8-oxy)-2-propanol with .beta.-adrenoblocking, hypotensive, spasmolytic, neurotropic-depressive, and broncholytic properties
INVENTOR(S): Val'dman, A. V.; Zagorevskii, V. A.; Kaverina, N. V.; Borisova, L. N.; Pidevich, I. N.; Ismailov, Sh. I.; Glozman, O. M.; Shmar'yan, M. I.; Klimova, N. V.; Shcherbakova, O. V.
PATENT ASSIGNEE(S): Scientific-Research Institute of Pharmacology, Academy of Medical Sciences, U.S.S.R., USSR
SOURCE: U.S.S.R. From: Otkrytiya, Izobret. 1986, (46), 297. CODEN: URXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Russian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
SU 869278	A1	19861215	SU 1980-2925649	19800321

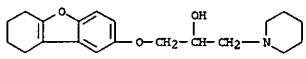
PRIORITY APPLN. INFO.: SU 1980-2925649 19800321
OTHER SOURCE(S): CASREACT 106:169032
GI



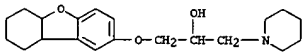
I

AB Title compds. I (R = Me2CHNH, Me3CNH, Et2N, Pr2N, piperidino, morpholino, 1-imidazolyl) have .beta.-adrenoblocking, hypotensive, spasmolytic, and neurotropic-depressive activities. I (R = BuNH, cyclohexylamino, 2,6-dimethylpiperidino) also have broncholytic activity.
IT **107814-65-3 107828-75-1**
RL: BIOL (Biological study)
(.beta.-adrenoblocking and hypotensive and spasmolytic and neurotropic-depressive agent)
RN 107814-65-3 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[(6,7,8,9-tetrahydro-2-dibenzofuranyl)oxy]methyl]- (9CI) (CA INDEX NAME)

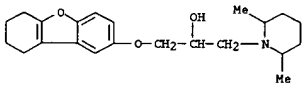
L10 ANSWER 36 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)



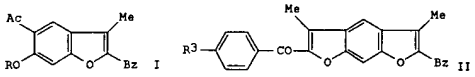
RN 107828-75-1 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[(5a,6,7,8,9,9a-hexahydro-2-dibenzofuranyl)oxy]methyl]- (9CI) (CA INDEX NAME)



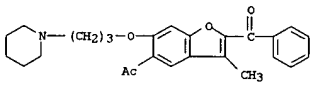
IT **107814-68-6**
RL: BIOL (Biological study)
(.beta.-adrenoblocking and hypotensive and spasmolytic and neurotropic-depressive and broncholytic agent)
RN 107814-68-6 CAPLUS
CN 1-Piperidineethanol, 2,6-dimethyl-.alpha.-[[(6,7,8,9-tetrahydro-2-dibenzofuranyl)oxy]methyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 37 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1986:626411 CAPLUS
DOCUMENT NUMBER: 105:226411
TITLE: Furano compounds: synthesis of aroylbenzofurans, benzo[1,2-b:5,4-b']difurans and their basic ethers
AUTHOR(S): Geetanjali, Y.; Rajitha, B.; Kanakalingeswara Rao, M.
CORPORATE SOURCE: Dep. Chem., Reg. Eng. Coll., Warangal, 506 004, India
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1985), 24B(11), 1129-32
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 105:226411
GI



AB Alkylaminoalkoxybenzofurans I (R = CH2CH2NR1R2, CHMeCH2NMe2, 3-piperidinopropyl; NR1R2 = NMe2, NEt2, pyrrolidino, piperidino, morpholino) have been synthesized by condensing I (R = H) with RCl.HCl in the presence of K2CO3 in dry Me2CO. I (R = H) was obtained by refluxing 1,3-Ac2C6H2(OH)2-4,6 with BrCH2Bz. Dibenzoylbenzo[1,2-b:5,4-b']difurans II (R3 = H, OMe, OH, OR) have also been synthesized. Condensation of BrCH2Bz with 5-acetyl-6-hydroxy-2,3-diphenylbenzofuran results in 6-benzoyl-5-methyl-2,3-diphenylbenzo[1,2-b:5,4-b']difuran.
IT **105492-64-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 105492-64-6 CAPLUS
CN Ethanone, 1-[2-benzoyl-3-methyl-6-[3-(1-piperidinyl)propoxy]-5-benzofuranyl]- (9CI) (CA INDEX NAME)

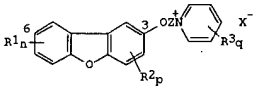


L10 ANSWER 37 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

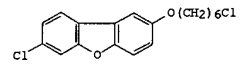
L10 ANSWER 38 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1986:148747 CAPLUS
DOCUMENT NUMBER: 104:148747
TITLE: Pyridinium salts and their fungicidal and bactericidal use
INVENTOR(S): Rentzea, Costin; Sauter, Hubert; Pommer, Ernst Heinrich; Ammermann, Eberhard
PATENT ASSIGNEE(S): BASF A.-G. , Fed. Rep. Ger.
SOURCE: Ger. Offen., 18 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3408879	A1	19850912	DE 1984-3408879	19840310
EP 155574	A1	19850925	EP 1985-102326	19850301
EP 155574	B1	19880824		

R: BE, CH, DE, FR, GB, LI, NL
PRIORITY APPLN. INFO.: DE 1984-3408879 19840310
OTHER SOURCE(S): CASREACT 104:148747
GI



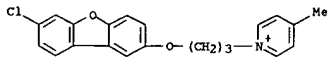
I



II

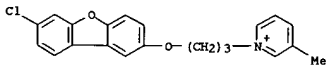
AB Pyridinium salts [R1, R2 = halo, halo (un)substituted C1-4 alkyl or alkoxy, cyano, NO2; R3 = halo, C.1toreq.6 aliph. group, cyano, NO2, CO2R4, CONR4R5, NR4R5 (R4, R5 = H, C1-6 alkyl); n, p, q = 0-3; X = anion of a non-phytotoxic acid HX; Z = C2-10 alkylene (un)substituted with .gtoreq.1 C1-3 alkyl], useful as algicides and agricultural bactericides and fungicides, were prepd. 7-Chloro-3-dibenzofuranol (98.4 g) in DMF was treated with K2CO3 and 286 g Cl(CH2)6Cl and the mixt. stirred 10 h at 100.degree. to give 85.2 g ether II. II (12 g) in DMF was stirred with 3-methylpyridine 6 h at 100.degree. to give 11.4 g I [R1n = 7-Cl, R2p = H, R3q = 3-Me, X = Cl, Z = (CH2)6] (III). At 0.05% III showed 97% fungicidal activity against Botrytis cinerea on sweet pepper vs. 70% for a known

L10 ANSWER 38 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
agent.
IT **101336-28-1P 101336-29-2P 101336-30-5P**
101336-31-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as bactericide, fungicide, and(or) algicide)
RN 101336-28-1 CAPLUS
CN Pyridinium, 1-[3-[(7-chloro-2-dibenzofuranyl)oxy]propyl]-4-methyl-, bromide (9CI) (CA INDEX NAME)



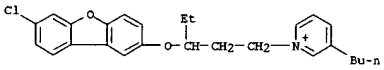
● Br⁻

RN 101336-29-2 CAPLUS
CN Pyridinium, 1-[3-[(7-chloro-2-dibenzofuranyl)oxy]propyl]-3-methyl-, bromide (9CI) (CA INDEX NAME)



● Br⁻

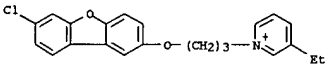
RN 101336-30-5 CAPLUS
CN Pyridinium, 3-butyl-1-[3-[(7-chloro-2-dibenzofuranyl)oxy]pentyl]-, bromide (9CI) (CA INDEX NAME)



● Br⁻

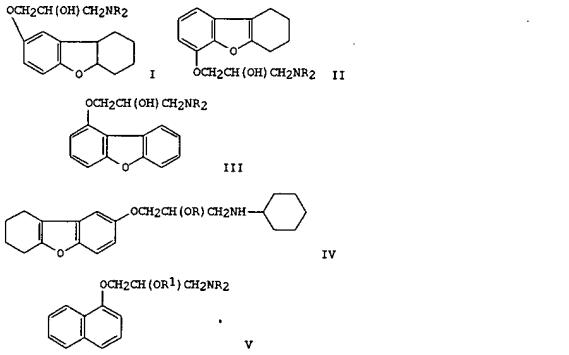
L10 ANSWER 38 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 101336-31-6 CAPLUS
CN Pyridinium, 1-[3-[(7-chloro-2-dibenzofuranyl)oxy]propyl]-3-ethyl-, bromide (9CI) (CA INDEX NAME)



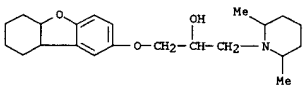
● Br⁻

L10 ANSWER 39 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1985:184929 CAPLUS
DOCUMENT NUMBER: 102:184929
TITLE: Synthesis and .beta.-adrenoblocking activity of 1-dibenzofuranyloxy-3-aminopropan-2-ols
AUTHOR(S): Glozman, O. M.; Ismailov, Sh. I.; Borisova, L. N.; Zhmurenko, L. A.; Orlova, E. K.; Zagorevskii, V. A.
CORPORATE SOURCE: NII Farmakol., Moscow, USSR
SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1984), 18(10), 1193-8
CODEN: KHFZAN; ISSN: 0023-1134
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 102:184929
GI



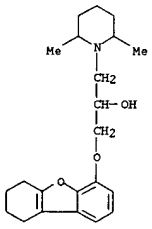
AB Dibenzofuran aminopropanol derivs. I [R2N = 2,6-dimethylpiperidyl (Q), cyclohexylamino (Q1)], II (R2N = Q, Q1, Me2CHNH, Me3CNH), III (R2N = Q, Q4, Me2CHNH), and IV (R = pivaloyl, Bz, 1-adamantoyl) as well as naphthalene analogs I (R2N = Q, Me2CHNH; R1 = Ac, 1-adamantoyl, pivaloyl, H) were prepd. (isolated as salts). Thus, treating 1,2,3,4,4a,9b-hexahydrodibenzofuran-8-ol in aq. NnOH with epichlorohydrin (3 h at

L10 ANSWER 39 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
35-40.degree.) and amination of the product in alc. gave 26-31% I. .beta.-Adrenoblocking activities are tabulated for I-V; substituents Q and Q1 reduce the activity.
IT **94787-02-7P 94787-03-8P 94787-04-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and .beta.-adrenoblocking activity of)
RN 94787-02-7 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[(5a,6,7,8,9,9a-hexahydro-2-dibenzofuranyl)oxy]methyl]-2,6-dimethyl-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

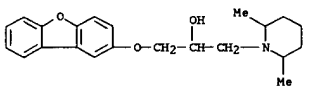
RN 94787-03-8 CAPLUS
CN 1-Piperidineethanol, 2,6-dimethyl-.alpha.-[[(6,7,8,9-tetrahydro-4-dibenzofuranyl)oxy]methyl]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 94787-04-9 CAPLUS
CN 1-Piperidineethanol, .alpha.-[(1-dibenzofuranyloxy)methyl]-2,6-dimethyl-,

L10 ANSWER 39 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
hydrochloride (9CI) (CA INDEX NAME)

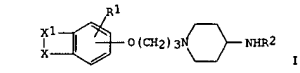


● HCl

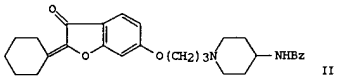
L10 ANSWER 40 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1984:22579 CAPLUS
DOCUMENT NUMBER: 100:22579
TITLE: Bicyclic phenol ethers and compositions containing them
INVENTOR(S): Friebe, Walter Gunar; Kampe, Wolfgang; Roesch, Androniki; Schaumann, Wolfgang
PATENT ASSIGNEE(S): Boehringer Mannheim G.m.b.H. , Fed. Rep. Ger.
SOURCE: Ger. Offen., 26 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3209271	A1	19830915	DE 1982-3209271	19820313
US 4486442	A	19841204	US 1983-469856	19830225
CA 1243320	A1	19881018	CA 1983-422393	19830225
EP 88986	A2	19830921	EP 1983-102247	19830308
EP 88986	A3	19831109		
EP 88986	B1	19870225		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 58167587	A2	19831003	JP 1983-36766	19830308
AT 25523	E	19870315	AT 1983-102247	19830308
ES 520486	A1	19831216	ES 1983-520486	19830310

PRIORITY APPLN. INFO.: DE 1982-3209271 19820313
EP 1983-102247 19830308
OTHER SOURCE(S): CASREACT 100:22579
GI



I

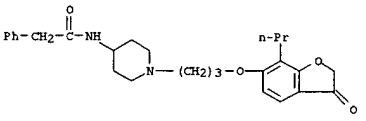


II

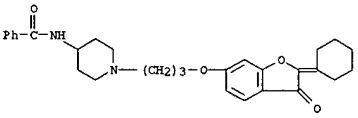
AB Bicyclic phenol ethers I [R1 = H, alkyl; R2 = H, acyl; X = O, S, NH; X1 = COCHR3 [R3 = H, aryl (un)substituted alkyl, C3-7 cycloalkyl], COC:CR4R5 [R4, R5 = H, alkyl, aryl (un)substituted C2-16 alkenyl, acyl; CR4R5 = C3-7 cycloalkyl]] and their salts, useful in treating allergies and as inhibitors of anaphylaxis (no data), were prepd. by 5 methods. Condensing

L10 ANSWER 40 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
6-hydroxy-3-coumaranone with cyclohexanone in EtOH contg. KOH in 36 h at room temp. gave 40% 2-cyclohexylidene-6-hydroxy-3-coumaranone which was O-alkylated with NaOCHMe2 and 3-(4-benzamidopiperidino)propyl chloride in 6 h in refluxing HOCHMe2 to give 75% coumaranyl ether II.

IT **88281-10-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and condensation of, with acetone)
RN 88281-10-1 CAPLUS
CN Benzeneacetamide, N-[1-[3-[(2,3-dihydro-3-oxo-7-propyl-6-benzofuranyl)oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

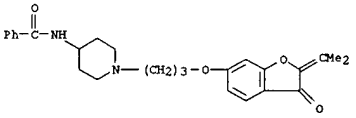


IT **88280-88-0P 88280-96-0P 88281-06-5P 88281-07-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and hydrogenation of)
RN 88280-88-0 CAPLUS
CN Benzamide, N-[1-[3-[(2-cyclohexylidene-2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

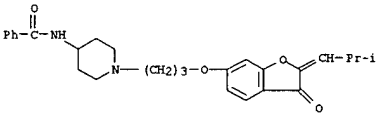


RN 88280-96-0 CAPLUS
CN Benzamide, N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-6-benzofuranyl]oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

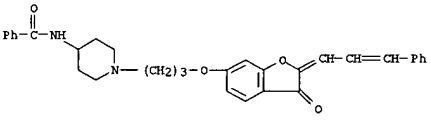
L10 ANSWER 40 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)



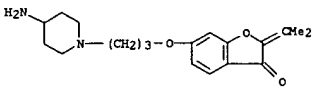
RN 88281-06-5 CAPLUS
CN Benzamide, N-[1-[3-[[2,3-dihydro-2-(2-methylpropylidene)-3-oxo-6-benzofuranyl]oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 88281-07-6 CAPLUS
CN Benzamide, N-[1-[3-[[2,3-dihydro-3-oxo-2-(3-phenyl-2-propenylidene)-6-benzofuranyl]oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

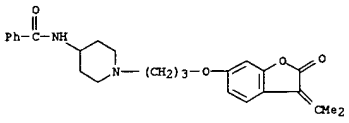


IT **88281-29-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and N-alkylation of, by cyclopropanecarbonyl chloride)
RN 88281-29-2 CAPLUS
CN 3(2H)-Benzofuranone, 6-[3-(4-amino-1-piperidinyl)propoxy]-2-(1-methylethylidene)- (9CI) (CA INDEX NAME)

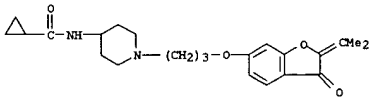


IT **88280-94-8P 88280-95-9P 88280-97-1P**
88280-98-2P 88280-99-3P 88281-00-9P
88281-01-0P 88281-02-1P 88281-03-2P
88281-04-3P 88281-05-4P 88281-08-7P
88281-09-8P 88281-26-9P 88281-28-1P
88281-30-5P 88281-31-6P 88281-32-7P
88281-33-8P 88289-56-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

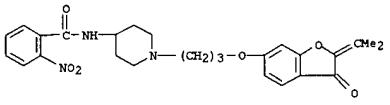
RN 88280-94-8 CAPLUS
CN Benzamide, N-[1-[3-[[2,3-dihydro-3-(1-methylethylidene)-2-oxo-6-benzofuranyl]oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



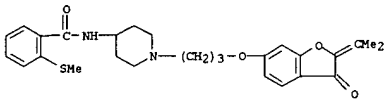
RN 88280-95-9 CAPLUS
CN Cyclopropanecarboxamide, N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-6-benzofuranyl]oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



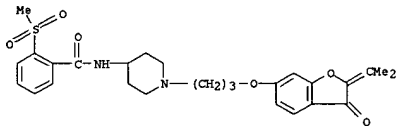
RN 88280-97-1 CAPLUS
CN Benzamide, N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]propyl]-4-piperidinyl]-4-fluoro- (9CI) (CA INDEX NAME)



RN 88281-00-9 CAPLUS
CN Benzamide, N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-6-benzofuranyl]oxy]propyl]-4-piperidinyl]-2-(methylthio)- (9CI) (CA INDEX NAME)

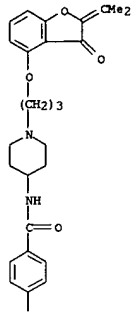


RN 88281-01-0 CAPLUS
CN Benzamide, N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-6-benzofuranyl]oxy]propyl]-4-piperidinyl]-2-(methylsulfonyl)- (9CI) (CA INDEX NAME)



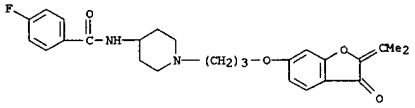
RN 88281-02-1 CAPLUS
CN Benzeneacetamide, N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-6-benzofuranyl]oxy]propyl]-4-piperidinyl]-2-nitro- (9CI) (CA INDEX NAME)

PAGE 1-A

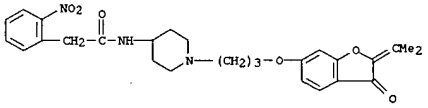


PAGE 2-A

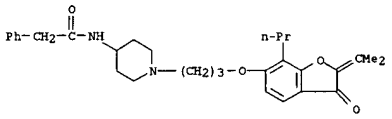
RN 88280-98-2 CAPLUS
CN Benzamide, N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-6-benzofuranyl]oxy]propyl]-4-piperidinyl]-4-fluoro- (9CI) (CA INDEX NAME)



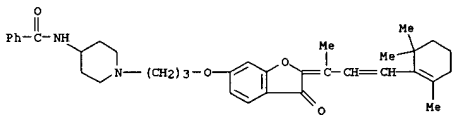
RN 88280-99-3 CAPLUS
CN Benzamide, N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-6-benzofuranyl]oxy]propyl]-4-piperidinyl]-2-nitro- (9CI) (CA INDEX NAME)



RN 88281-03-2 CAPLUS
CN Benzeneacetamide, N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-7-propyl-6-benzofuranyl]oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



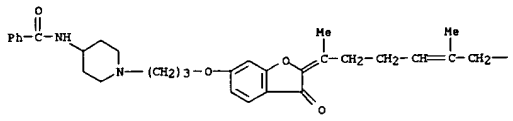
RN 88281-04-3 CAPLUS
CN Benzamide, N-[1-[3-[[2,3-dihydro-2-[1-methyl-3-(2,6,6-trimethyl-1-cyclohexen-1-yl)-2-propenylidene]-3-oxo-6-benzofuranyl]oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



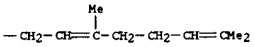
RN 88281-05-4 CAPLUS
CN Benzamide, N-[1-[3-[[2,3-dihydro-3-oxo-2-(1,5,9,13-tetramethyl-4,8,12-tetradecatrienylidene)-6-benzofuranyl]oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 40 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

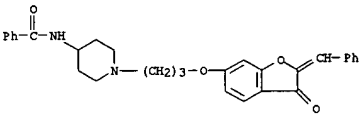
PAGE 1-A



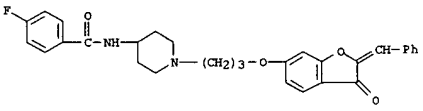
PAGE 1-B



RN 88281-08-7 CAPLUS
CN Benzamide, N-[1-[3-[[2,3-dihydro-3-oxo-2-(phenylmethylene)-6-benzofuranyl]oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

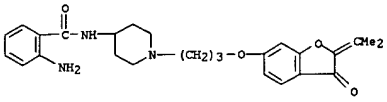


RN 88281-09-8 CAPLUS
CN Benzamide, N-[1-[3-[[2,3-dihydro-3-oxo-2-(phenylmethylene)-6-benzofuranyl]oxy]propyl]-4-piperidinyl]-4-fluoro- (9CI) (CA INDEX NAME)

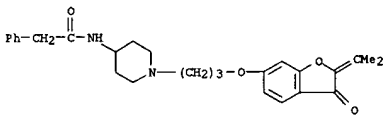


RN 88281-26-9 CAPLUS
CN Benzamide,
2-amino-N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-6-

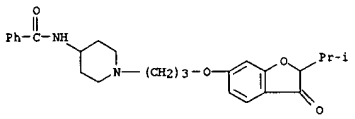
L10 ANSWER 40 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
benzofuranyl]oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 88281-28-1 CAPLUS
CN Benzeneacetamide,
N-[1-[3-[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-6-benzofuranyl]oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

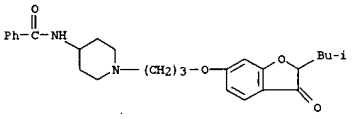


RN 88281-30-5 CAPLUS
CN Benzamide, N-[1-[3-[[2,3-dihydro-2-(1-methylethyl)-3-oxo-6-benzofuranyl]oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

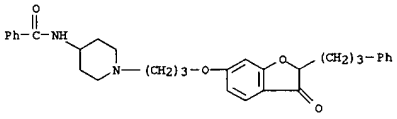


RN 88281-31-6 CAPLUS
CN Benzamide, N-[1-[3-[[2,3-dihydro-2-(2-methylpropyl)-3-oxo-6-benzofuranyl]oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

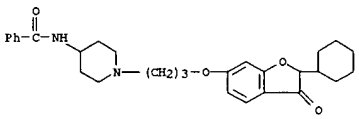
L10 ANSWER 40 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 88281-32-7 CAPLUS
CN Benzamide, N-[1-[3-[[2,3-dihydro-3-oxo-2-(3-phenylpropyl)-6-benzofuranyl]oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 88281-33-8 CAPLUS
CN Benzamide, N-[1-[3-[(2-cyclohexyl-2,3-dihydro-3-oxo-6-benzofuranyl)oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

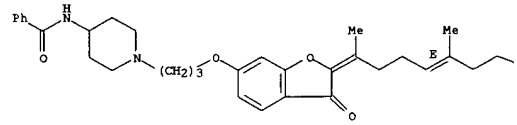


RN 88289-56-9 CAPLUS
CN Benzamide, N-[1-[3-[[2,3-dihydro-3-oxo-2-(1,5,9-trimethyl-4,8-decadienylidene)-6-benzofuranyl]oxy]propyl]-4-piperidinyl]-, (?,E)-(9CI)
(CA INDEX NAME)

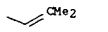
Double bond geometry as described by E or Z.

L10 ANSWER 40 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

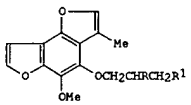
PAGE 1-A



PAGE 1-B



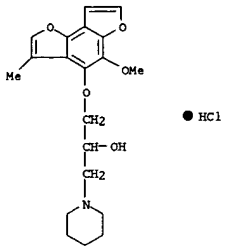
L10 ANSWER 41 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1983:198073 CAPLUS
DOCUMENT NUMBER: 98:198073
TITLE: Synthesis of the aminoalkanol derivatives of 4-hydroxy-5-methoxy-3-methylfuro[2,3-g]benzofuran
AUTHOR(S): Zawadowski, Teodor; Mazur, Andrzej; Uliasz, Adolf
CORPORATE SOURCE: Inst. Drug Sci., Sch. Med., Warsaw, 02-007, Pol.
SOURCE: Acta Poloniae Pharmaceutica (1982), 39(1-3), 109-12
CODEN: APPHAX; ISSN: 0001-6837
DOCUMENT TYPE: Journal
LANGUAGE: Polish
OTHER SOURCE(S): CASREACT 98:198073
GI



I

AB Six title derivs. I.HCl (R = OH, R1 = NHCHMe2, NEt2, pyrrolidine, 4-methylpiperazino, morpholino, piperidino) were synthesized as potential antiarrhythmic agents from I (RR1 = O) and an amine in MeOH at room temp; I (RR1 = O) was obtained by etherification of the corresponding alc. with epichlorohydrin in the presence of K2CO3.
IT **85727-12-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 85727-12-4 CAPLUS
CN 1-Piperidineethanol, .alpha.-[[(5-methoxy-3-methylbenzo[1,2-b:3,4-b']difuran-4-yl)oxy]methyl]-, hydrochloride (9CI) (CA INDEX NAME)

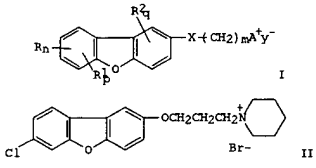
L10 ANSWER 41 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)



L10 ANSWER 42 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1982:615981 CAPLUS
DOCUMENT NUMBER: 97:215981
TITLE: Dibenzofuran derivatives and their use as fungicides
INVENTOR(S): Rentzea, Costin; Feuerherd, Karl Heinz; Zeeh, Bernd; Sauter, Hubert; Pommer, Ernst Heinrich
PATENT ASSIGNEE(S): BASF A.-G. , Fed. Rep. Ger.
SOURCE: Eur. Pat. Appl., 41 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 57362	A1	19820811	EP 1982-100301	19820118
EP 57362	B1	19840620		
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
DE 3103069	A1	19820826	DE 1981-3103069	19810130
AT 8046	E	19840715	AT 1982-100301	19820118
US 4376776	A	19830315	US 1982-342931	19820126
DK 8200401	A	19820731	DK 1982-401	19820129
JP 57144278	A2	19820906	JP 1982-11935	19820129
JP 03003673	B4	19910121		
PRIORITY APPLN. INFO.:			DE 1981-3103069	19810130
			EP 1982-100301	19820118

OTHER SOURCE(S): CASREACT 97:215981
GI

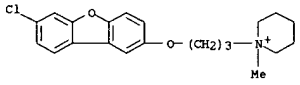


I

II

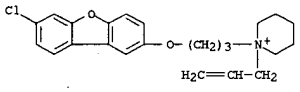
AB I [R, R1, R2 = halo, C1-4 (halo)alkyl or -alkoxy, cyano, NO2; n, p, q = 0-3; X = O or S; m = 2, 4; A+ = quaternary N-contg. group, e.g., quinuclidinium, pyrrolizidinium, trialkylammonium, Y- = anion] were prepd. and were better fungicides than tetramethylthiuram disulfide. Thus, 7-chloro-3-dibenzofuranol was etherified with BrCH2CH2CH2Br, then treated with N-methylpiperidine to give II.
IT **83716-41-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and fungicidal activity of)
RN 83716-41-0 CAPLUS
CN Piperidinium, 1-[3-[(7-chloro-2-dibenzofuranyl)oxy]propyl]-1-methyl-, bromide (9CI) (CA INDEX NAME)

L10 ANSWER 42 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)



● Br-

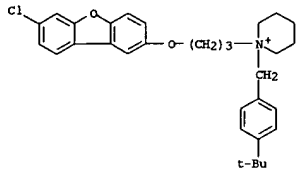
IT **83716-50-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 83716-50-1 CAPLUS
CN Piperidinium, 1-[3-[(7-chloro-2-dibenzofuranyl)oxy]propyl]-1-(2-propenyl)-, bromide (9CI) (CA INDEX NAME)



● Br-

IT **83723-81-3P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as herbicide)
RN 83723-81-3 CAPLUS
CN Piperidinium, 1-[3-[(7-chloro-2-dibenzofuranyl)oxy]propyl]-1-[[4-(1,1-dimethylethyl)phenyl]methyl]-, chloride (9CI) (CA INDEX NAME)

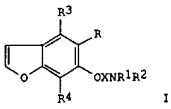
L10 ANSWER 42 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)



● Cl⁻

L10 ANSWER 43 OF 54 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1981:57953 CAPLUS
DOCUMENT NUMBER: 94:57953
TITLE: Synthesis and antiarrhythmic activity of new benzofuran derivatives
AUTHOR(S): Bourgery, Guy; Dostert, Philippe; Lacour, Alain; Langlois, Michel; Pourrias, Bernard; Tisne-Versailles, Jacky
CORPORATE SOURCE: Cent. Rech. Delalande, Rueil-Malmaison, 92500, Fr.
SOURCE: Journal of Medicinal Chemistry (1981), 24(2), 159-67
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

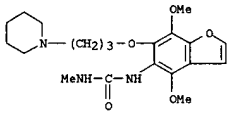


I

AB The title compds. I [R = NH2, NHAc, NHCONHMe, etc.; NR1R2 = NHMe, NEt2, piperidino, pyrrolidino, etc.; R3 and R4 = H, OMe, OEt, etc.; X = CH2CH2, (CH2)3, CH2CHMe, etc.] were prepd. and evaluated i.v. in dogs for antiarrhythmic activity against ouabain-induced ventricular arrhythmia and in the Harris test. N-[4,7-Dimethoxy-6-(2-pyrrolidinoethoxy)-6-benzofuranyl]-N'-methylurea [66203-00-7] and N-[4,7-dimethoxy-6-(2-piperidinoethoxy)-5-benzofuranyl]-N'-methylurea [66203-94-9] were the most effective. LD50 values are also given. Structure-activity relations are discussed.

IT **66203-03-0P 66203-15-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and antiarrhythmic activity of)
RN 66203-03-0 CAPLUS
CN Urea, N-[4,7-dimethoxy-6-[3-(1-piperidinyl)propoxy]-5-benzofuranyl]-N'-methyl- (9CI) (CA INDEX NAME)

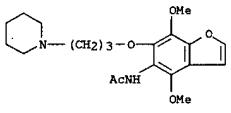
L10 ANSWER 43 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 66203-15-4 CAPLUS
CN Acetamide, N-[4,7-dimethoxy-6-[3-(1-piperidinyl)propoxy]-5-benzofuranyl]-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 66203-14-3
CMF C20 H28 N2 O5

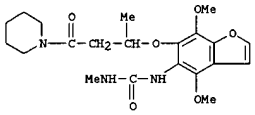


CM 2

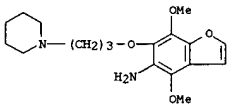
CRN 75-75-2
CMF C H4 O3 S

IT **75884-08-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and redn. of)
RN 75884-08-1 CAPLUS
CN Piperidine, 1-[3-[[4,7-dimethoxy-5-[[(methylamino)carbonyl]amino]-6-benzofuranyl]oxy]-1-oxobutyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 43 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)



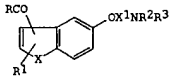
IT **75883-98-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 75883-98-6 CAPLUS
CN 5-Benzofuranamine, 4,7-dimethoxy-6-[3-(1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)



L10 ANSWER 44 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1980:586160 CAPLUS
DOCUMENT NUMBER: 93:186160
TITLE: 5-Aminoalkoxybenzofuran and indole derivatives
INVENTOR(S): Imbert, T.; Lacour, A.; Turin, M.
PATENT ASSIGNEE(S): Delalande S. A., Fr.
SOURCE: Fr. Demande, 34 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2431491	A1	19800215	FR 1978-21290	19780718

PRIORITY APPLN. INFO.: FR 1978-21290 19780718
GI



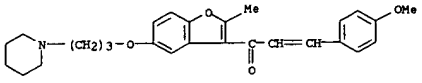
I

AB Antiarrhythmic and diuretic benzofurans and indoles I [R = R4C6H4CH:CH (R4 = H, 4-Cl, 4-HO, 4-Me, 2-, 3-, 4-MeO), R1 = H, 2-Me; NR2R3 = Me2N, Et2N, guanidino, imidazolinyl, pyrrolidino, piperidino, morpholino, hexamethylenimino, 4-methylpiperazino, X = O, NMe; X1 = (CH2)2, (CH2)3] (76 compds.) were prepd. Thus, refluxing 3-acetyl-2-methyl-5-piperidinoethoxybenzofuran and 4-ClC6H4CHO in EtOH contg 6 N HCl for 5 h gave 53% 1-[5-(2-methylpiperidinoethoxy)-3-benzofuranyl]-3-p-chlorophenyl-2-propenone; also prepd. as its maleate (1:1).

IT **75274-47-4P 75274-50-9P 75275-10-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

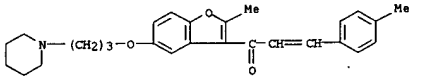
RN 75274-47-4 CAPLUS
CN 2-Propen-1-one, 3-(4-methoxyphenyl)-1-[2-methyl-5-[3-(1-piperidinyl)propoxy]-3-benzofuranyl]-, hydrochloride (9CI) (CA INDEX NAME)

L10 ANSWER 44 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)



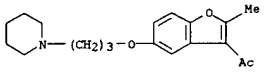
● HCl

RN 75274-50-9 CAPLUS
CN 2-Propen-1-one, 3-(4-methylphenyl)-1-[2-methyl-5-[3-(1-piperidinyl)propoxy]-3-benzofuranyl]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 75275-10-4 CAPLUS
CN Ethanone, 1-[2-methyl-5-[3-(1-piperidinyl)propoxy]-3-benzofuranyl]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

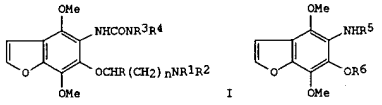
L10 ANSWER 45 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1978:152404 CAPLUS
DOCUMENT NUMBER: 88:152404
TITLE: Aminoalkoxybenzofurans
INVENTOR(S): Bourgery, Guy; Lacour, Alain; Pourrias, Bernard; Bregeon, Genevieve Christine
PATENT ASSIGNEE(S): Delalande S. A., Fr.
SOURCE: Ger. Offen., 44 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2730593	A1	19780119	DE 1977-2730593	19770706
FR 2358143	A1	19780210	FR 1976-21287	19760712
FR 2358143	B1	19781222		
FR 2396008	A2	19790126	FR 1977-19658	19770627
FR 2396008	B2	19800404		
BE 856296	A1	19771230	BE 1977-178933	19770630
CH 625234	A	19810915	CH 1977-8143	19770701
GB 1545725	A	19790516	GB 1977-28132	19770705
ZA 7704067	A	19780530	ZA 1977-4067	19770706
US 4113951	A	19780912	US 1977-813357	19770706
JP 54012365	A2	19790130	JP 1977-81902	19770708
JP 60028831	B4	19850706		
ES 460600	A1	19781201	ES 1977-460600	19770709
SE 7708041	A	19780113	SE 1977-8041	19770711
SE 441268	B	19850923		
SE 441268	C	19860109		
NL 7707713	A	19780116	NL 1977-7713	19770711
AU 7726954	A1	19790118	AU 1977-26954	19770712
AU 516331	B2	19810528		
SU 655312	D	19790330	SU 1977-2501204	19770712
CA 1100958	A1	19810512	CA 1977-282550	19770712
US 4153620	A	19790508	US 1978-914872	19780612
ES 470784	A1	19790116	ES 1978-470784	19780614
ES 470783	A1	19790901	ES 1978-470783	19780614
SU 747425	D	19800723	SU 1978-2700463	19781225
SU 778710	D	19801107	SU 1978-2700462	19781225
CH 627175	A	19811231	CH 1980-8517	19801117
CH 630621	A	19820630	CH 1980-8516	19801117
SE 8300445	A	19830128	SE 1983-445	19830128
SE 8300446	A	19830128	SE 1983-446	19830128
JP 58213770	A2	19831212	JP 1983-58809	19830405
JP 61006070	B4	19860224		

PRIORITY APPLN. INFO.:
FR 1976-21287 19760712
FR 1977-19658 19770627
CH 1977-8143 19770701
US 1977-813357 19770706

GI

L10 ANSWER 45 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

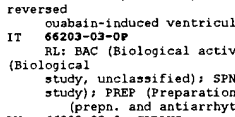


I II

AB Aminoalkoxybenzofurans I (n = 1,2; R = H, Me; NR1R2 = C1-3 mono- or dialkylamino, C5-6 cycloalkylamino, pyrrolidino, piperidino, hexamethyleneimino, heptamethyleneimino, 4-methylpiperidino, 4-methylpiperazino, 1,2,5,6-tetrahydropyridino; NR3R4 = NHMe, NHEt, 4-methylpiperazino, NMe2) were prepd. Thus, II (R5 = R6 = H) was treated with MeNCO, II (R5 = CONHMe, R6 = H) treated with ClCH2CH2Br, and II (R5 = CONHMe, R6 = CH2CH2Cl) treated with Me2CHNH2 to give I (R = R1 = R3 = H, R2 = CHMe2, R4 = Me, n = 1, III). At 4 mg/kg i.v. in dogs III reversed ouabain-induced ventricular tachycardia.

IT **66203-03-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antiarrhythmic activity of)

RN 66203-03-0 CAPLUS
CN Urea, N-[4,7-dimethoxy-6-[3-(1-piperidinyl)propoxy]-5-benzofuranyl]-N'-methyl- (9CI) (CA INDEX NAME)

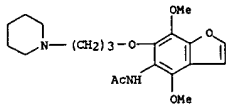


IT **66203-15-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 66203-15-4 CAPLUS
CN Acetamide, N-[4,7-dimethoxy-6-[3-(1-piperidinyl)propoxy]-5-benzofuranyl]-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

L10 ANSWER 45 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
CRN 66203-14-3
CMF C20 H28 N2 O5



CM 2

CRN 75-75-2
CMF C H4 O3 S

L10 ANSWER 46 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1977:584376 CAPLUS
DOCUMENT NUMBER: 87:184376
TITLE: Pharmaceutically useful nitrogen-containing heterocyclic derivatives
INVENTOR(S): Shemano, Irving
PATENT ASSIGNEE(S): Richardson-Merrell Inc., USA
SOURCE: U.S., 15 pp. Division of U.S. 3,937,835.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4041165	A	19770809	US 1975-628529	19751103
US 3937833	A	19760210	US 1973-370425	19730615

PRIORITY APPLN. INFO.: US 1973-370425 19730615
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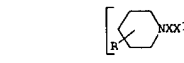
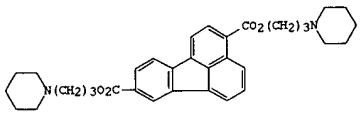


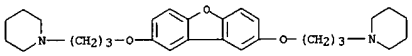
I



II

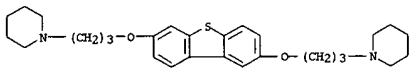
AB Piperidine derivs. I (R = H, C1-4 alkyl; X = C1-6 alkylene; X1 = CO2, COS, O, S, CO; X2 = polycyclic arom.) were prepd. for use in treatment of delayed hypersensitivity (no data). Thus 3,8-fluoranthenedicarbonyl chloride was treated with 3-piperidinopropanol to give II.
IT **56414-45-0P 64632-71-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 56414-45-0 CAPLUS
CN Piperidine, 1,1'-[2,8-dibenzofurandiylbis(oxy-3,1-propanediyl)]bis-, dihydrochloride (9CI) (CA INDEX NAME)

L10 ANSWER 46 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)



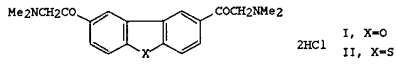
●2 HCl

RN 64632-71-9 CAPLUS
CN Piperidine, 1,1'-[2,7-dibenzothiophenediylbis(oxy-3,1-propanediyl)]bis-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

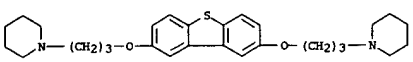
L10 ANSWER 47 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1977:83506 CAPLUS
DOCUMENT NUMBER: 86:83506
TITLE: Bis-basic-substituted polycyclic aromatic compounds.
A new class of antiviral agents. 8. Bis-basic derivatives of carbazole, dibenzofuran, and dibenzothiophene
AUTHOR(S): Albrecht, William L.; Fleming, Robert W.; Horgan, Stephen W.; Mayer, Gerald D.
CORPORATE SOURCE: Merrell-Natl. Lab. Div., Richardson-Merrell Inc., Cincinnati, OH, USA
SOURCE: Journal of Medicinal Chemistry (1977), 20(3), 364-71
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I, X=O
II, X=S

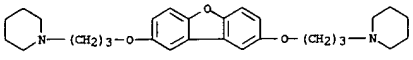
AB A series of 58 bisalkamine esters, bis-basic ethers, bis-basic ketones, aminoalkanes, and carboxamides of carbazole, N-ethylcarbazole, dibenzofuran, and dibenzothiophene was prepd. and evaluated in vivo for activity against encephalomyocarditis virus. Within the carbazole and ethylcarbazole series, the bisalkamine esters were most active, while bis-basic ketone derivs. of dibenzofuran and dibenzothiophene were most potent in those series of compds. RMI 11567DA (I) [36115-09-0] and RMI 11877DA (II) [35556-06-0] were active, applied topically, against herpes virus in hairless mice, and induced serum interferon when given orally or s.c. to mice.
IT **34449-72-4P 56414-45-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and virucidal activity of)
RN 34449-72-4 CAPLUS
CN Piperidine, 1,1'-[2,8-dibenzothiophenediylbis(oxy-3,1-propanediyl)]bis-, dihydrochloride (9CI) (CA INDEX NAME)

L10 ANSWER 47 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)



●2 HCl

RN 56414-45-0 CAPLUS
CN Piperidine, 1,1'-[2,8-dibenzofurandiylbis(oxy-3,1-propanediyl)]bis-, dihydrochloride (9CI) (CA INDEX NAME)



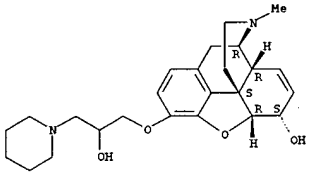
●2 HCl

L10 ANSWER 48 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1977:43865 CAPLUS
DOCUMENT NUMBER: 86:43865
TITLE: New morphine derivatives
AUTHOR(S): Papaioannou, G.
CORPORATE SOURCE: Lab. Pharm. Chem., Univ. Athens, Athens, Greece
SOURCE: European Journal of Medicinal Chemistry (1976), 11(3), 287
CODEN: EJMCA5; ISSN: 0223-5234
DOCUMENT TYPE: Journal
LANGUAGE: French
GI For diagram(s), see printed CA Issue.
AB The antitussive (no data) morphines I [R = R1 = R2NHCO (R2 = Me, Ph, Pr, Bu, Et)] and II [R = R3(CH2)nCHR4CH2 (R3 = alkylamino, dialkylamino, piperazino, piperidino, pyrrolidino, morpholino; n = 0, R4 = H, Me; n = 1, R4 = OH) R1 = H] as salts (58 compds.) were prepd. by the condensation of a.) R2NCO and morphine and b.) R3CHR4CH2Cl or R3CH2CH(OH)CH2Cl with the K salt of morphine or hydromorphinone.
IT **61269-11-2P 61269-12-3P 61269-20-3P 61269-21-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 61269-11-2 CAPLUS
CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-[2-hydroxy-3-(1-piperidinyl)propoxy]-17-methyl-, (5.alpha.,6.alpha.)-, compd. with 2,4,6-trinitrophenol (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 61269-10-1
CMF C25 H34 N2 O4

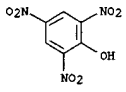
Absolute stereochemistry.



CM 2

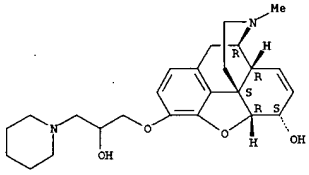
CRN 88-89-1

L10 ANSWER 48 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)
CMF C6 H3 N3 O7



RN 61269-12-3 CAPLUS
CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-[2-hydroxy-3-(1-piperidinyl)propoxy]-17-methyl-, dihydrochloride, (5.alpha.,6.alpha.)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



●2 HCl

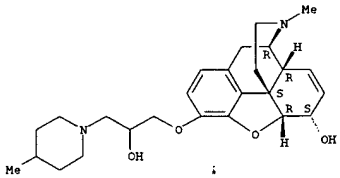
RN 61269-20-3 CAPLUS
CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-[2-hydroxy-3-(4-methyl-1-piperidinyl)propoxy]-17-methyl-, (5.alpha.,6.alpha.)-, compd. with 2,4,6-trinitrophenol (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 61269-19-0
CMF C26 H36 N2 O4

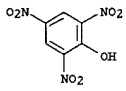
Absolute stereochemistry.

L10 ANSWER 48 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)



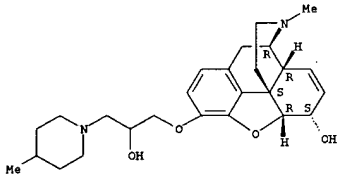
CM 2

CRN 88-89-1
CMF C6 H3 N3 O7



RN 61269-21-4 CAPLUS
CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-[2-hydroxy-3-(4-methyl-1-piperidinyl)propoxy]-17-methyl-, dihydrochloride, (5.alpha.,6.alpha.)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



●2 HCl

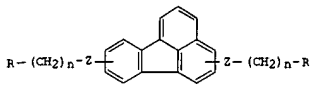
L10 ANSWER 48 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

L10 ANSWER 49 OF 54 CAPLUS COPYRIGHT 2003 ACS

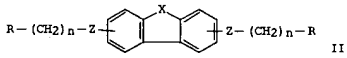
ACCESSION NUMBER: 1976:432852 CAPLUS
DOCUMENT NUMBER: 85:32852
TITLE: Pharmaceutically useful nitrogen-containing heterocyclic derivatives
INVENTOR(S): Shemano, Irving
PATENT ASSIGNEE(S): Richardson-Merrell Inc., USA
SOURCE: U.S., 15 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3937833	A	19760210	US 1973-370425	19730615
ZA 7402904	A	19750528	ZA 1974-2904	19740507
BE 816444	A1	19741016	BE 1974-145520	19740617
US 4041165	A	19770809	US 1975-628529	19751103

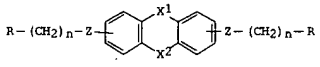
PRIORITY APPLN. INFO.: US 1973-370425 19730615
GI



I



II



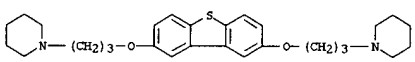
III

AB The piperidine derivs. I-III (R = piperidino, 4-alkylpiperidino; n = 1-4; Z = CO, CO2, O; X = CH2, O, S, EtN, CO; X1 = CO, X2 = O; X1 = X2 = CO, X1 = CH2, X2 = O), which suppress delayed hypersensitivity (no data), were prepd. Thus, I-III (Z = CO) were obtained by substitution reactions of bis(.omega.-chloroacyl) arom. compds. with piperidines, and I-III (Z = O) were prepd. by substitution reactions of R(CH2)nCl by arom. diols in the

L10 ANSWER 49 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)

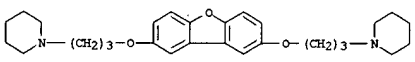
presence of NaOMe. Treatment of R(CH2)nOH with appropriate arom. dicarboxylic acid chlorides yielded I-III (Z = CO2).

IT **34449-72-4P 56414-45-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 34449-72-4 CAPLUS
CN Piperidine, 1,1'-[2,8-dibenzothiophenediylbis(oxy-3,1-propanediyl)]bis-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 56414-45-0 CAPLUS
CN Piperidine, 1,1'-[2,8-dibenzofurandiylbis(oxy-3,1-propanediyl)]bis-, dihydrochloride (9CI) (CA INDEX NAME)



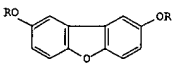
●2 HCl

L10 ANSWER 50 OF 54 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1976:135458 CAPLUS
DOCUMENT NUMBER: 84:135458
TITLE: Bis-basic ethers of dibenzofuran
INVENTOR(S): Albrecht, William L.; Fleming, Robert W.
PATENT ASSIGNEE(S): Richardson-Merrell Inc., USA
SOURCE: U.S., 9 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3929802	A	19751230	US 1974-446194	19740225

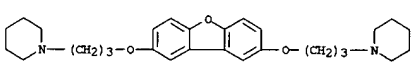
PRIORITY APPLN. INFO.: US 1970-45578 19700611
GI



I

AB The dibenzofurans I [R = Et2NCH2CH2, 3-piperidinopropyl, Et2N(CH2)3, 2-piperidinoethyl, (Me2CH)2NCH2CH2, etc.] were prepd. by treating I (R = H) with RCl. I (R = H) and BrCH2CH2Cl gave I (R = ClCH2CH2) which with EtNH2 gave I (R = EtNHCH2CH2). At 0.1-10 mg/kg (i.p.) I prevented infections by picornaviruses myxoviruses, etc.

IT **56414-45-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 56414-45-0 CAPLUS
CN Piperidine, 1,1'-[2,8-dibenzofurandiylbis(oxy-3,1-propanediyl)]bis-, dihydrochloride (9CI) (CA INDEX NAME)

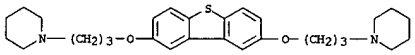


●2 HCl

L10 ANSWER 51 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1975:479086 CAPLUS
DOCUMENT NUMBER: 83:79086
TITLE: Nitrogen-containing heterocyclic derivatives
INVENTOR(S): Shemano, Irving
PATENT ASSIGNEE(S): Richardson-Merrell, Inc., USA
SOURCE: Belg., 43 pp.
CODEN: BEXXAL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BE 816444	A1	19741016	BE 1974-145520	19740617
US 3937833	A	19760210	US 1973-370425	19730615

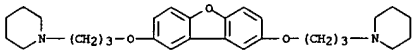
PRIORITY APPLN. INFO.: US 1973-370425 19730615
GI For diagram(s), see printed CA Issue.
AB Piperidine derivs. I (X = alkoxycarbonyl, alkylthiocarbonyl, alkoxy, alkylthio; X1 = CH2, CHOH, CO, O, S, NEt; Z = CH2, CO, Z1 = O; Z = CH2, O, Z1 = S; Z = Z1 = CO; R = H, alkyl) (43 compds.), effective against delayed hypersensitivity (no data) were prepd. Thus, 3,8-fluoranthenedicarbonyl chloride was treated with 3-piperidinopropanol to give bis(3-piperidinopropyl) 3,8-fluoranthenedicarboxylate.
IT **34449-72-4P 56414-45-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 34449-72-4 CAPLUS
CN Piperidine, 1,1'-[2,8-dibenzothiophenediylbis(oxy-3,1-propanediyl)]bis-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 56414-45-0 CAPLUS
CN Piperidine, 1,1'-[2,8-dibenzofurandiylbis(oxy-3,1-propanediyl)]bis-, dihydrochloride (9CI) (CA INDEX NAME)

L10 ANSWER 51 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)



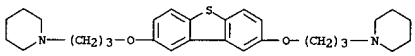
●2 HCl

L10 ANSWER 52 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1972:3687 CAPLUS
DOCUMENT NUMBER: 76:3687
TITLE: Viricidal aminoalkoxydibenzothiophenes
INVENTOR(S): Albrecht, William L.; Fleming, Robert W.; Horgan, Stephen W.
PATENT ASSIGNEE(S): Richardson-Merrell Inc.
SOURCE: Ger. Offen., 31 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2107892	A	19710826	DE 1971-2107892	19710218
DE 2107892	B2	19800131		
DE 2107892	C3	19801002		
US 3673191	A	19720627	US 1970-12428	19700218
CA 958713	A1	19741203	CA 1971-103075	19710119
NL 7102069	A	19710820	NL 1971-2069	19710216
SE 377336	B	19750630	SE 1971-2054	19710217
BE 763121	A1	19710818	BE 1971-2884	19710218
FR 2081525	A5	19711203	FR 1971-5536	19710218
FR 2081525	B1	19750418		
CH 542227	A	19731115	CH 1971-2336	19710218
JP 55008507	B4	19800304	JP 1971-7700	19710218
GB 1309713	A	19730314	GB 1971-21506	19710419
US 3720680	A	19730313	US 1972-248555	19720428

PRIORITY APPLN. INFO.: US 1970-12428 19700218
GI For diagram(s), see printed CA Issue.
AB Title compds. (I) were prepd. by reaction of I (R = H) with aminoalkyl chlorides. Thus, I (R = H) was refluxed with 3-piperidinopropyl chloride-HCl, NaOH, H2O, and PhMe 16 hr to give, after reaction with HCl in Et2O, I.2HCl (R = 3-piperidinopropyl). Similarly prepd. were I.2HCl (R given): Me2NCH2CH2, Et2NCH2CH2, Bu2N(CH2)3, iso-Pr2NCH2CH2, Me2NCH2CHMeCH2, and 2-piperidinoethyl.
IT **34449-72-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 34449-72-4 CAPLUS
CN Piperidine, 1,1'-[2,8-dibenzothiophenediylbis(oxy-3,1-propanediyl)]bis-, dihydrochloride (9CI) (CA INDEX NAME)

L10 ANSWER 52 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)



●2 HCl

L10 ANSWER 53 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1962:31439 CAPLUS
DOCUMENT NUMBER: 56:31439
ORIGINAL REFERENCE NO.: 56:5969f-i
TITLE: Basically substituted monoethers of 2-methyl-5,8-dihydroxy-4',5',6,7-furanochromone
INVENTOR(S): Schaefer, Helmut
PATENT ASSIGNEE(S): Chemische Pharmazeutische Fabrik Dr. Hermann Thiemann G.m.b.H.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
PATENT INFORMATION:

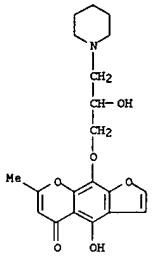
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1067033		19581117	DE	

AB The formation of the basic ethers is effected by reaction of the title compd. khellinquinol with basically substituted propylene oxides. To 23.2 g. khellinquinol in 150 cc. boiling EtOH was added over 1 hr. 15.5 g. 1-diethylaminopropane 2,3-epoxide, N passed through the mixt., after completion of soln. by 3 hrs. refluxing, .apprx.12 cc. concd. HCl added (to weak acidity), the residue distd. in vacuo to dryness, taken up in 150 cc. H2O, filtered, the crude base pptd. by 10% soda soln., dissolved in C6H6, and the residue from the C6H6 soln. recrystd. from cyclohexane to give a good yield of yellow cryst. khellinquinol 8-(.gamma.-diethylamino-.beta.-hydroxypropyl) ether, m. 99.degree.; HCl salt m. 198-9.degree.. Analogously were prepd. the corresponding .gamma.-piperidino and .gamma.-dibutylamino derivs., m. 124.degree. and 89-90.degree., resp., forming HCl salts, m. 223-4.degree. and 202-3.degree., resp. All the compds. were used like khellin (I), but were less toxic and of better soly.; the salts enhanced the soly. of I. Cf. CA 53, 7202f.

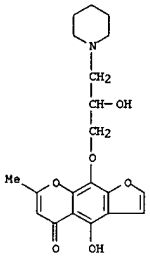
IT **96170-59-1**, 5H-Furo[3,2-g][1]benzopyran-5-one, 4-hydroxy-9-(2-hydroxy-3-piperidinopropoxy)-7-methyl-, hydrochloride
96170-60-4, 5H-Furo[3,2-g][1]benzopyran-5-one, 4-hydroxy-9-(2-hydroxy-3-piperidinopropoxy)-7-methyl- (prepn. of)

RN 96170-59-1 CAPLUS
CN 5H-Furo[3,2-g][1]benzopyran-5-one, 4-hydroxy-9-(2-hydroxy-3-piperidinopropoxy)-7-methyl-, hydrochloride (6CI, 7CI) (CA INDEX NAME)

L10 ANSWER 53 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 96170-60-4 CAPLUS
CN 5H-Furo[3,2-g][1]benzopyran-5-one, 4-hydroxy-9-(2-hydroxy-3-piperidinopropoxy)-7-methyl- (7CI) (CA INDEX NAME)



L10 ANSWER 54 OF 54 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1961:56351 CAPLUS
DOCUMENT NUMBER: 55:56351
ORIGINAL REFERENCE NO.: 55:10816a-b
TITLE: Stable, aqueous khellin solutions
INVENTOR(S): Schafer, Helmut
PATENT ASSIGNEE(S): Chemische Pharmazeutische Fabrik Dr. Hermann Thiemann G. m. b. H.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1076328		19600225	DE	

GI For diagram(s), see printed CA Issue.

AB Stable, aq. khellin solns. are prepd. by using as solubilizing agents basic monoethers of khellinquinol (2-methyl-5,8-dihydroxy-4',5',6,7-furanochromone) (I). These ethers are prepd. by treating I with basic substituted propylene oxides of the formula CH2.O.CHCH2NR(R1), in which R and R1 are C1-4 alkyl groups, or make with N a heterocyclic ring, e.g. piperidine (Ger. 924,693, CA 53, 16158f). For example, to a soln. of 25 g. khellinquinol 8-(3-diethylamino-2-hydroxypropyl) ether hydrochloride in 75 ml. H2O, 5 g. khellin is added and dissolved by warming. After cooling the soln., it is made up to 100 ml. with H2O and filtered. These ethers are esp. useful because their therapeutic action is similar to that of khellin, but they are less toxic than khellin. The pH of such solns. is 5.7-6.0.

IT **96170-59-1**, 5H-Furo[3,2-g][1]benzopyran-5-one, 4-hydroxy-9-(2-hydroxy-3-piperidinopropoxy)-7-methyl-, hydrochloride (khellin stabilization in aq. soln. by)

RN 96170-59-1 CAPLUS
CN 5H-Furo[3,2-g][1]benzopyran-5-one, 4-hydroxy-9-(2-hydroxy-3-piperidinopropoxy)-7-methyl-, hydrochloride (6CI, 7CI) (CA INDEX NAME)

L10 ANSWER 54 OF 54 CAPLUS COPYRIGHT 2003 ACS (Continued)